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## SEARCH REQUEST FORM

Access DB#

106898

Scientific and Technical Information Center

Requester's Full Name: Hong Lin (STIC) Examiner #: 77011 Date: 10/28/03  
 Art Unit: 1624 Phone Number 306-5814 Serial Number: 09/844061  
 Mail Box and Bldg/Room Location: 4E01 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need. *MEJ*

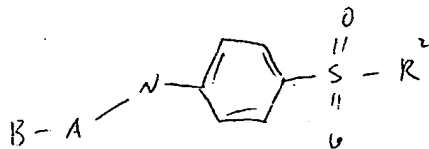
\*\*\*\*\*  
 Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: Anti-inflammatory agents  
 Inventors (please provide full names): N Krauss T Mirzadegan D Smith  
K Walker

Earliest Priority Filing Date: \_\_\_\_\_

\*For Sequence Searches Only\* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

*Barb please*



*note B can be substituted or unsubstituted (claim 50)*

## STAFF USE ONLY

Searcher: 100B  
 Searcher Phone #: \_\_\_\_\_  
 Searcher Location: \_\_\_\_\_  
 Date Searcher Picked Up: \_\_\_\_\_  
 Date Completed: 10-31-03  
 Searcher Prep & Review Time: 25  
 Clerical Prep Time: \_\_\_\_\_  
 Online Time: 22

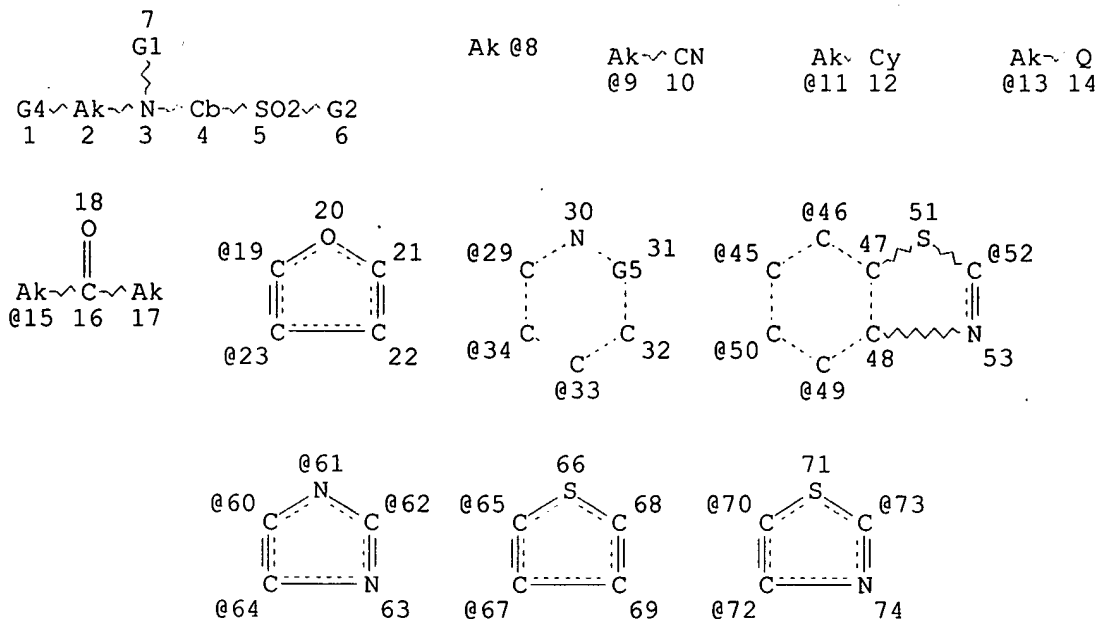
## Type of Search

NA Sequence (#) \_\_\_\_\_  
 AA Sequence (#) \_\_\_\_\_  
 Structure (#) 2  
 Bibliographic \_\_\_\_\_  
 Litigation \_\_\_\_\_  
 Fulltext \_\_\_\_\_  
 Patent Family \_\_\_\_\_  
 Other \_\_\_\_\_

## Vendors and cost where applicable

STN 386  
 Dialog \_\_\_\_\_  
 Questel/Orbit \_\_\_\_\_  
 Dr. Link \_\_\_\_\_  
 Lexis/Nexis \_\_\_\_\_  
 Sequence Systems \_\_\_\_\_  
 WWW/Internet \_\_\_\_\_  
 Other (specify) \_\_\_\_\_

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VAR G1=8/9/CY/11/15/13

VAR G2=C/CB/N

VAR G4=CB/19/23/29/34/33/46/45/50/49/52/61/62/60/64/65/67/70/72/73

VAR G5=N/C

NODE ATTRIBUTES:

CONNECT IS X3 RC AT 4

CONNECT IS E1 RC AT 8

CONNECT IS E1 RC AT 17

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

*Subset search done  
on this structure*

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 53

STEREO ATTRIBUTES: NONE

L5 197 SEA FILE=REGISTRY SUB=L2 SSS FUL L3

100.0% PROCESSED 374 ITERATIONS

197 ANSWERS

SEARCH TIME: 00.00.09

FILE 'CAPLUS' ENTERED AT 10:01:01 ON 31 OCT 2003

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=> fil reg; d stat que 15; fil cap1; d que nos 16; fil uspatf; d que nos 17  
FILE 'REGISTRY' ENTERED AT 10:01:01 ON 31 OCT 2003  
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Property values tagged with IC are from the ZIC/VINITI data file  
provided by InfoChem.

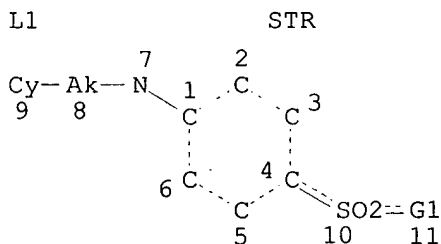
STRUCTURE FILE UPDATES: 30 OCT 2003 HIGHEST RN 611168-03-7  
DICTIONARY FILE UPDATES: 30 OCT 2003 HIGHEST RN 611168-03-7

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP  
PROPERTIES for more information. See STNote 27, Searching Properties  
in the CAS Registry File, for complete details:  
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>



*full file search  
done on this structure*

VAR G1=C/CB/N  
NODE ATTRIBUTES:  
CONNECT IS E3 RC AT 7  
CONNECT IS E2 RC AT 8  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 11

STEREO ATTRIBUTES: NONE  
L2 374 SEA FILE=REGISTRY SSS FUL L1  
L3 STR

strictly prohibited.

FILE COVERS 1907 - 31 Oct 2003 VOL 139 ISS 19  
FILE LAST UPDATED: 30 Oct 2003 (20031030/ED)

This file contains CAS Registry Numbers for easy and accurate  
substance identification.

L1 STR  
L2 374 SEA FILE=REGISTRY SSS FUL L1  
L3 STR  
L5 197 SEA FILE=REGISTRY SUB=L2 SSS FUL L3  
L6 33 SEA FILE=CAPLUS ABB=ON L5

FILE 'USPATFULL' ENTERED AT 10:01:01 ON 31 OCT 2003  
CA INDEXING COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 30 Oct 2003 (20031030/PD)  
FILE LAST UPDATED: 30 Oct 2003 (20031030/ED)  
HIGHEST GRANTED PATENT NUMBER: US6640338  
HIGHEST APPLICATION PUBLICATION NUMBER: US2003204891  
CA INDEXING IS CURRENT THROUGH 30 Oct 2003 (20031030/UPCA)  
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 30 Oct 2003 (20031030/PD)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2003  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2003

>>> USPAT2 is now available. USPATFULL contains full text of the <<<  
>>> original, i.e., the earliest published granted patents or <<<  
>>> applications. USPAT2 contains full text of the latest US <<<  
>>> publications, starting in 2001, for the inventions covered in <<<  
>>> USPATFULL. A USPATFULL record contains not only the original <<<  
>>> published document but also a list of any subsequent <<<  
>>> publications. The publication number, patent kind code, and <<<  
>>> publication date for all the US publications for an invention <<<  
>>> are displayed in the PI (Patent Information) field of USPATFULL <<<  
>>> records and may be searched in standard search fields, e.g., /PN, <<<  
>>> /PK, etc. <<<

>>> USPATFULL and USPAT2 can be accessed and searched together <<<  
>>> through the new cluster USPATALL. Type FILE USPATALL to <<<  
>>> enter this cluster. <<<  
>>> <<<  
>>> Use USPATALL when searching terms such as patent assignees, <<<  
>>> classifications, or claims, that may potentially change from <<<  
>>> the earliest to the latest publication. <<<

This file contains CAS Registry Numbers for easy and accurate  
substance identification.

L1 STR  
L2 374 SEA FILE=REGISTRY SSS FUL L1  
L3 STR  
L5 197 SEA FILE=REGISTRY SUB=L2 SSS FUL L3  
L7 10 SEA FILE=USPATFULL ABB=ON L5

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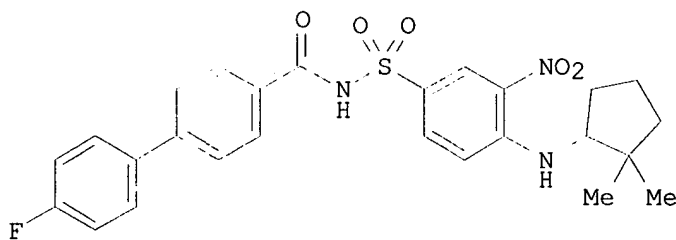
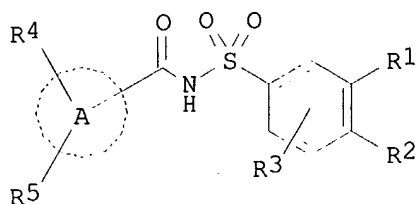
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FILE 'USPATFULL' ENTERED AT 10:01:05 ON 31 OCT 2003  
CA INDEXING COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)  
PROCESSING COMPLETED FOR L6  
PROCESSING COMPLETED FOR L7  
L9 37 DUP REM L6 L7 (6 DUPLICATES REMOVED)  
ANSWERS '1-33' FROM FILE CAPLUS  
ANSWERS '34-37' FROM FILE USPATFULL

=> d ibib abs hitstr 1-37; fil cao; d que nos 18; d iall hitstr 18 1-2; fil hom

19 ANSWER 1 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN DUPLICATE 1  
ACCESSION NUMBER: 2002:505411 CAPLUS  
DOCUMENT NUMBER: 137:78769  
TITLE: Preparation of N-arylcarbonyl- and heteroarylcarbonyl  
benzenesulfonamide inhibitors of Bcl-Xl and Bcl-2 as  
promoters of apoptosis  
INVENTOR(S): Augeri, David J.; Baumeister, Steven A.; Bruncko,  
Milan; Dickman, Daniel A.; Ding, Hong; Dinges, Jurgen;  
Fesik, Stephen W.; Hajduk, Philip J.; Kunzer, Aaron  
R.; McClellan, William; Nettesheim, David G.; Oost,  
Thorsten; Petros, Andrew M.; Rosenberg, Saul H.; Wang,  
Shen; Thomas, Sheela A.; Wang, Xilu; Wendt, Michael D.  
PATENT ASSIGNEE(S): USA  
SOURCE: U.S. Pat. Appl. Publ., 126 pp.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002086887	A1	20020704	US 2001-957276	20010920
PRIORITY APPLN. INFO.:			US 2000-233866P	P 20000920
OTHER SOURCE(S):		MARPAT 137:78769		
GI				



AB N-aryl- and N-heteroarylcarbonyl benzenesulfonamides I [A = (un)substituted Ph; 5- or 6-membered heterocyclic ring with 1-3 N, O, or S atoms; R1 = alkyl, haloalkyl, NO<sub>2</sub>, NR<sub>6</sub>R<sub>7</sub>; R<sub>2</sub>, R<sub>3</sub> = H, alkyl, alkenyl, alkynyl, alkoxy, alkylthio, etc.; R<sub>4</sub> = aryl, arylalkenyl, arylalkoxy, cycloalkenyl, cycloalkyl, halo, heterocyclyl, heterocyclyloxy; R<sub>5</sub> = H, alkyl, halo; R<sub>6</sub>, R<sub>7</sub> = H, alkenyl, alkoxyalkyl, alkoxyalkylalkyl, alkyl, heterocyclyl, etc.; R<sub>6</sub>R<sub>7</sub>N = imidazolyl, morpholinyl, piperazinyl, piperidinyl, pyrrolidinyl, etc.] are prepd. Over 500 I are prepd. E.g., N-biphenylcarbonyl benzenesulfonamide II was prepd. by Pd-catalyzed coupling of 4-FC<sub>6</sub>H<sub>4</sub>B(OH)<sub>2</sub> and 4-BrC<sub>6</sub>H<sub>4</sub>CO<sub>2</sub>Me, hydrolysis of the ester with LiOH, acylation of 4-chloro-3-nitrobenzenesulfonamide with the resulting acid in the presence of EDCI and DMAP, and nucleophilic arom. substitution of the chlorobenzenesulfonamide with 2,2-dimethylcyclopentylamine. Compds. of the invention inhibit Bcl-Xl with IC<sub>50</sub> values between 0.011 .mu.M and 10 .mu.M, and inhibit Bcl-2 with IC<sub>50</sub> values between 0.017 .mu.M and 10 .mu.M.

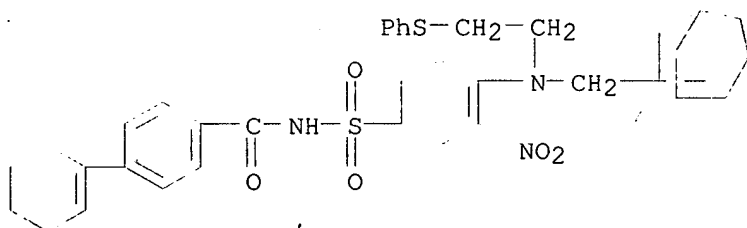
IT 406228-01-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-aryl- and heteroarylcarbonyl benzenesulfonamide inhibitors of Bcl-Xl and Bcl-2 as promoters of apoptosis)

RN 406228-01-1 CAPLUS

CN Benzamide, 4-(1-cyclohexen-1-yl)-N-[[3-nitro-4-[[2-(phenylthio)ethyl](tricyclo[3.3.1.1<sup>3,7</sup>]dec-1-ylmethyl)amino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



~~19~~ ANSWER 2 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN DUPLICATE 2

ACCESSION NUMBER: 2002:354097 CAPLUS

DOCUMENT NUMBER: 136:355074

TITLE: Preparation of N-arylcarbonyl- and heteroarylcarbonyl benzenesulfonamide inhibitors of Bcl-Xl and Bcl-2 as promoters of apoptosis

INVENTOR(S): Augeri, David J.; Baumeister, Steven A.; Bruncko, Milan; Dickman, Daniel A.; Ding, Hong; Dinges, Jurgen; Fesik, Stephen W.; Hajduk, Philip J.; Kunzer, Aaron R.; McClellan, William; Nettesheim, David G.; Oost, Thorsten; Petros, Andrew M.; Rosenberg, Saul H.; Shen, Wang; Thomas, Sheela A.; Wang, Xilu; Wendt, Michael D. USA

PATENT ASSIGNEE(S):

SOURCE: U.S. Pat. Appl. Publ., 126 pp., Cont.-in-part of U.S. Ser. No. 666,508.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002055631	A1	20020509	US 2001-935581	20010824

WO 2002024636 A2 20020328 WO 2001-US29432 20010920  
WO 2002024636 A3 20020926

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,  
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,  
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,  
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,  
PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,  
UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2001091151 A5 20020402 AU 2001-91151 20010920

EP 1318978 A2 20030618 EP 2001-971244 20010920

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PRIORITY APPLN. INFO.:

US 2000-666508 A2 20000920

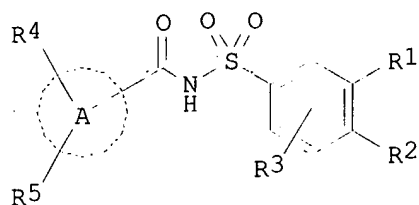
US 2001-935581 A 20010824

WO 2001-US29432 W 20010920

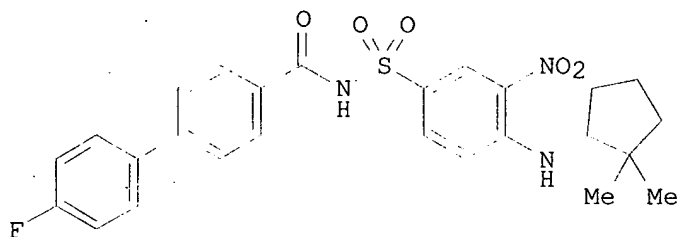
OTHER SOURCE(S):

MARPAT 136:355074

GI



I



II

AB N-aryl- and N-heteroarylsulfonamides I [A = (un)substituted Ph, 5- or 6-membered heterocyclic ring with 1-3 N, O, or S atoms; R1 = alkyl, haloalkyl, NO2, NR6R7; R2, R3 = H, alkyl, alkenyl, alkynyl, alkoxy, alkylthio, etc.; R4 = aryl, arylalkenyl, arylalkoxy, cycloalkenyl, cycloalkyl, halo, heterocyclyl, heterocyclyloxy; R5 = H, alkyl, halo; R6, R7 = H, alkenyl, alkoxyalkyl, alkoxycarbonylalkyl, alkyl, heterocyclyl, etc.; R6R7N = imidazolyl, morpholinyl, piperazinyl, piperidinyl, pyrrolidinyl, etc.] are prepd. Over 500 I are prepd. E.g., N-biphenylcarbonyl benzenesulfonamide II was prepd. by Pd-catalyzed coupling of 4-FC6H4B(OH)2 and 4-BrC6H4CO2Me, hydrolysis of the ester with LiOH, acylation of 4-chloro-3-nitrobenzenesulfonamide with the resulting acid in the presence of EDCI and DMAP, and nucleophilic arom. substitution of the chlorobenzenesulfonamide with 2,2-dimethylcyclopentylamine. Compds. of the invention inhibit Bcl-X1 with IC50 values between 0.011 .mu.M and 10 .mu.M, and inhibit Bcl-2 with IC50 values between 0.017 .mu.M and 10 .mu.M.

IT 406228-01-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

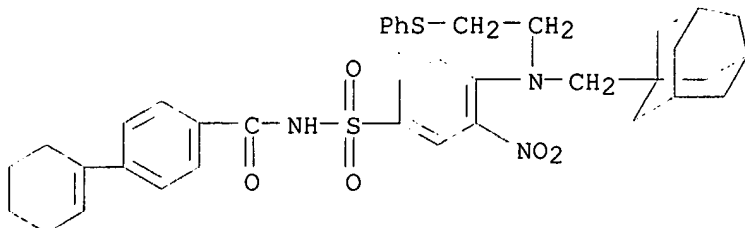


(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-aryl- and heteroarylcarbonyl benzenesulfonamide inhibitors of Bcl-Xl and Bcl-2 as promoters of apoptosis)

RN 406228-01-1 CAPLUS

CN Benzamide, 4-(1-cyclohexen-1-yl)-N-[[3-nitro-4-[[2-(phenylthio)ethyl](tricyclo[3.3.1.1<sup>3,7</sup>]dec-1-ylmethyl)amino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 3 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN DUPLICATE 3

ACCESSION NUMBER: 2001:792340 CAPLUS

DOCUMENT NUMBER: 135:331672

TITLE: Preparation of methionine derivatives as inhibitors of protein isoprenyl transferases

INVENTOR(S): Sebti, Said M.; Hamilton, Andrew D.; Augeri, David J.; Barr, Kenneth J.; Fakhoury, Stephen A.; Janowick, David A.; Kalvin, Douglas M.; O'connor, Stephen J.; Rosenberg, Saul H.; Shen, Wang; Swenson, Rolf E.; Sorenson, Bryan K.; Sullivan, Gerard M.; Tasker, Andrew S.; Wasicak, James T.; Nelson, Lissa T. J.; Henry, Kenneth J.; Wang, Le

PATENT ASSIGNEE(S): University of Pittsburgh, USA

SOURCE: U.S., 514 pp., Cont.-in-part of U.S. Ser. No. 852,858, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 8

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6310095	B1	20011030	US 1998-73794	19980507
ZA 9906763	A	20000515	ZA 1999-6763	19991027
PRIORITY APPLN. INFO.:			US 1995-7247P	P 19951106
			US 1996-740909	B2 19961105
			US 1997-852858	B2 19970507
			US 1998-73794	A 19980507
			US 1998-197279	A 19981120

OTHER SOURCE(S): MARPAT 135:331672

AB Compds. R3-Z-L1-aryl [aryl is a benzene ring having certain substituents R1, R2, R4; L1 is L4NR5L5 where L4 and L5 are absent or alkylene, R5 is H, alkanoyl, alkoxy, alkoxyalkyl, haloalkyl, etc.; Z is a covalent bond; R3 = cycloalkyl, alkoxy, alkyl, halogen, oxo, etc.] or their pharmaceutically acceptable salts, were prepd. as inhibitors of protein isoprenyl transferases. Thus, N-[4-[(R)-thiazolidin-4-ylcarbonylamino]-2-phenylbenzoyl]methionine Me ester hydrochloride, prepd. via amidation reaction, showed 92% inhibition of farnesyl transferase at 1x10<sup>-6</sup> M.

IT 216233-14-6P 216233-15-7P

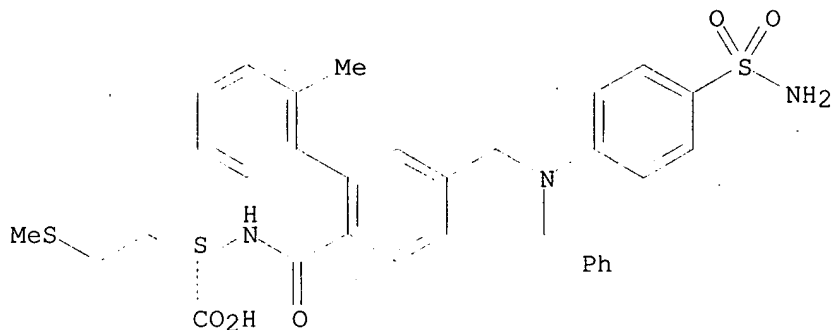
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of methionine derivs. as inhibitors of protein isoprenyl  
transferases)

RN 216233-14-6 CAPLUS

CN L-Methionine, N-[[[5-[[[4-(aminosulfonyl)phenyl](phenylmethyl)amino]methyl]-  
2'-methyl[1,1'-biphenyl]-2-yl]carbonyl]-, monolithium salt (9CI) (CA  
INDEX NAME)

Absolute stereochemistry.

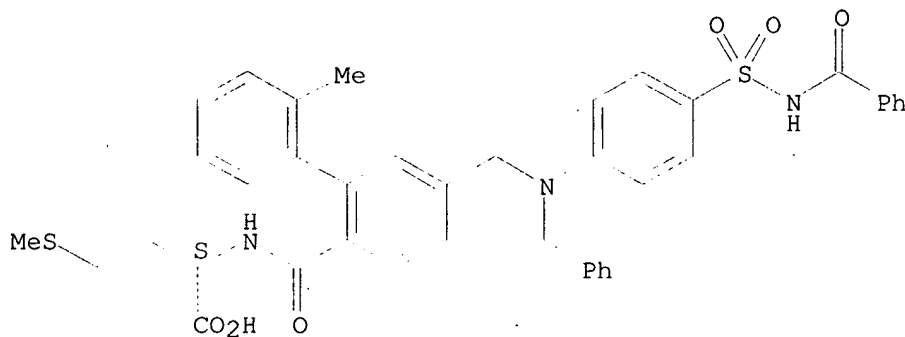


● Li

RN 216233-15-7 CAPLUS

CN L-Methionine, N-[[[5-[[[4-[(benzoylamino)sulfonyl]phenyl](phenylmethyl)amin  
o]methyl]-2'-methyl[1,1'-biphenyl]-2-yl]carbonyl]-, monolithium salt (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



● Li

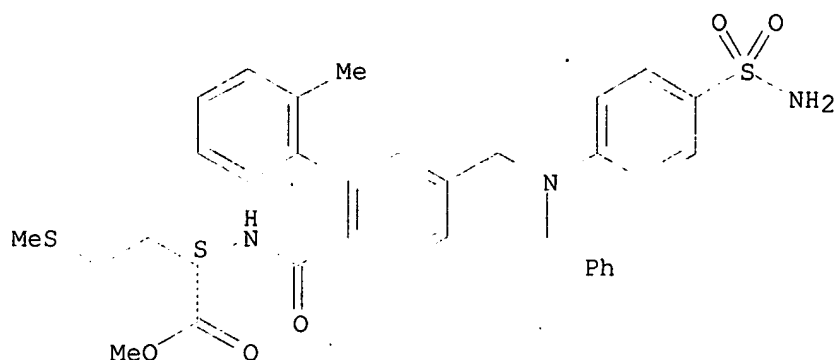
IT 216229-13-9P 216229-16-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(prepn. of methionine derivs. as inhibitors of protein isoprenyl  
transferases)

RN 216229-13-9 CAPLUS

CN L-Methionine, N-[[[5-[[[4-(aminosulfonyl)phenyl](phenylmethyl)amino]methyl]-  
2'-methyl[1,1'-biphenyl]-2-yl]carbonyl]-, methyl ester (9CI) (CA INDEX  
NAME)

Absolute stereochemistry.

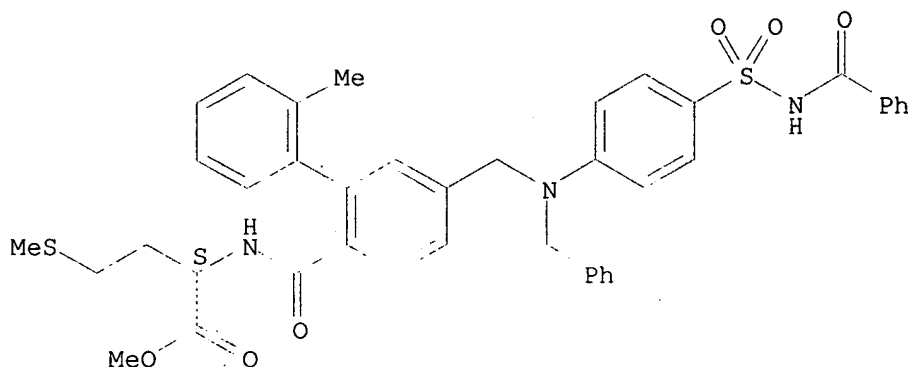


*Same as previous*

RN 216229-16-2 CAPLUS

CN L-Methionine, N-[[5-[[[4-[(benzoylamino)sulfonyl]phenyl](phenylmethyl)amino]methyl]-2'-methyl[1,1'-biphenyl]-2-yl]carbonyl]-, methyl ester (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN DUPLICATE 4

ACCESSION NUMBER: 1999:582651 CAPLUS

DOCUMENT NUMBER: 131:214192

TITLE: Preparation of arylaminopiperidines as muscarinic M2 antagonists for treating memory loss

INVENTOR(S): Asberom, Theodoros; Lowe, Derek B.; Green, Michael J.

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: U.S., 28 pp.  
CODEN: USXXAM

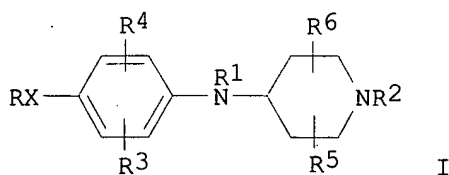
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5952349	A	19990914	US 1997-889486	19970708
PRIORITY APPLN. INFO.:			US 1996-21691P	P 19960710
OTHER SOURCE(S):		MARPAT 131:214192		
GI				



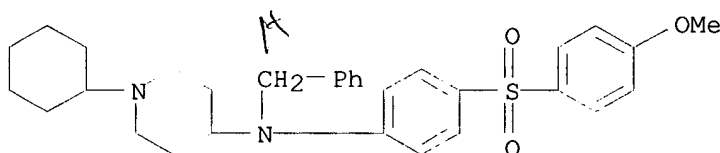
AB Title compds. [I; X = bond, O, S, SO, SO<sub>2</sub>, CO, C(OR<sub>7</sub>)<sub>2</sub>, CH<sub>2</sub>O, CH:CH, CH<sub>2</sub>CHA, CA<sub>2</sub>, CONR<sub>17</sub>, SO<sub>2</sub>NR<sub>17</sub>, etc.; R = cycloalkyl, (substituted) Ph, pyridyl, indolyl, quinolyl, etc.; R<sub>1</sub> = H, cyano, CF<sub>3</sub>, A, cycloalkyl, cycloalkenyl, alkenyl, COR<sub>15</sub>, CO<sub>2</sub>A, etc.; R<sub>2</sub> = cycloalkyl, cycloalkenyl, BOC, (substituted) 4-piperidinyl; A = alkyl; R<sub>3</sub>, R<sub>4</sub> = H, halo, CF<sub>3</sub>, A, alkoxy, OH; R<sub>5</sub>, R<sub>6</sub> = H, A, CF<sub>3</sub>, alkoxy, OH, alkylcarbonyl, alkoxycarbonyl, etc.; R<sub>7</sub> = H, A; R<sub>15</sub> = H, A, cycloalkyl, aryl, heteroaryl; R<sub>17</sub> = H, alkyl, aryl, heteroaryl], were prepd. Thus, I (R = 3,4-methylenedioxyphenyl; X = SO<sub>2</sub>; R<sub>1</sub> = cyano; R<sub>2</sub> = cyclohexyl; R<sub>3</sub>-R<sub>6</sub> = H) showed K<sub>i</sub> = 0.44 nM for binding to M<sub>2</sub> receptors.

IT 202125-56-2P 202125-76-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of arylaminopiperidines as muscarinic antagonists for treating memory loss)

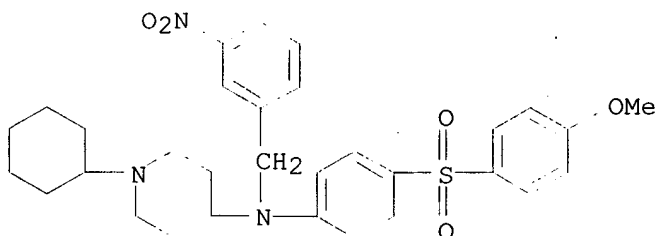
RN 202125-56-2 CAPLUS

CN 4-Piperidinamine, 1-cyclohexyl-N-[4-[(4-methoxyphenyl)sulfonyl]phenyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 202125-76-6 CAPLUS

CN 4-Piperidinamine, 1-cyclohexyl-N-[4-[(4-methoxyphenyl)sulfonyl]phenyl]-N-[(3-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 5 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN DUPLICATE 5

ACCESSION NUMBER: 1992:244880 CAPLUS

DOCUMENT NUMBER: 116:244880

TITLE: Nonlinear optical devices

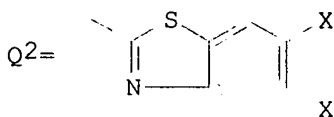
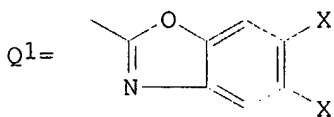
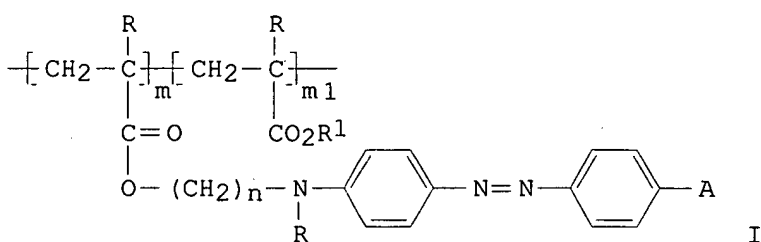
INVENTOR(S): Allen, Diane; Lee, Cherylyn; DeMartino, Ronald N.

PATENT ASSIGNEE(S): Hoechst Celanese Corp., USA

SOURCE: U.S., 6 pp.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5041510	A	19910820	US 1990-477283	19900207
WO 9112280	A1	19910822	WO 1990-US6752	19901116

W: CA, JP  
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE  
PRIORITY APPLN. INFO.: US 1990-477283 19900207  
GI



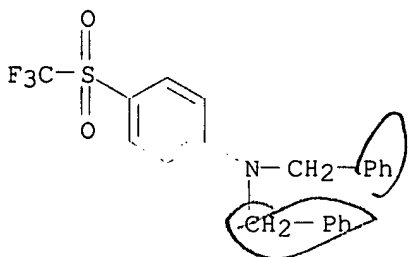
AB An isotropic acrylic copolymer is characterized by recurring monomeric units corresponding to I (R = H, C1-4-alkyl; R1 = C1-6-alkyl; m + m1 .gtoreq.10 and integer; n = 1-20; A = SO2CF3, Q1, Q2; X = H, CN, NO2, CF3). The copolymers exhibit nonlinear optical response, and have utility as a transparent optical component in all-optical and electrooptical light switch and light modulator devices.

IT 141565-25-5P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(reaction and prepn. of, for nonlinear electro-optical materials)

RN 141565-25-5 CAPLUS

CN Benzenemethanamine, N-(phenylmethyl)-N-[4-[(trifluoromethyl)sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



~~19~~ ANSWER 6 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN DUPLICATE 6  
ACCESSION NUMBER: 1977:197939 CAPLUS  
DOCUMENT NUMBER: 86:197939

TITLE: Photoconductor elements containing substituted aniline  
photoconductor compounds  
INVENTOR(S): Mattor, John A.  
PATENT ASSIGNEE(S): Scott Paper Co., USA  
SOURCE: U.S., 11 pp.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3994724	A	19761130	US 1969-844186	19690723

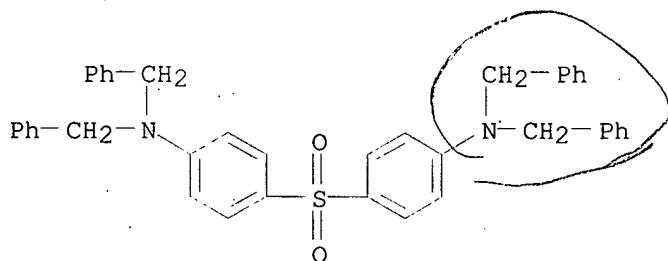
PRIORITY APPLN. INFO.: US 1969-844186 19690723

AB Derivs. of the N-substituted aniline compds.: 4,4'-oxy- and 4,4'-thiodianiline, p-alkoxy- and p-alkylthioaniline, and unsubstituted or Me-substituted p-phenoxyaniline, are used as electrophotog. photoconductors in the presence of electron-accepting sensitizers, such as the known substituted 9-fluorenone compds. Thus, a photoconductive coating compn. yielding clear images in an electrophotog. member contained polystyrene soln. (1 g polystyrene/10 mL MeCl) 24, N,N-bis(4-methylbenzyl)-4-methylthioaniline 0.15 g, and 9,10-phenanthrenedione 0.25 mL.

IT **62849-45-0**  
RL: USES (Uses)  
(electrophotog. photoconductor)

RN 62849-45-0 CAPLUS

CN Benzenemethanamine, N,N'-(sulfonyldi-4,1-phenylene)bis[N-(phenylmethyl)- (9CI) (CA INDEX NAME)]



19 ANSWER 7 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:757679 CAPLUS

DOCUMENT NUMBER: 139:276825

TITLE: Preparation of 8-arylquinoline PDE4 inhibitors

INVENTOR(S): Gallant, Michel; Lacombe, Patrick; Dube, Daniel; Deschenes, Denis; MacDonald, Dwight; Dube, Laurence

PATENT ASSIGNEE(S): Merck Frosst Canada & Co., Can.

SOURCE: PCT Int. Appl., 184 pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003078397	A1	20030925	WO 2003-CA374	20030317

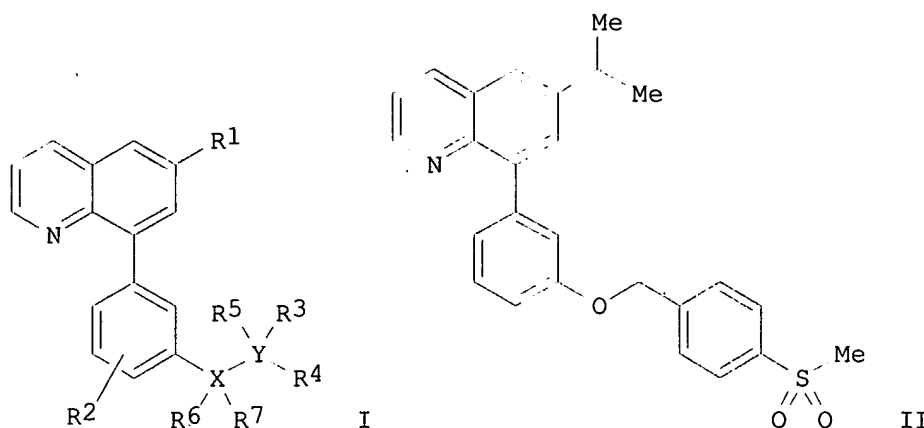
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,

UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD,  
 RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,  
 CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,  
 NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,  
 GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

US 2002-365088P P 20020318

GI



AB Title compds. I [wherein R1 = H, halo, or (un)substituted alkanoyl, (cyclo)alkyl, alkenyl, alkoxy, (hetero)aryl, CN, heterocycloalkyl, carbamoyl, sulfamoyl, etc.; R2 = H, halo, OH, or (un)substituted alkyl or alkoxy; R3 = absent or H, CO<sub>2</sub>H, or (un)substituted (cycloalkyl)alkyl, alkanoyl, benzoyl, carbamoyl, etc.; R4 = (un)substituted Ph, pyrazolopyrimidinyl, benzothiazolyl, quinazolinyl, or heteroaryl; R5 = absent or H; R6 = absent, H, or alkyl; R7 = absent or H; X = O, S, N, C, or CO; wherein when X = O, S, or CO, then R6 and R7 are absent and when X = N, then R7 is absent; Y = C, S, N, SO<sub>2</sub>, O, or CO; wherein when Y = S, SO<sub>2</sub>, O, or CO, then R3 and R5 are absent and when Y = N, then R5 is absent; and pharmaceutically acceptable salts thereof] were prepd. as phosphodiesterase IV (PDE4) inhibitors. For example, 3-(6-isopropylquinolin-8-yl)phenol was coupled with 1-chloromethyl-4-methanesulfonylbenzene in acetone to give II. One hundred sixteen invention compds. suppressed PDE4 with IC<sub>50</sub> values ranging from 80 .mu.M to 0.029 .mu.M in assays evaluating LPS- and FMLP-induced inhibition of tumor necrosis factor .alpha. (TNF-.alpha.) and leukotriene B<sub>4</sub> (LTB<sub>4</sub>) in human whole blood. In a test measuring IgE-mediated allergic pulmonary inflammation induced by inhalation of antigen by sensitized guinea pigs, administration of I resulted in a significant redn. in the eosinophilia and the accumulation of other inflammatory leukocytes and effected less inflammatory lung damage. One hundred forty-one invention compds. also inhibited the hydrolysis of cAMP to AMP by human recombinant phosphodiesterase IVa with IC<sub>50</sub> values ranging from 150 nM to 0.056 nM. Thus, I and their pharmaceutical compns. are useful for the treatment or prevention of a variety of allergic, inflammatory, CNS, and other conditions (no data).

IT 605684-06-8P, 4-Fluoro-N-[1-[3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]phenyl]ethyl]-N-[4-(methanesulfonyl)phenyl]benzamide

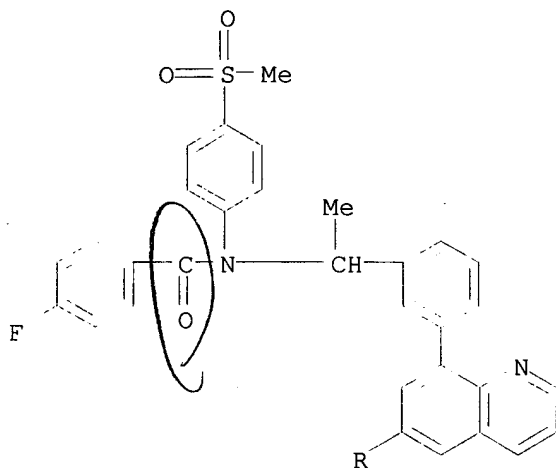
RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(PDE4 inhibitor; prepn. of 8-arylquinoline PDE4 inhibitors for

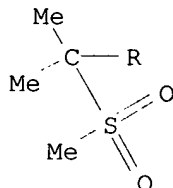
treatment of a variety of allergic, inflammatory, CNS, and other conditions)

RN 605684-06-8 CAPLUS  
 CN Benzamide, 4-fluoro-N-[1-[3-[6-[1-methyl-1-(methanesulfonyl)ethyl]-8-quinolinyl]phenyl]ethyl]-N-[4-(methanesulfonyl)phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



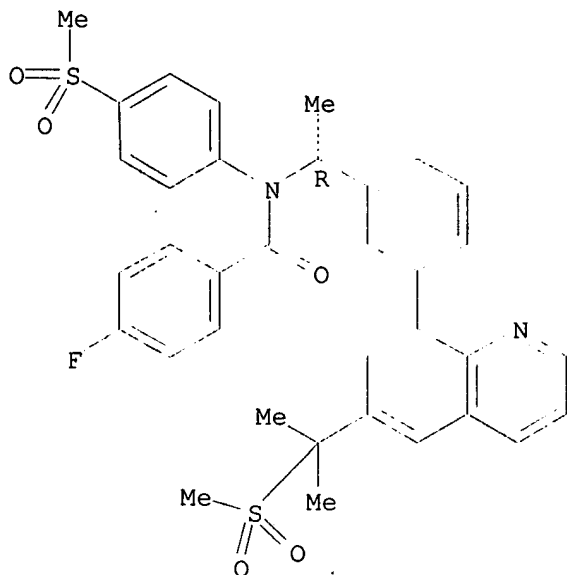
IT 605684-07-9P, (R)-4-Fluoro-N-[1-[3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]phenyl]ethyl]-N-[4-(methanesulfonyl)phenyl]benzamide 605684-08-0P, (S)-4-Fluoro-N-[1-[3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]phenyl]ethyl]-N-[4-(methanesulfonyl)phenyl]benzamide  
 RL: PAC (Pharmacological activity); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(PDE4 inhibitor; prepn. of 8-arylquinoline PDE4 inhibitors for treatment of a variety of allergic, inflammatory, CNS, and other conditions)

RN 605684-07-9 CAPLUS  
 CN Benzamide, 4-fluoro-N-[(1R)-1-[3-[6-[1-methyl-1-(methanesulfonyl)ethyl]-8-quinolinyl]phenyl]ethyl]-N-[4-(methanesulfonyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

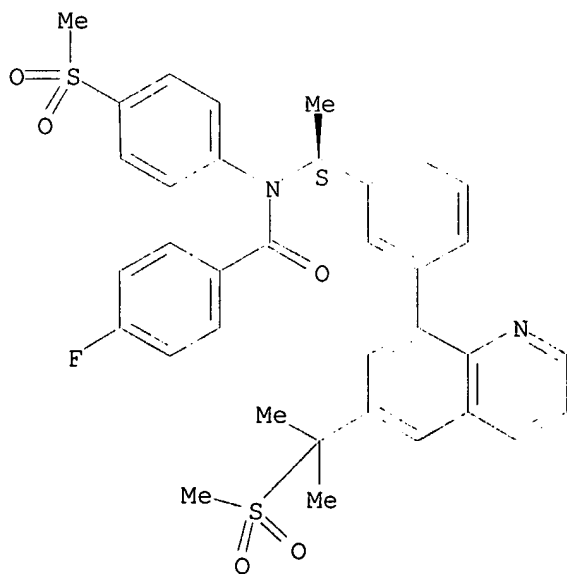




RN 605684-08-0 CAPLUS

CN Benzamide, 4-fluoro-N-[(1S)-1-[3-[6-[1-methyl-1-(methanesulfonyl)ethyl]-8-quinolinyl]phenyl]ethyl]-N-[4-(methanesulfonyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 605683-87-2P, (Cyclopropylmethyl)[3-(6-isopropylquinolin-8-yl)benzyl][4-(methanesulfonyl)phenyl]amine 605683-93-0P, 5-Methylisoxazole-3-carboxylic acid N-[3-[6-(cyanodimethylmethyl)quinolin-8-yl]benzyl]-N-[4-(methanesulfonyl)phenyl]amide 605683-94-1P, 2-[8-[3-[[4-Fluorobenzyl][4-(methanesulfonyl)phenyl]amino]methyl]phenyl]quinolin-6-yl]-2-methylpropionitrile 605683-96-3P, [3-[6-(Cyanodimethylmethyl)quinolin-8-yl]benzyl][4-(methanesulfonyl)phenyl]carbamic acid isopropyl ester 605683-97-4P, [[3-[6-(Cyanodimethylmethyl)quinolin-8-yl]benzyl][4-(methanesulfonyl)phenyl]amino]acetic acid 605683-98-5P, N-[3-[6-(Cyanodimethylmethyl)quinolin-8-yl]benzyl]-N-[4-

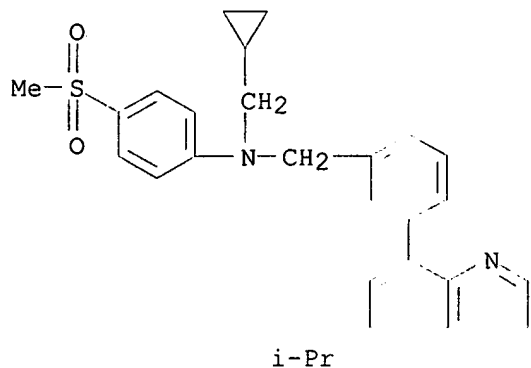
(methanesulfonyl)phenyl]benzamide **605683-99-6P**,  
1-[3-[6-(Cyanodimethylmethyl)quinolin-8-yl]benzyl]-3-ethyl-1-[4-(methanesulfonyl)phenyl]urea **605684-00-2P**, 1-[3-[6-(Cyanodimethylmethyl)quinolin-8-yl]benzyl]-3-isopropyl-1-[4-(methanesulfonyl)phenyl]urea **605684-01-3P**, 1-[3-[6-(Cyanodimethylmethyl)quinolin-8-yl]benzyl]-1-[4-(methanesulfonyl)phenyl]-3-phenylurea **605684-02-4P**, N-[1-[3-[6-[1-(Methanesulfonyl)-1-methylethyl]quinolin-8-yl]phenyl]ethyl]-N-[4-(methanesulfonyl)phenyl]benzamide **605684-03-5P**, Cyclopropanecarboxylic acid  
N-[1-[3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]phenyl]ethyl]-N-[4-(methanesulfonyl)phenyl]amide **605684-04-6P**,  
2,2,2-Trifluoro-N-[1-[3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]phenyl]ethyl]-N-[4-(methanesulfonyl)phenyl]acetamide **605684-05-7P**, 5-Methylisoxazole-3-carboxylic acid  
N-[1-[3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]phenyl]ethyl]-N-[4-(methanesulfonyl)phenyl]amide **605684-09-1P**,  
N-[1-[3-[6-[1-(Methanesulfonyl)-1-methylethyl]quinolin-8-yl]phenyl]ethyl]-N-[4-(methanesulfonyl)phenyl]acetamide **605684-10-4P**,  
N-[1-[3-[6-[1-(Methanesulfonyl)-1-methylethyl]quinolin-8-yl]phenyl]ethyl]-N-[4-(methanesulfonyl)phenyl]-2,4-difluorobenzamide **605684-11-5P**,  
4-(1-Hydroxy-1-methylethyl)-N-[1-[3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]phenyl]ethyl]-N-[4-(methanesulfonyl)phenyl]benzamide **605684-12-6P**, N-[1-[3-[6-[1-(Methanesulfonyl)-1-methylethyl]quinolin-8-yl]phenyl]ethyl]-N-[4-(methanesulfonyl)phenyl]nicotinamide **605684-13-7P**, 4-Fluoro-N-[1-[3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]phenyl]ethyl]-N-[4-(methanesulfonyl)phenyl]-3-trifluoromethylbenzamide **605684-14-8P**, 2,4,6-Trifluoro-N-[1-[3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]phenyl]ethyl]-N-[4-(methanesulfonyl)phenyl]benzamide **605684-15-9P**,  
2-Chloro-N-[1-[3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]phenyl]ethyl]-N-[4-(methanesulfonyl)phenyl]-4-nitrobenzamide **605684-16-0P**, 3-Isopropyl-1-[1-[3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]phenyl]ethyl]-1-[4-(methanesulfonyl)phenyl]urea **605684-18-2P**, 3-(2-Chlorophenyl)-1-[1-[3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]phenyl]ethyl]-1-[4-(methanesulfonyl)phenyl]urea **605684-20-6P**, 1-[2-Fluoro-5-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]benzyl]-3-isopropyl-1-[4-(methanesulfonyl)phenyl]urea **605684-21-7P**, N-[2-Fluoro-5-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]benzyl]-N-[4-(methanesulfonyl)phenyl]benzamide **605684-23-9P**,  
N-[1-[2-Chloro-5-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]phenyl]ethyl]-N-[4-(methanesulfonyl)phenyl]benzamide **605684-24-0P**, 1-[2-Chloro-5-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]benzyl]-3-isopropyl-1-[4-(methanesulfonyl)phenyl]urea **605685-03-8P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(PDE4 inhibitor; prepn. of 8-arylquinoline PDE4 inhibitors for treatment of a variety of allergic, inflammatory, CNS, and other conditions)

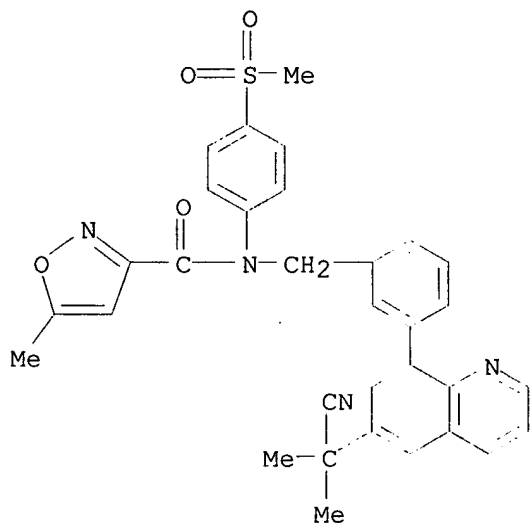
RN 605683-87-2 CAPLUS

CN Benzenemethanamine, N-(cyclopropylmethyl)-3-[6-(1-methylethyl)-8-quinolinyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



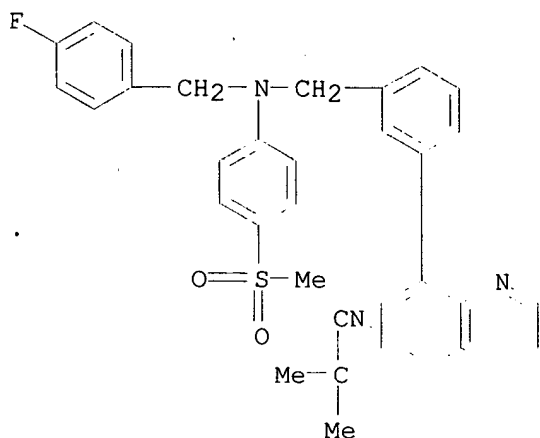
RN 605683-93-0 CAPLUS

CN 3-Isioxazolecarboxamide, N-[[3-[[6-(1-cyano-1-methylethyl)-8-quinolinyl]phenyl]methyl]-5-methyl-N-[4-(methylsulfonyl)phenyl]- (9CI)  
(CA INDEX NAME)



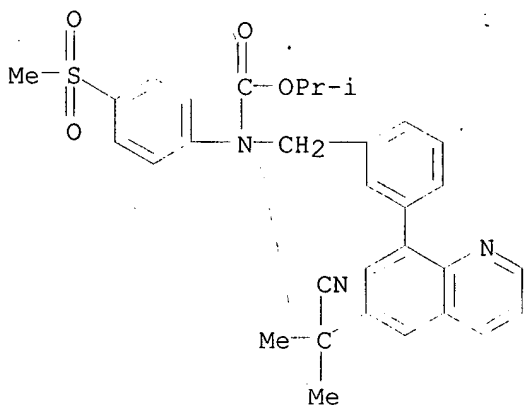
RN 605683-94-1 CAPLUS

CN 6-Quinolineacetonitrile, 8-[3-[[[(4-fluorophenyl)methyl][4-(methylsulfonyl)phenyl]amino]methyl]phenyl]-.alpha.,.alpha.-dimethyl- (9CI) (CA INDEX NAME)



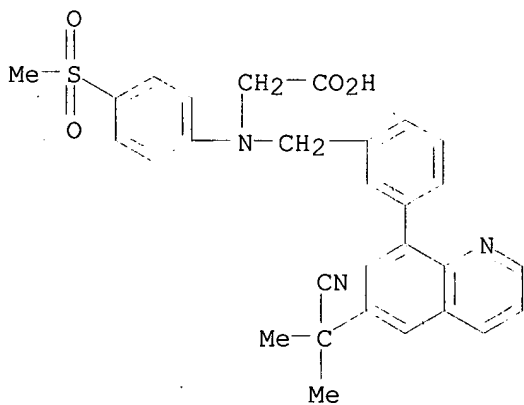
RN 605683-96-3 CAPLUS

CN Carbamic acid, [[3-[6-(1-cyano-1-methylethyl)-8-quinolinyl]phenyl]methyl][4-(methylsulfonyl)phenyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



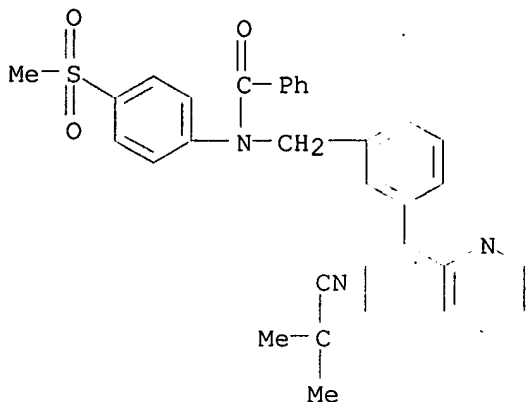
RN 605683-97-4 CAPLUS

CN Glycine, N-[[3-[6-(1-cyano-1-methylethyl)-8-quinolinyl]phenyl]methyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



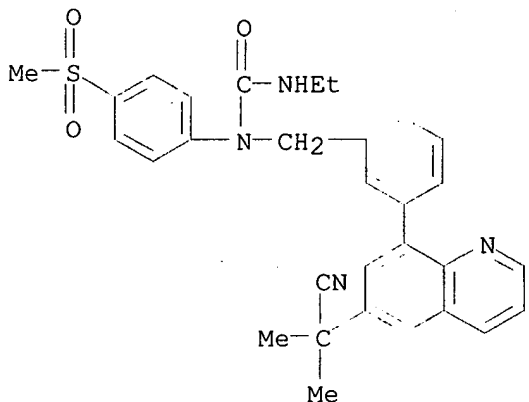
RN 605683-98-5 CAPLUS

CN Benzamide, N-[[3-[6-(1-cyano-1-methylethyl)-8-quinolinyl]phenyl]methyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



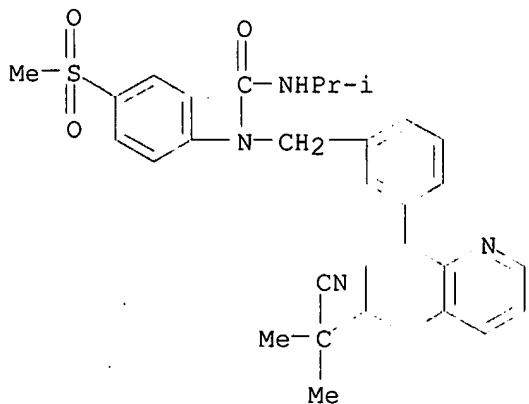
RN 605683-99-6 CAPLUS

CN Urea, N-[[3-[6-(1-cyano-1-methylethyl)-8-quinolinyl]phenyl]methyl]-N'-ethyl-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



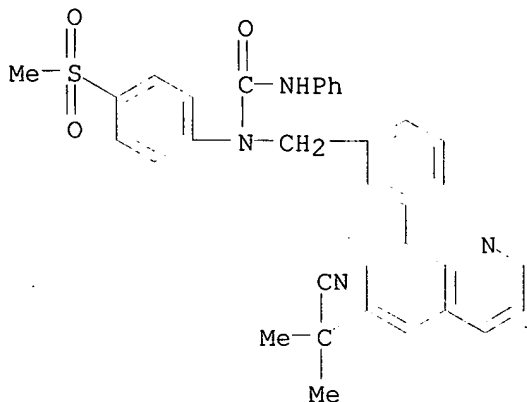
RN 605684-00-2 CAPLUS

CN Urea, N-[[3-[6-(1-cyano-1-methylethyl)-8-quinolinyl]phenyl]methyl]-N'-(1-methylethyl)-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



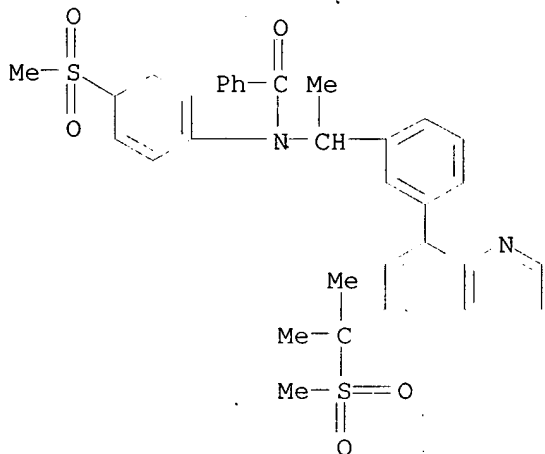
RN 605684-01-3 CAPLUS

CN Urea, N-[[3-[6-(1-cyano-1-methylethyl)-8-quinolinyl]phenyl]methyl]-N-[4-(methylsulfonyl)phenyl]-N'-phenyl- (9CI) (CA INDEX NAME)



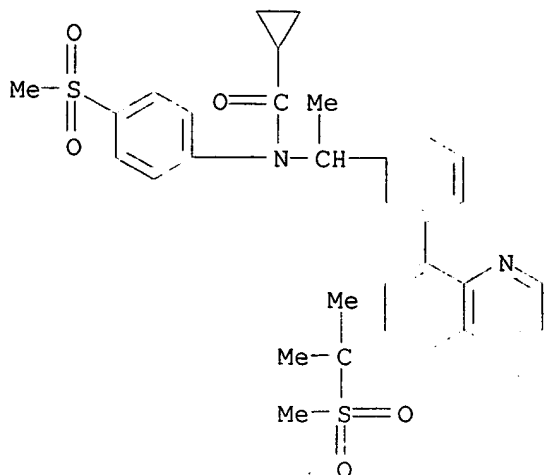
RN 605684-02-4 CAPLUS

CN Benzamide, N-[1-[3-[6-[1-methyl-1-(methylsulfonyl)ethyl]-8-quinolinyl]phenyl]ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

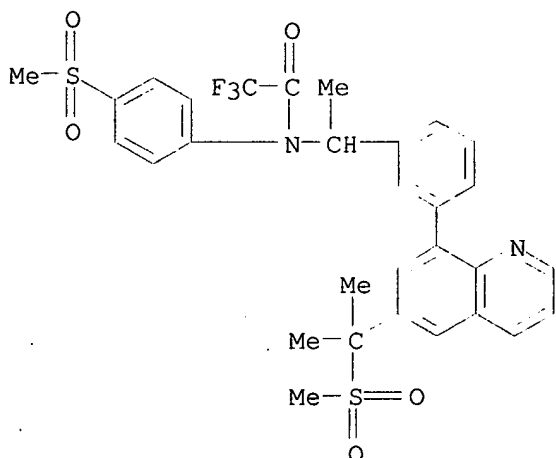


RN 605684-03-5 CAPLUS

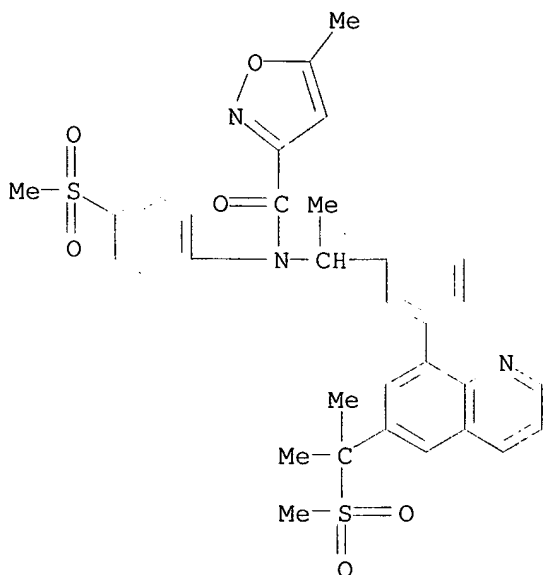
CN Cyclopropanecarboxamide, N-[1-[3-[6-[1-methyl-1-(methylsulfonyl)ethyl]-8-quinolinyl]phenyl]ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



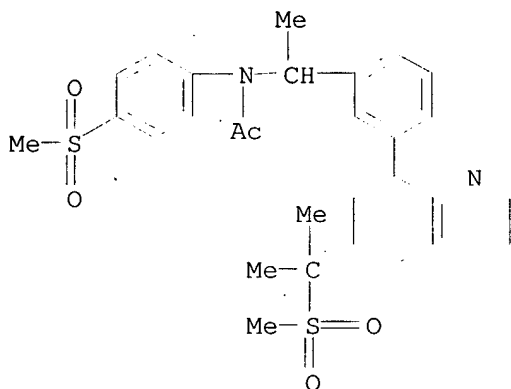
RN 605684-04-6 CAPLUS  
 CN Acetamide, 2,2,2-trifluoro-N-[1-[3-[6-[1-methyl-1-(methylsulfonyl)ethyl]-8-quinolinyl]phenyl]ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 605684-05-7 CAPLUS  
 CN 3-Isoxazolecarboxamide, 5-methyl-N-[1-[3-[6-[1-methyl-1-(methylsulfonyl)ethyl]-8-quinolinyl]phenyl]ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



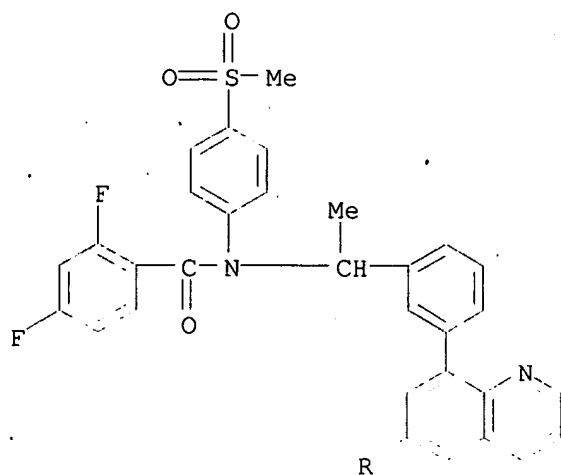
RN 605684-09-1 CAPLUS  
 CN Acetamide, N-[1-[3-[6-[1-methyl-1-(methanesulfonyl)ethyl]-8-quinolinyl]phenyl]ethyl]-N-[4-(methanesulfonyl)phenyl]- (9CI) (CA INDEX NAME)



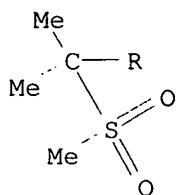
RN 605684-10-4 CAPLUS  
 CN Benzamide, 2,4-difluoro-N-[1-[3-[6-[1-methyl-1-(methanesulfonyl)ethyl]-8-quinolinyl]phenyl]ethyl]-N-[4-(methanesulfonyl)phenyl]- (9CI) (CA INDEX NAME)



PAGE 1-A

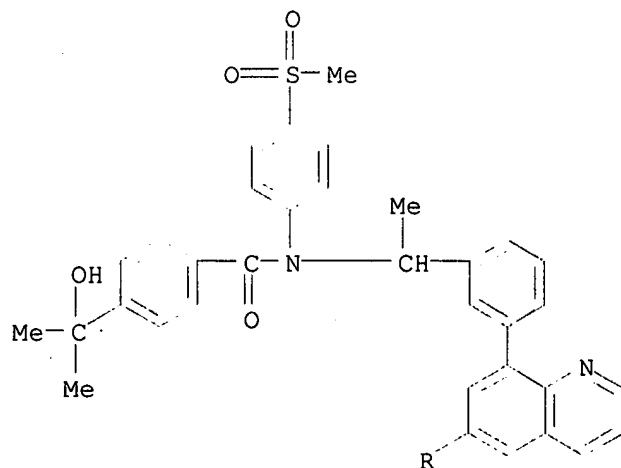


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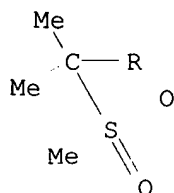


RN 605684-11-5 CAPLUS  
 CN Benzamide, 4-(1-hydroxy-1-methylethyl)-N-[1-[3-[6-[1-methyl-1-(methylsulfonyl)ethyl]-8-quinolinyl]phenyl]ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

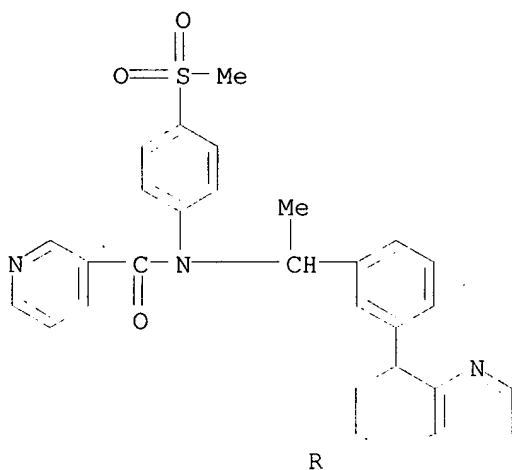


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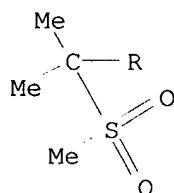


RN 605684-12-6 CAPLUS  
 CN 3-Pyridinecarboxamide, N-[1-[3-[6-[1-methyl-1-(methylsulfonyl)ethyl]-8-quinolinyl]phenyl]ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

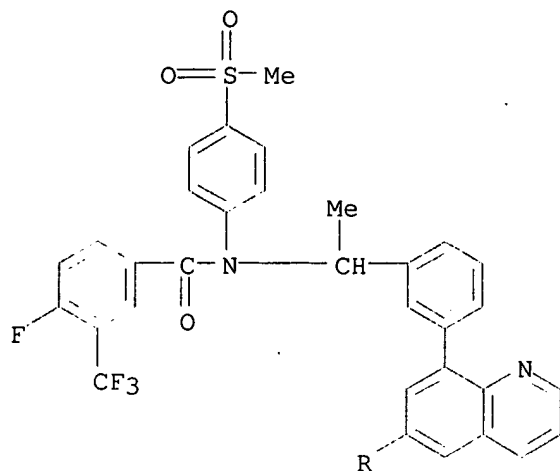


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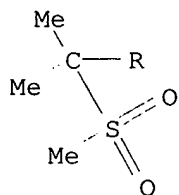


RN 605684-13-7 CAPLUS  
 CN Benzamide, 4-fluoro-N-[1-[3-[6-[1-methyl-1-(methylsulfonyl)ethyl]-8-quinolinyl]phenyl]ethyl]-N-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

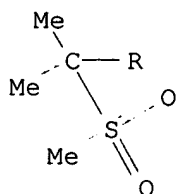
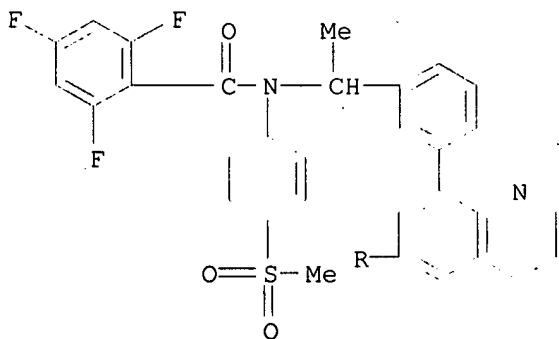
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PAGE 2-A

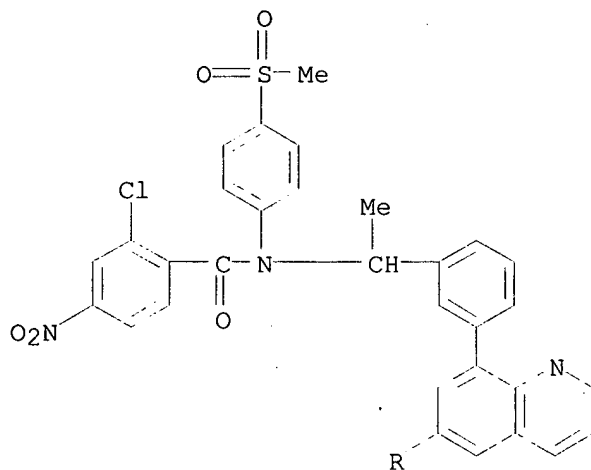


RN 605684-14-8 CAPLUS  
CN Benzamide, 2,4,6-trifluoro-N-[1-[3-[6-[1-methyl-1-(methylsulfonyl)ethyl]-8-quinolinyl]phenyl]ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

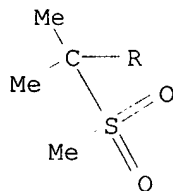


RN 605684-15-9 CAPLUS  
CN Benzamide, 2-chloro-N-[1-[3-[6-[1-methyl-1-(methylsulfonyl)ethyl]-8-quinolinyl]phenyl]ethyl]-N-[4-(methylsulfonyl)phenyl]-4-nitro- (9CI) (CA INDEX NAME)

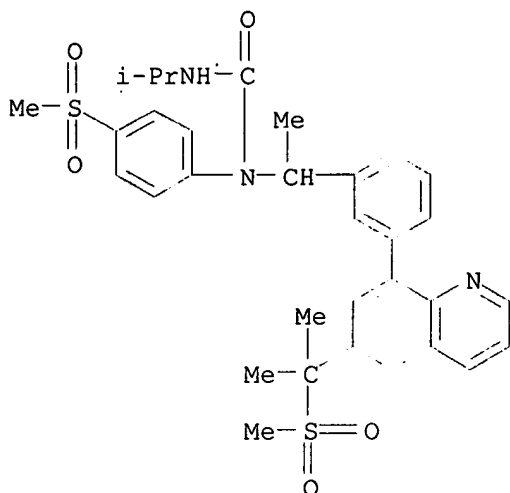
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PAGE 2-A

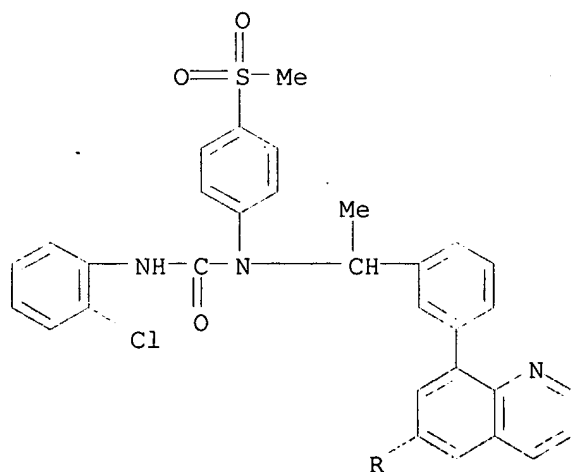


RN 605684-16-0 CAPLUS  
CN Urea, N'-(1-methylethyl)-N-[1-[3-[6-[1-methyl-1-(methylsulfonyl)ethyl]-8-quinolinyl]phenyl]ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

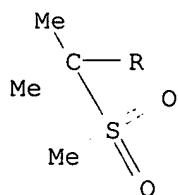


RN 605684-18-2 CAPLUS  
 CN Urea, N'-(2-chlorophenyl)-N-[1-[3-[6-[1-methyl-1-(methylsulfonyl)ethyl]-8-quinolinyl]phenyl]ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

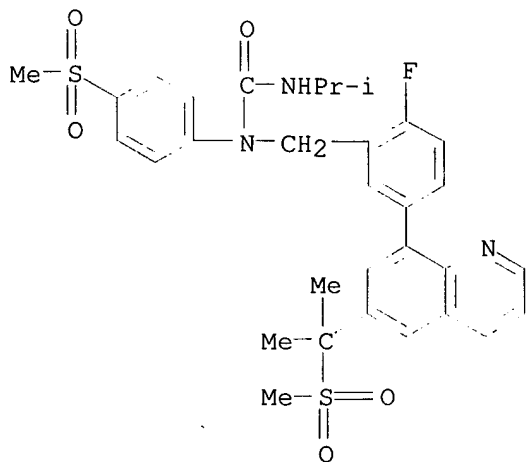


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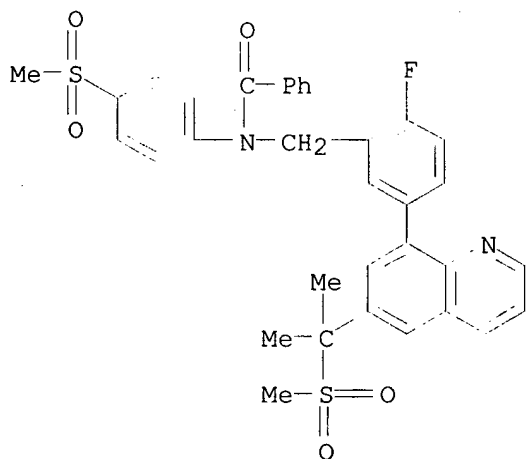
RN 605684-20-6 CAPLUS  
 CN Urea, N-[[2-fluoro-5-[6-[1-methyl-1-(methylsulfonyl)ethyl]-8-quinolinyl]phenyl]methyl]-N'-(1-methylethyl)-N-[4-(methylsulfonyl)phenyl]-

(9CI) (CA INDEX NAME)



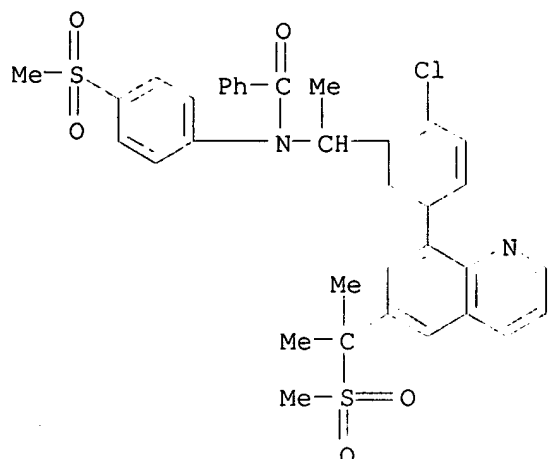
RN 605684-21-7 CAPLUS

CN Benzamide, N-[[2-fluoro-5-[6-[1-methyl-1-(methanesulfonyl)ethyl]-8-quinolinyl]phenyl]methyl]-N-[4-(methanesulfonyl)phenyl]- (9CI) (CA INDEX NAME)



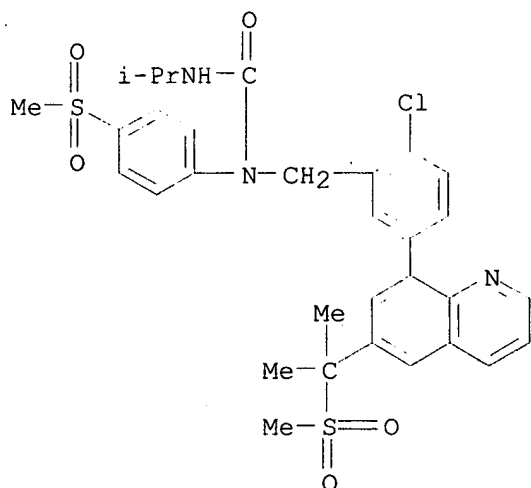
RN 605684-23-9 CAPLUS

CN Benzamide, N-[1-[2-chloro-5-[6-[1-methyl-1-(methanesulfonyl)ethyl]-8-quinolinyl]phenyl]ethyl]-N-[4-(methanesulfonyl)phenyl]- (9CI) (CA INDEX NAME)



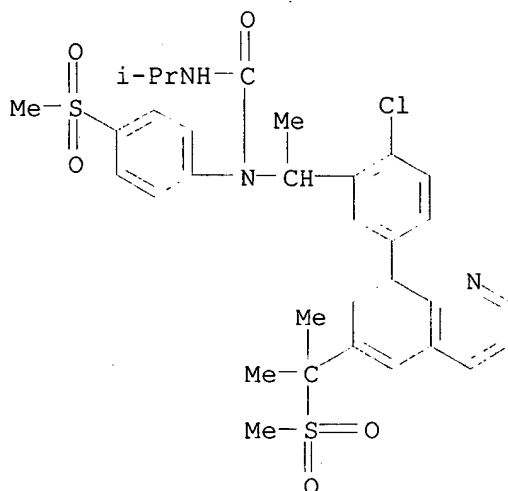
RN 605684-24-0 CAPLUS

CN Urea, N-[[2-chloro-5-[6-[1-methyl-1-(methanesulfonyl)ethyl]-8-quinolinyl]phenyl]methyl]-N'-(1-methylethyl)-N-[4-(methanesulfonyl)phenyl]-  
(9CI) (CA INDEX NAME)



RN 605685-03-8 CAPLUS

CN Urea, N-[1-[2-chloro-5-[6-[1-methyl-1-(methanesulfonyl)ethyl]-8-quinolinyl]phenyl]ethyl]-N'-(1-methylethyl)-N-[4-(methanesulfonyl)phenyl]-  
(9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 8 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:532638 CAPLUS

DOCUMENT NUMBER: 139:101146

TITLE: Preparation of benzyl or heterocyclylmethyl phenyl or heterocyclyl sulfones as .beta.-amyloid protein production/secretion inhibitors

INVENTOR(S): Yasukochi, Takanori; Ito, Masayuki; Kubota, Hideki; Miyauchi, Satoshi; Saito, Masaki

PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 540 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003055850	A1	20030710	WO 2002-JP13792	20021227
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: JP 2001-395701 A 20011227

OTHER SOURCE(S): MARPAT 139:101146

AB Novel compds. having various substituents as represented by the following general formula R1(R2)(R3)C-X-R4, salts thereof, and solvates of the same [wherein X = S, SO, SO2; R1 = CR5R6R7, NR8R9, X1R10, X2R11; wherein R5, R6, R7 = halo, cyano, NO2, -Q51-Q52-Q53-Q54; Q51, Q53 = single bond, CO, S(O), SO2, COCO, COC(S), C(S)C(S); Q52 = single bond, O, ON(A51), ON(COA51), N(A51), N(COA51), N(CO2A51), N[CON(A51)(A52)], N(OA51), N(NA51A52), N(A51)N(A52), N(COA51)N(A52), N(A51)-O, N(COA51)-O, S, N:N,



C(A51):N, C(A51):N-O, C(A51):N-N(A52), N:C(A51), O-N:C(A51), N(A51)-N:C(A52), C(:NA51)-N(A52); Q54 = A53, OA53, N(A53)(A54), SA53, NA54-OA53, NA55-N(A53)(A54), O-N(A53)(A54); wherein A51, A52, A53 = H, (un)substituted hydrocarbonyl or heterocyclyl; R2, R3, R4, R8, R9, R10, R11 = -Q51-Q52-Q53-Q54 defined in R5-R7; X1 = O, S; X2 = SO, SO2; or R1 and R2 or R3 and R4 are combined together to form (un)substituted cyclohydrocarbonyl or heterocyclyl] are prepd. These compds. have an effect of inhibiting the prodn./secretion of a .beta.-amyloid protein and are useful for the prevention or treatment of diseases caused by unusual prodn./secretion of .beta.-amyloid, in particular Alzheimer's disease or Down's syndrome. Thus, a soln. of 100 mg 2,5-dichloro-4-[(4-chlorophenylthio)-(2,5-difluorophenyl)methyl]pyridine (prepn. given) and 200 .mu.L morpholine in 1.0 mL 1,4-dioxane was stirred at 100.degree. for 2 days to give 4-[5-chloro-4-[(4-chlorophenylthio)-(2,5-difluorophenyl)methyl]pyridin-2-yl]morpholine which (90 mg) was dissolved in 12 mL MeOH, treated with 60 mg ammonium molybdate tetrahydrate [(NH4)6Mo7O24.4H2O] and 6 mL 30% H2O2, and stirred for 8 h to give 83% 4-[5-chloro-4-[(4-chlorophenylsulfonyl)-(2,5-difluorophenyl)methyl]pyridin-2-yl]morpholine (I). I in vitro glioma cell (H4 cell) expressing human .beta.-amyloid protein precursor protein gene (APP751 gene) with EC50 of .ltoreq.50 nM.

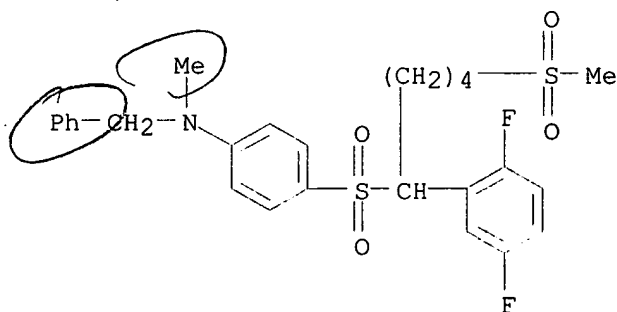
IT 558463-27-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of benzyl or heterocyclylmethyl Ph or heterocyclyl sulfones as .beta.-amyloid protein prodn./secretion inhibitors for treatment or prepn. of Alzheimer's disease or Down's syndrome)

RN 558463-27-7 CAPLUS

CN Benzenemethanamine, N-[4-[[1-(2,5-difluorophenyl)-5-(methylsulfonyl)pentyl]sulfonyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 9 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:202634 CAPLUS

DOCUMENT NUMBER: 138:238191

TITLE: Preparation of 1-[1-(pyrimidin-5-ylcarbonyl)piperidin-4-yl]piperidin-4-amines as CCR5 antagonists

INVENTOR(S): Palani, Anandan; Miller, Michael W.; Scott, Jack D.

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: PCT Int. Appl., 105 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003020716	A1	20030313	WO 2002-US27389	<del>20020828</del>
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

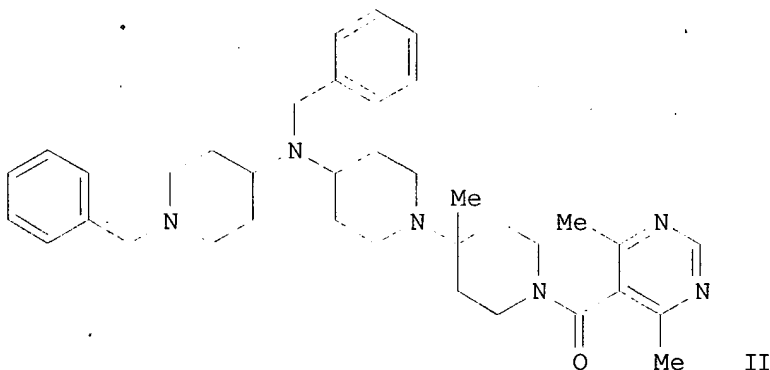
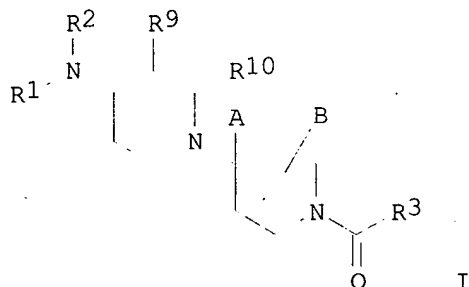
PRIORITY APPLN. INFO.:

US 2001-315683P P 20010829

OTHER SOURCE(S):

MARPAT 138:238191

GI



AB The title compds. [I; R1 = piperidiny, Ph, etc.; R2 = CH<sub>2</sub>Ph, 4-pyridylmethyl, etc.; R3 = 4,6-dimethylpyrimidine-5-yl, Ph, etc.; R9, R10, B = H, alkyl, haloalkyl; A = H, alkyl, alkenyl] and their pharmaceutically acceptable salts, useful, alone or in combination with another agent, in the treatment of Human Immunodeficiency Virus (HIV), solid organ transplant rejection, graft v. host disease, arthritis, rheumatoid arthritis, inflammatory bowel disease, atopic dermatitis, psoriasis, asthma, allergies or multiple sclerosis, were prepd. E.g., a 6-step synthesis of II, starting from 4-hydroxypiperidine and N-Boc-4-piperidone, which showed IC<sub>50</sub> of 1.7 nM in luciferase HIV replication assay, was given.

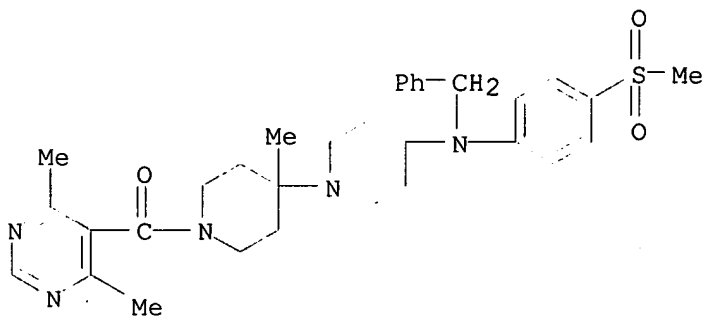
IT 501446-02-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 1-[1-(pyrimidin-5-ylcarbonyl)piperidin-4-yl]piperidin-4-  
amines as CCR5 antagonists)

RN 501446-02-2 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, 1'-[(4,6-dimethyl-5-pyrimidinyl)carbonyl]-4'-  
methyl-N-[4-(methylsulfonyl)phenyl]-N-(phenylmethyl)- (9CI) (CA INDEX  
NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 10 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:202625 CAPLUS

DOCUMENT NUMBER: 138:238016

TITLE: Preparation of cyclic amine compounds as cell adhesion  
inhibitors

INVENTOR(S): Kodama, Tatsuhiko; Tamura, Masahiro; Oda, Toshiaki;  
Yamazaki, Yuki Yoshi; Nishikawa, Masahiro; Takemura,  
Shunji; Doi, Takeshi; Kyotani, Yoshinori; Ohkuchi,  
Masao

PATENT ASSIGNEE(S): Kowa Co., Ltd., Japan

SOURCE: PCT Int. Appl., 291 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

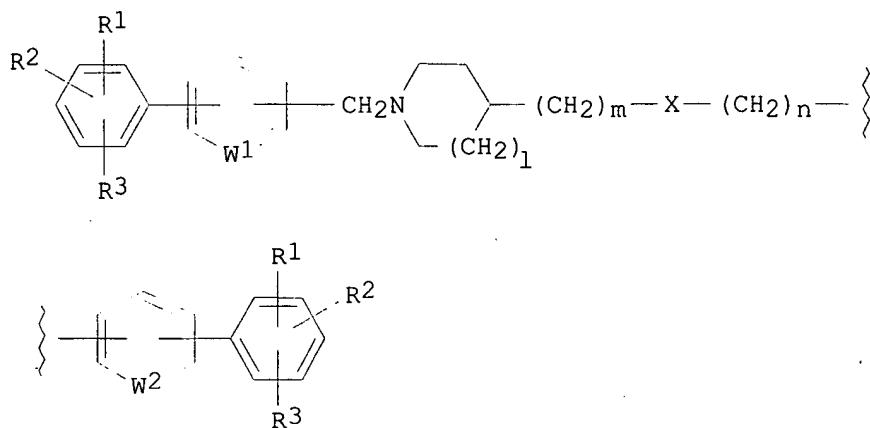
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003020703	A1	20030313	WO 2002-JP8650	20020828
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 6395753	B1	20020528	US 2001-941684	20010830
US 6498169	B1	20021224	US 2001-983928	20011026
US 6605620	B1	20030812	US 2002-107180	20020328
PRIORITY APPLN. INFO.:			US 2001-941684	A 20010830
			US 2001-983928	A 20011026
			US 2002-107180	A 20020328
			US 2002-191534	A 20020710
OTHER SOURCE(S):	MARPAT 138:238016			

GI



I

AB The title compds. I [R1, R2, and R3 each independently represents hydrogen, alkoxy, etc.; W1 and W2 are the same or different and each represents nitrogen or CH; X represents oxygen, NR4, CONR4, or NR4CO; R4 represents hydrogen, alkyl, aryl, heteroaryl, aralkyl, heteroaralkyl, etc.; and l, m, and n each is 0 or 1] are prepd. I are useful as antiallergic, antirheumatic, antiasthmatic agents, etc. In an in vitro test for cell adhesion inhibition, compds. of this invention showed IC50 values of 0.04 .mu.M to 0.3 .mu.M. Formulations are given.

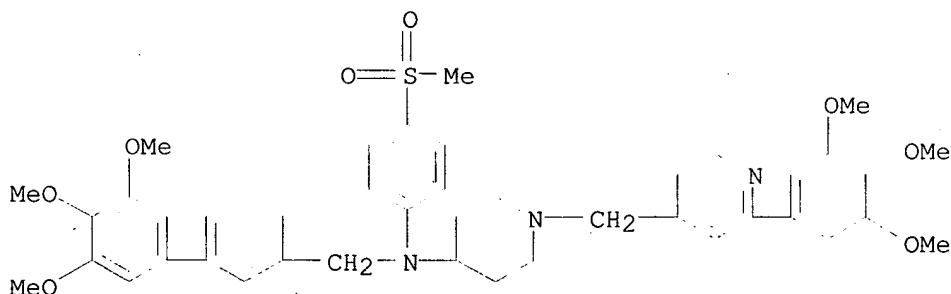
IT 501673-35-4P 501673-36-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of cyclic amine compds. as cell adhesion inhibitors)

RN 501673-35-4 CAPLUS

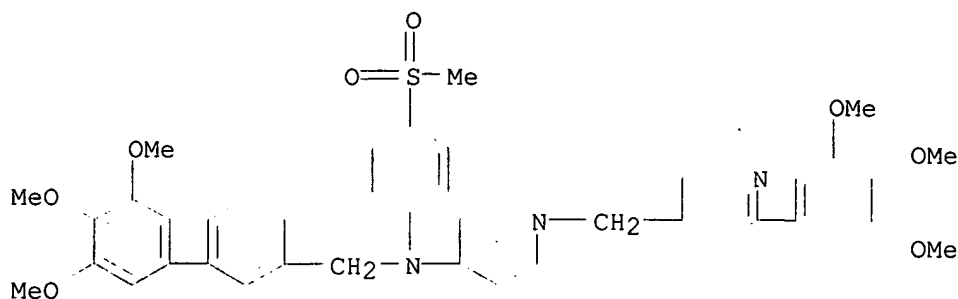
CN 4-Piperidinamine, N-[4-(methylsulfonyl)phenyl]-N-[(3',4',5'-trimethoxy[1,1'-biphenyl]-3-yl)methyl]-1-[[2-(3,4,5-trimethoxyphenyl)-4-pyridinyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 501673-36-5 CAPLUS

CN 4-Piperidinamine, N-[4-(methylsulfonyl)phenyl]-N-[(3',4',5'-trimethoxy[1,1'-biphenyl]-3-yl)methyl]-1-[[2-(3,4,5-trimethoxyphenyl)-4-pyridinyl]methyl]- (9CI) (CA INDEX NAME)

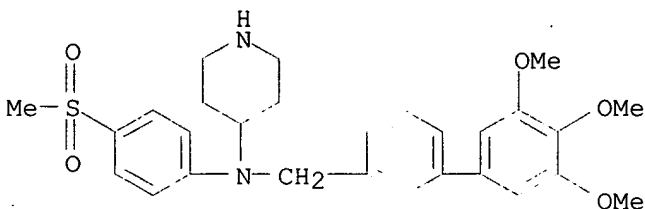


IT 501674-68-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. of cyclic amine compds. as cell adhesion inhibitors)

RN 501674-68-6 CAPLUS

CN 4-Piperidinamine, N-[4-(methylsulfonyl)phenyl]-N-[(3',4',5'-trimethoxy[1,1'-biphenyl]-3-yl)methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

9

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 11 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:154392 CAPLUS

DOCUMENT NUMBER: 138:205074

TITLE: Preparation of .beta.-ketoamide compounds as HIV integrase inhibitors

INVENTOR(S): Katoh, Susumu; Miyazaki, Susumu; Habuka, Noriyuki

PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan

SOURCE: PCT Int. Appl., 252 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

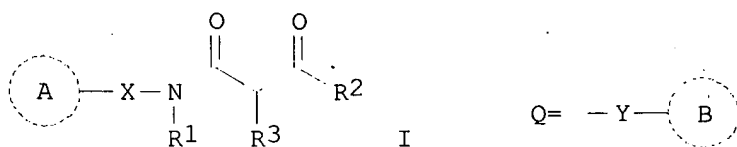
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003016266	A1	20030227	WO 2002-JP8211	20020812
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,			

NE, SN, TD, TG  
 PRIORITY APPLN. INFO.:

JP 2001-247346 A 20010816  
 JP 2001-372066 A 20011205  
 JP 2002-151232 A 20020524

OTHER SOURCE(S): MARPAT 138:205074  
 GI



AB .beta.-Ketoamide compds. represented by the following general formula (I) or pharmaceutically acceptable salts thereof [the ring A = (un)substituted C3-10 carbocyclic group, (un)substituted heterocyclyl optionally contg. at least one heteroatoms selected from N, O, and S; X = a bond, C1-6 alkylene, C2-6 alkenylene, (CH<sub>2</sub>)<sub>m</sub>-Z-(CH<sub>2</sub>)<sub>n</sub>\* [wherein Z = O, (un)substituted NH, CO, SO, SO<sub>2</sub>; m = an integer of 0-4; n = an integer of 1-4; \* denotes an ending which is bonded to the N atom of .beta.-ketoamide]; R1 = C1-10 alkyl, C2-10 alkenyl, Q (wherein Y and the ring B are same or different groups defined in X and the ring A, resp.); R2 = CO<sub>2</sub>R<sub>5</sub>, CONR<sub>6</sub>R<sub>7</sub>, COR<sub>8</sub>, (un)substituted heterocyclyl [wherein R<sub>5</sub>-R<sub>8</sub> = H, (un)substituted C1-10 alkyl, C3-10 carbocyclyl, or heterocyclyl]; R3 = H, halo, C1-4 alkyl, C1-4 alkoxy, COR<sub>9</sub>, O-COR<sub>9</sub>, CONR<sub>10</sub>R<sub>11</sub> [R<sub>9</sub>-R<sub>11</sub> = H, (un)substituted C1-10 alkyl or C3-10 carbocyclyl]; provided that .beta.-oxo-N,N-bis(phenylmethyl)-2-thiophenepropanamide is excluded] are prepd. and anti-HIV agents contg. these compds. I are claimed. Because of having an HIV integrase inhibitory activity, the above compds. I are useful as anti-HIV agents to be used in remedies or preventives for AIDS. Further efficacious anti-HIV agents can be obtained by combining the compds. with other anti-HIV agents such as a protease inhibitor or a reverse transcriptase inhibitor. Because of showing a specifically high inhibitory activity on integrase, these compds. I are usable as safe drugs with little side effects on the human body. Thus, 3.5 g N-(3-carboxyphenyl)-N-(3,4-dichlorobenzyl)acetamide (prepn. given) was dissolved in 105 mL THF, cooled in a dry ice-ethanol bath, treated dropwise with 5.2 mL 1.5 M lithium diisopropylamide/cyclohexane, stirred for 15 min at the same temp., treated dropwise with a soln. of 2.6 g Me 2,2,5,5-tetramethylcyclopentyl oxalate in 10 mL THF, stirred for 15 min at the same temp., and warmed to room temp. and stirred at room temp. for 3 h to give 1.42 g 2,2,5,5-tetramethylcyclopentyl 4-[N-(3-carboxyphenyl)-N-(3,4-dichlorobenzyl)amino]-2,4-dioxobutanoate (II). II, 2,2,5,5-tetramethylcyclopentyl 4-[N-(3-carboxy-3-methoxyphenyl)-N-(3,4-dichlorobenzyl)amino]-2,4-dioxobutanoate, and N-(3,4-dichlorobenzyl)-N-(3-chloro-4-carboxyphenyl)-3-(4-methoxypyrimidin-2-yl)-3-oxopropanamide showed IC<sub>50</sub> of 0.0092, 0.0041, and 0.0072 .mu.M, resp., against recombinant HIV integrase.

IT 500150-81-2P 500151-40-6P 500151-41-7P  
 500151-42-8P 500153-31-1P 500154-10-9P  
 500154-38-1P 500154-52-9P 500154-70-1P  
 500154-79-0P 500155-15-7P 500155-82-8P

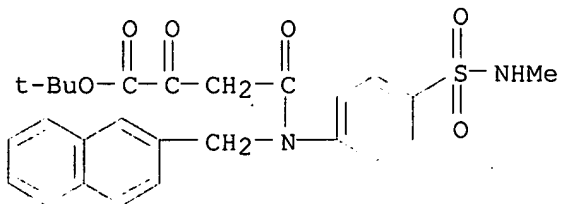
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of .beta.-ketoamide compds. as HIV integrase inhibitors and anti-HIV agents for treatment or prevention of AIDS)

RN 500150-81-2 CAPLUS

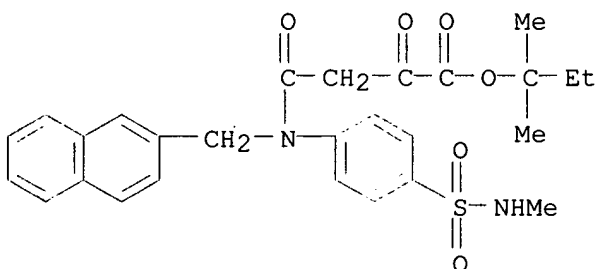
CN Butanoic acid, 4-[[4-[(methylamino)sulfonyl]phenyl](2-naphthalenylmethyl)amino]-2,4-dioxo-, 1,1-dimethylethyl ester (9CI) (CA

INDEX NAME)



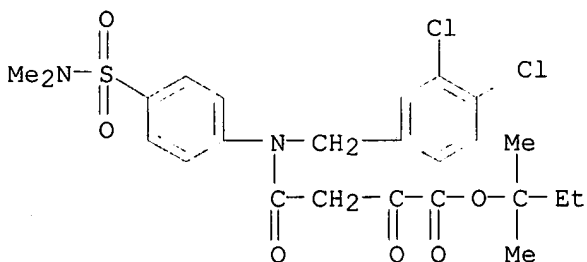
RN 500151-40-6 CAPLUS

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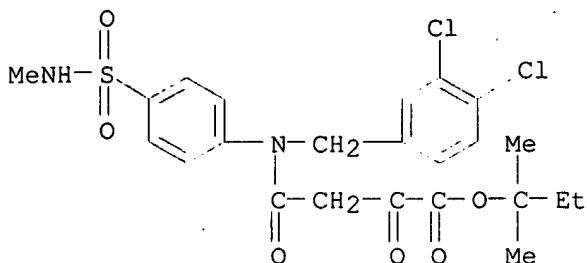
RN 500151-41-7 CAPLUS

CN Butanoic acid, 4-[[[4-[(3,4-dichlorophenyl)methyl](4-(dimethylamino)sulfonyl)phenyl]amino]-2,4-dioxo-, 1,1-dimethylpropyl ester (9CI) (CA INDEX NAME)



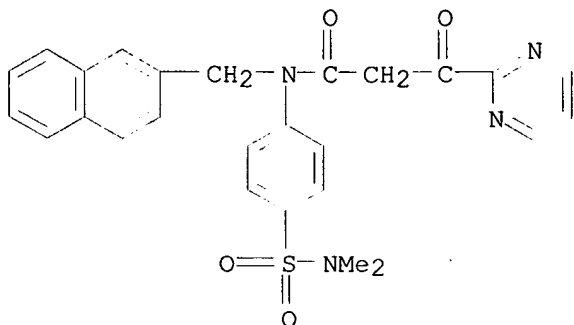
RN 500151-42-8 CAPLUS

CN Butanoic acid, 4-[[[4-[(3,4-dichlorophenyl)methyl](4-(methylamino)sulfonyl)phenyl]amino]-2,4-dioxo-, 1,1-dimethylpropyl ester (9CI) (CA INDEX NAME)



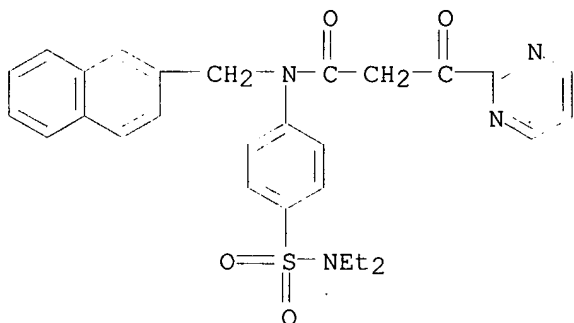
RN 500153-31-1 CAPLUS

CN 2-Pyrimidinepropanamide, N-[4-[(dimethylamino)sulfonyl]phenyl]-N-(2-naphthalenylmethyl)-.beta.-oxo- (9CI) (CA INDEX NAME)



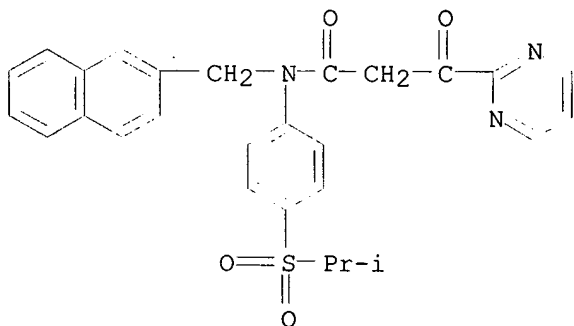
RN 500154-10-9 CAPLUS

CN 2-Pyrimidinepropanamide, N-[4-[(diethylamino)sulfonyl]phenyl]-N-(2-naphthalenylmethyl)-.beta.-oxo- (9CI) (CA INDEX NAME)



RN 500154-38-1 CAPLUS

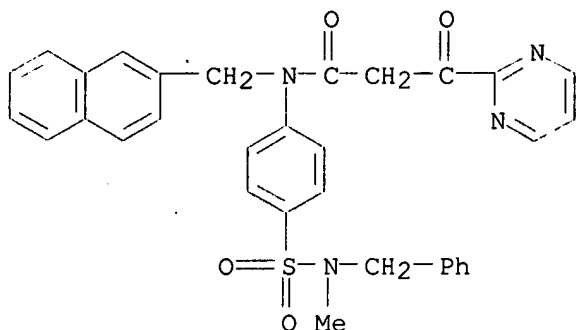
CN 2-Pyrimidinepropanamide, N-[4-[(1-methylethyl)sulfonyl]phenyl]-N-(2-naphthalenylmethyl)-.beta.-oxo- (9CI) (CA INDEX NAME)



RN 500154-52-9 CAPLUS

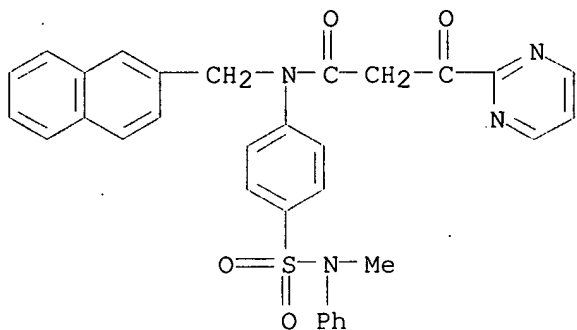
CN 2-Pyrimidinepropanamide, N-[4-[[methyl(phenylmethyl)amino]sulfonyl]phenyl]-N-(2-naphthalenylmethyl)-.beta.-oxo- (9CI) (CA INDEX NAME)





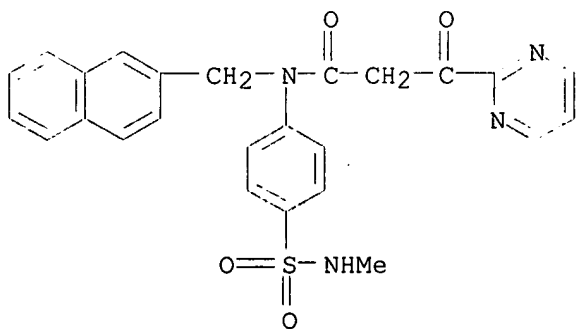
RN 500154-70-1 CAPLUS

CN 2-Pyrimidinepropanamide, N-[4-[(methylphenylamino)sulfonyl]phenyl]-N-(2-naphthalenylmethyl)-.beta.-oxo- (9CI) (CA INDEX NAME)



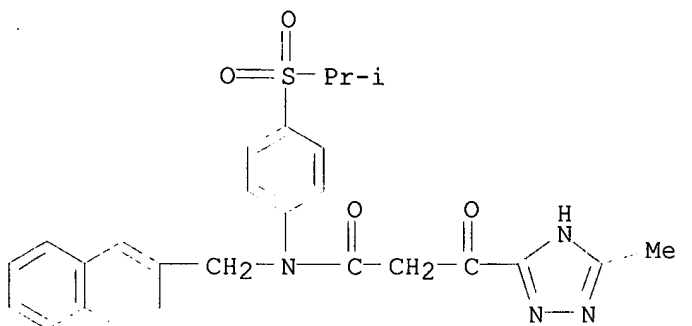
RN 500154-79-0 CAPLUS

CN 2-Pyrimidinepropanamide, N-[4-[(methylamino)sulfonyl]phenyl]-N-(2-naphthalenylmethyl)-.beta.-oxo- (9CI) (CA INDEX NAME)



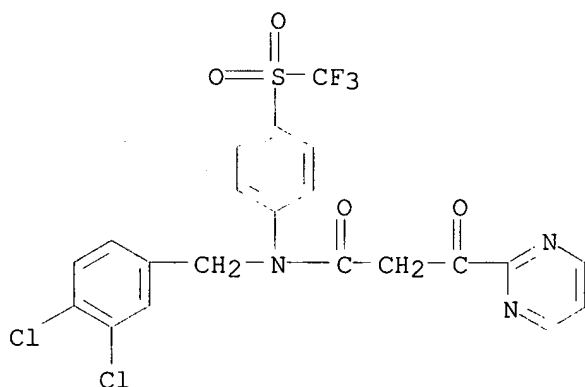
RN 500155-15-7 CAPLUS

CN 1H-1,2,4-Triazole-3-propanamide, 5-methyl-N-[4-[(1-methylethyl)sulfonyl]phenyl]-N-(2-naphthalenylmethyl)-.beta.-oxo- (9CI) (CA INDEX NAME)



RN 500155-82-8 CAPLUS

CN 2-Pyrimidinepropanamide, N-[(3,4-dichlorophenyl)methyl]-.beta.-oxo-N-[4-[(trifluoromethyl)sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



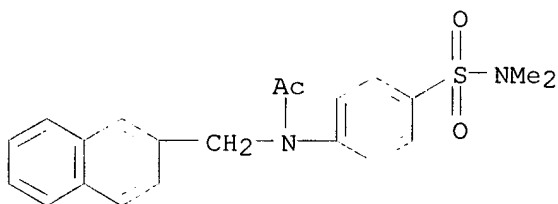
IT 500160-35-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of .beta.-ketoamide compds. as HIV integrase inhibitors and anti-HIV agents for treatment or prevention of AIDS)

RN 500160-35-0 CAPLUS

CN Acetamide, N-[4-[(dimethylamino)sulfonyl]phenyl]-N-(2-naphthalenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

8

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 12 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:76756 CAPLUS

DOCUMENT NUMBER: 138:122655

TITLE: Preparation of N-pyrimidinyl-4-aminobenzenesulfonamides useful for treatment or

prevention of disease mediated by .alpha.2B-adrenoceptor

INVENTOR(S): Joutsamo, Topi; Tauber, Andrei Yurievitch; Salo, Harri; Hoffren, Anna-marja; Wurster, Siegfried

PATENT ASSIGNEE(S): Oy Juvantia Pharma Ltd, Finland

SOURCE: PCT Int. Appl., 46 pp.

CODEN: PIXXD2

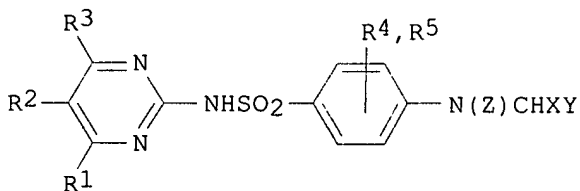
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003008387	A1	20030130	WO 2002-FI643	<del>20020722</del>
<p>W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG</p> <p>RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG</p>				
FI 2001001560	A	20030121	FI 2001-1560	20010720
US 2003073710	A1	20030417	US 2002-196123	20020717
PRIORITY APPLN. INFO.:				
			FI 2001-1560	A 20010720
			US 2001-306449P	P 20010720
OTHER SOURCE(S): MARPAT 138:122655				
GI				



AB The invention relates to N-pyrimidinyl-4-aminobenzenesulfonamides (shown as I; variables defined below; e.g. N-(4,6-dimethylpyrimidin-2-yl)-4-[(1-isobutyl-1H-benzimidazol-2-ylmethyl)amino]benzenesulfonamide) or a pharmaceutically acceptable salt thereof. This invention further relates to the use of said compds. for the manuf. of a pharmaceutical prepn. useful for the treatment or prevention of a disease mediated by the .alpha.2B-adrenoceptor in a mammal. For I: R1, R2, R3, R4 and R5 = H, a straight or branched alkyl or alkoxy group with 1 to 4 C atoms, or a halogen; X = H, a straight or branched alkyl chain with 1 to 4 C atoms, Ph or -OH; Z = H, acetyl, -CH2-Ph-O-CF3 or -CH2-Ph-CF3, where Ph is Ph. Y = a ring structure optionally linked with an alkyl chain having one or two C atoms, wherein the ring structure is (a) Ph optionally mono- or disubstituted and each substituent = a halogen, a straight or branched alkyl or alkoxy chain with 1 to 4 C atoms, a halogen substituted Me or methoxy group, a nitrile, an amide, amino, or a nitro group; (b) 2-benzimidazolyl, 2-imidazolyl, or 2- or 3-indolyl, wherein one N optionally has a substituent that is a straight or branched alkyl or alkoxy chain with 1 to 4 C atoms, or benzyl; and wherein the 2-benzimidazolyl, 2-imidazolyl, or 2- or 3-indolyl is optionally mono- or

disubstituted and each substituent can independently be a straight or branched alkyl or alkoxy group with 1 to 4 C atoms, or a halogen; (c) pyridinyl optionally mono- or disubstituted and each substituent can independently be a straight or branched alkyl or alkoxy group with 1 to 4 C atoms, or a halogen; or (d) naphthyl optionally mono- or disubstituted and each substituent can independently be a straight or branched alkyl or alkoxy group with 1 to 4 C atoms, or a halogen; with the proviso that 4 specific compds. are excluded. Although the methods of prepn. are not claimed, 44 example preps. are included. Human .alpha.2-adrenoceptor subtypes binding affinities are reported for 8 examples of I; also antagonist effect on human .alpha.2-adrenoceptor subtypes are reported for 5 examples of I.

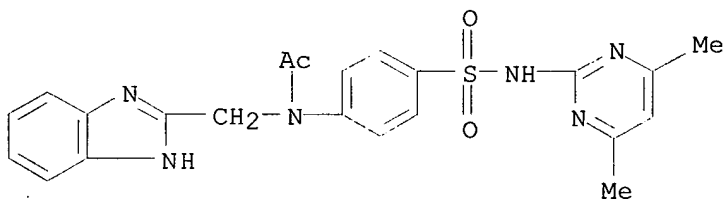
IT 491600-26-1P, N-(1H-Benzimidazol-2-ylmethyl)-N-[4-[(4,6-dimethylpyrimidin-2-yl)sulfamoyl]phenyl]acetamide 491600-27-2P, N-(1-Acetyl-1H-benzimidazol-2-ylmethyl)-N-[4-[(4,6-dimethylpyrimidin-2-yl)sulfamoyl]phenyl]acetamide 491600-42-1P, 4-[Bis(4-trifluoromethylbenzyl)amino]-N-(4,6-dimethylpyrimidin-2-yl)benzenesulfonamide 491600-44-3P, 4-[Bis(4-trifluoromethoxybenzyl)amino]-N-(4,6-dimethylpyrimidin-2-yl)benzenesulfonamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of N-pyrimidinyl-4-aminobenzenesulfonamides useful for treatment or prevention of diseases mediated by .alpha.2B-adrenoceptor)

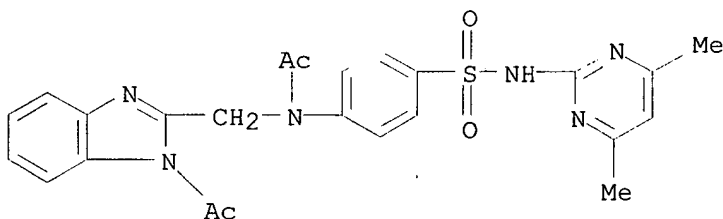
RN 491600-26-1 CAPLUS

CN Acetamide, N-(1H-benzimidazol-2-ylmethyl)-N-[4-[(4,6-dimethyl-2-pyrimidinyl)amino]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



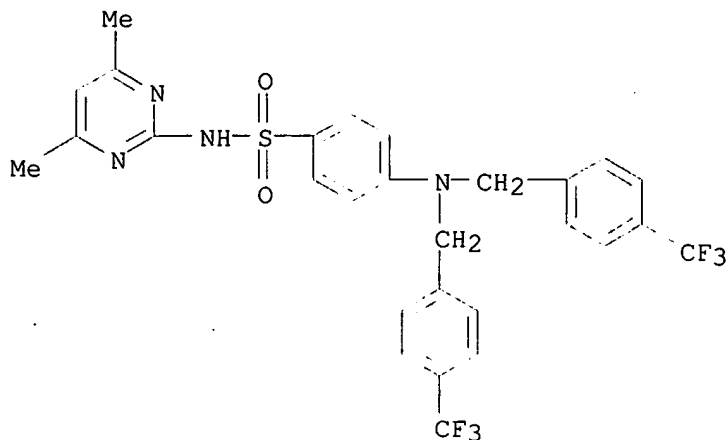
RN 491600-27-2 CAPLUS

CN Acetamide, N-[(1-acetyl-1H-benzimidazol-2-yl)methyl]-N-[4-[(4,6-dimethyl-2-pyrimidinyl)amino]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)

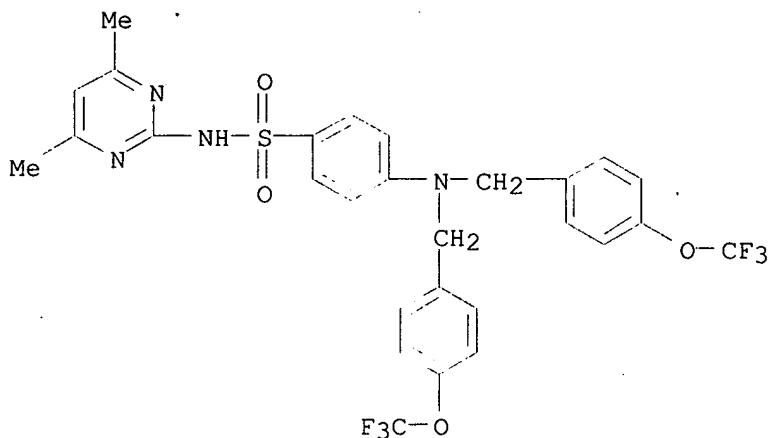


RN 491600-42-1 CAPLUS

CN Benzenesulfonamide, 4-[bis[[4-(trifluoromethyl)phenyl]methyl]amino]-N-(4,6-dimethyl-2-pyrimidinyl)- (9CI) (CA INDEX NAME)



RN 491600-44-3 CAPLUS  
CN Benzenesulfonamide, 4-[bis[[4-(trifluoromethoxy)phenyl]methyl]amino]-N-(4,6-dimethyl-2-pyrimidinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

19 ANSWER 13 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN  
ACCESSION NUMBER: 2002:368463 CAPLUS  
DOCUMENT NUMBER: 136:386109  
TITLE: Preparation of amide derivatives as antiherpes agents  
INVENTOR(S): Kontani, Toru; Miyata, Junji; Hamaguchi, Wataru;  
Miyazaki, Yoji; Suzuki, Hiroshi; Nakai, Eiichi;  
Kageyama, Shunji  
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan; Rational  
Drug Design Laboratories  
SOURCE: PCT Int. Appl., 71 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2002038554 A1 20020516 WO 2001-JP9790 20011108  
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,  
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,  
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,  
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,  
PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,  
US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2002012734 A5 20020521 AU 2002-12734 20011108

EP 1340750 A1 20030903 EP 2001-981033 20011108

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

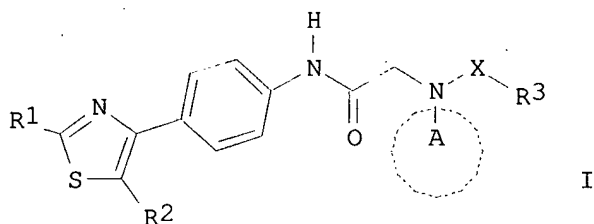
PRIORITY APPLN. INFO.:

JP 2000-344354 A 20001110

WO 2001-JP9790 W 20011108

OTHER SOURCE(S): MARPAT 136:386109

GI



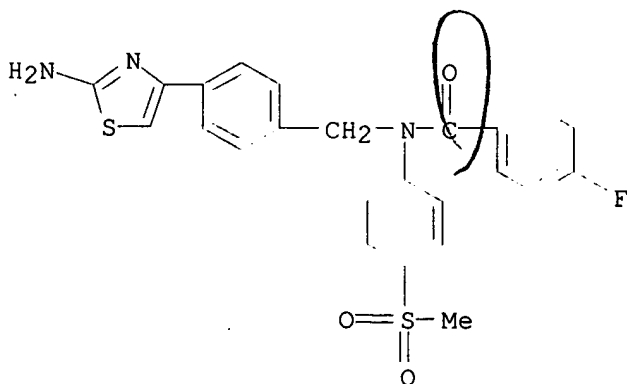
AB The title compds. I [R1, R2 = H, alkyl, etc.; ring A = (un)substituted aryl, etc.; X = CO, SO2; R3 = (un)substituted cycloalkyl, etc.] are prepd. These amide derivs. are useful as drugs and antiviral agents, in particular, preventives or remedies for various diseases caused by the infection with herpesviruses, more specifically, various herpesvirus infections such as pox (blister) caused by the infection with varicella zoster virus, herpes zoster caused by the recurrent infection with latent varicella zoster virus, herpes labialis and herpes encephalitis caused by the infection with HSV-1 and genital herpes caused by the infection with HSV-2. N-([[4-(2-Aminothiazol-4-yl)phenyl]carbonyl]methyl)-4-fluoro-N-(2,3-dihydro-1H-indol-6-yl)benzamide dihydrochloride showed EC50 value of 0.046 .mu.M against varicella zoster virus, vs. EC50 value of 4.3 .mu.M shown by acyclovir.

IT 425691-02-7

RL: RCT (Reactant); RACT (Reactant or reagent)  
(prepn. of amide derivs. as antiherpes agents)

RN 425691-02-7 CAPLUS

CN Benzamide, N-[[4-(2-amino-4-thiazolyl)phenyl]methyl]-4-fluoro-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



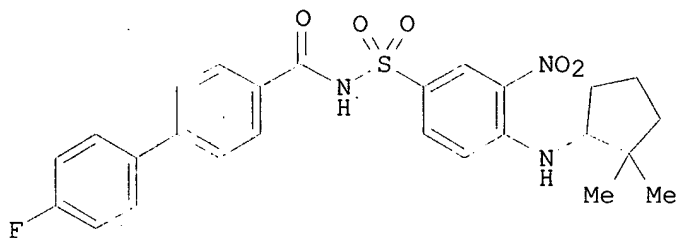
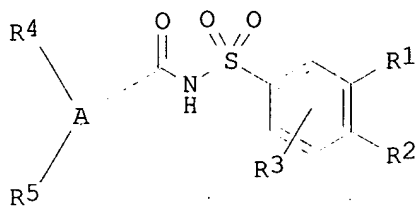
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 14 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN  
ACCESSION NUMBER: 2002:240717 CAPLUS  
DOCUMENT NUMBER: 136:279215  
TITLE: Preparation of N-arylcarbonyl- and heteroarylcarbonyl benzenesulfonamide inhibitors of Bcl-Xl and Bcl-2 as promoters of apoptosis  
INVENTOR(S): McClellan, William; Oost, Thorsten; Bruncko, Milan; Wang, Xilu; Augeri, David J.; Baumeister, Steven A.; Dickman, Daniel A.; Ding, Hong; Dinges, Jurgen; Fesik, Stephen W.; Hajduk, Philip J.; Kunzer, Aaron R.; Nettesheim, David G.; Petros, Andrew M.; Rosenberg, Saul H.; Shen, Wang; Thomas, Sheela A.; Wendt, Michael D.  
PATENT ASSIGNEE(S): Abbott Laboratories, USA  
SOURCE: PCT Int. Appl., 292 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002024636	A2	20020328	WO 2001-US29432	20010920
WO 2002024636	A3	20020926		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2002055631	A1	20020509	US 2001-935581	20010824
AU 2001091151	A5	20020402	AU 2001-91151	20010920
EP 1318978	A2	20030618	EP 2001-971244	20010920
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			

PRIORITY APPLN. INFO.: US 2000-666508 A 20000920  
US 2001-935581 A 20010824  
WO 2001-US29432 W 20010920

OTHER SOURCE(S): MARPAT 136:279215  
GI



II

AB N-aryl- and N-heteroarylcarbonyl benzenesulfonamides I [A = (un)substituted Ph, 5- or 6-membered heterocyclic ring with 1-3 N, O, or S atoms; R1 = alkyl, haloalkyl, NO<sub>2</sub>, NR<sub>6</sub>R<sub>7</sub>; R<sub>2</sub>, R<sub>3</sub> = H, alkyl, alkenyl, alkynyl, alkoxy, alkylthio, etc.; R<sub>4</sub> = aryl, arylalkenyl, arylalkoxy, cycloalkenyl, cycloalkyl, halo, heterocyclyl, heterocyclyloxy; R<sub>5</sub> = H, alkyl, halo; R<sub>6</sub>, R<sub>7</sub> = H, alkenyl, alkoxyalkyl, alkoxycarbonylalkyl, alkyl, heterocyclyl, etc.; R<sub>6</sub>R<sub>7</sub>N = imidazolyl, morpholinyl, piperazinyl, piperidinyl, pyrrolidinyl, etc.] are prepd. Over 500 I are prepd. E.g., N-biphenylcarbonyl benzenesulfonamide II was prepd. by Pd-catalyzed coupling of 4-FC<sub>6</sub>H<sub>4</sub>B(OH)<sub>2</sub> and 4-BrC<sub>6</sub>H<sub>4</sub>CO<sub>2</sub>Me, hydrolysis of the ester with LiOH, acylation of 4-chloro-3-nitrobenzenesulfonamide with the resulting acid in the presence of EDCI and DMAP, and nucleophilic arom. substitution of the chlorobenzenesulfonamide with 2,2-dimethylcyclopentylamine. Compds. of the invention inhibit Bcl-X<sub>1</sub> with IC<sub>50</sub> values between 0.011 .mu.M and 10 .mu.M, and inhibit Bcl-2 with IC<sub>50</sub> values between 0.017 .mu.M and 10 .mu.M.

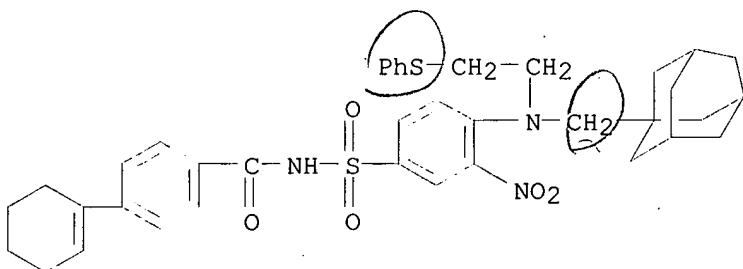
IT 406228-01-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-aryl- and heteroarylcarbonyl benzenesulfonamide inhibitors of Bcl-X<sub>1</sub> and Bcl-2 as promoters of apoptosis)

RN 406228-01-1 CAPLUS

CN Benzamide, 4-(1-cyclohexen-1-yl)-N-[[3-nitro-4-[[2-(phenylthio)ethyl](tricyclo[3.3.1.1<sup>3,7</sup>]dec-1-ylmethyl)amino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

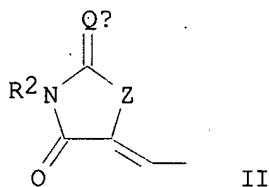
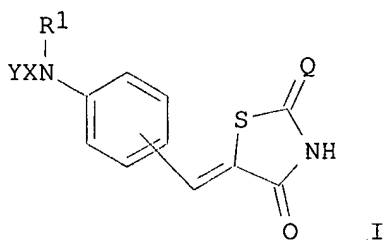




~~DB~~ ANSWER 15 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN  
ACCESSION NUMBER: 2002:847769 CAPLUS  
DOCUMENT NUMBER: 137:346152  
TITLE: Thiazolidine derivatives as telomerase inhibitors,  
pharmaceuticals containing them, and their use  
INVENTOR(S): Kitamura, Takashi; Kato, Kazuhiko; Murakata, Isamu;  
Yamashita, Nobunori; Asai, Akiyoshi  
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 25 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002322162	A2	<del>20021108</del>	JP 2001-129505	20010426
PRIORITY APPLN. INFO.:			JP 2001-129505	20010426
OTHER SOURCE(S):	MARPAT	137:346152		

GI



AB The derivs. I [Q = O, S; R1 = (un)substituted aralkyl; X = benzene ring, pyridine ring, thiophene ring; if X = benzene ring, then Y = H, (un)substituted lower alkenyl, carboxy, (un)substituted lower alkoxy carbonyl, carbamoyl, (un)substituted lower alkylcarbamoyl, CH:NOH, SO3H, sulfamoyl, lower alkylsulfamoyl, lower alkanoylsulfamoyl, NO2, amino, sulfamoylamino, halo, II [QA = O, S; R2 = H, (un)substituted lower alkyl; if QA = O, then Z = NHCONH, NH]; if X = pyridine or thiophene, then Y = II (QA = O; Z = S)] and their pharmacol. acceptable salts inhibit telomerase and are useful as antitumor agents. 4-I (Q = O, R1 = CH2C6H3Cl2-3,4, X = benzene ring, Y = H) (prepn. given) inhibited telomerase at IC50 .ltoreq.50 .mu.mol/L.

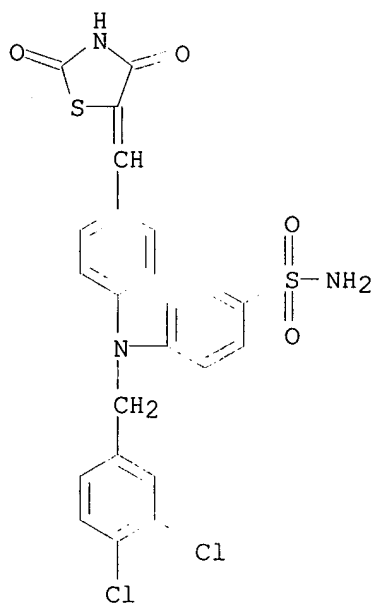
IT 474484-22-5P 474484-24-7P 474484-26-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of thiazolidine derivs. as telomerase inhibitors useful as antitumor agents)

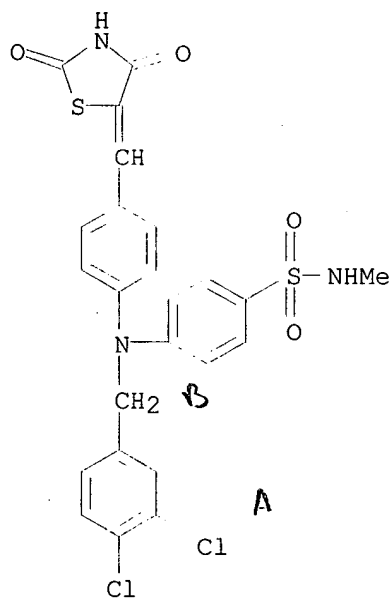
RN 474484-22-5 CAPLUS

CN Benzenesulfonamide, 4-[[[(3,4-dichlorophenyl)methyl][4-[(2,4-dioxo-5-thiazolidinylidene)methyl]phenyl]amino]- (9CI) (CA INDEX NAME)



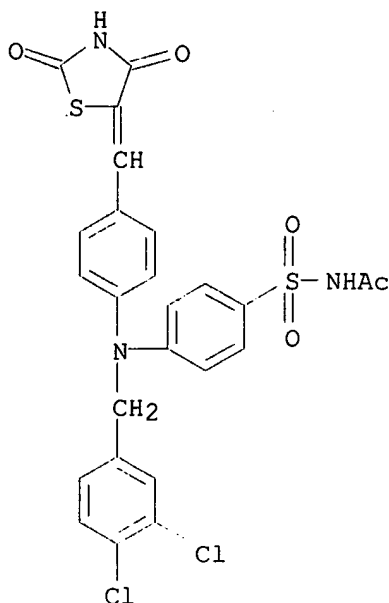
RN 474484-24-7 CAPLUS

CN Benzenesulfonamide, 4-[[[(3,4-dichlorophenyl)methyl][4-[(2,4-dioxo-5-thiazolidinylidene)methyl]phenyl]amino]-N-methyl- (9CI) (CA INDEX NAME)



RN 474484-26-9 CAPLUS

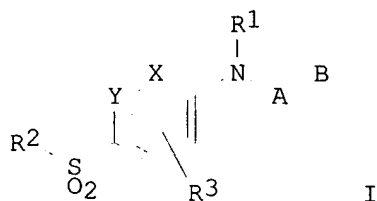
CN Acetamide, N-[[[4-[[[(3,4-dichlorophenyl)methyl][4-[(2,4-dioxo-5-thiazolidinylidene)methyl]phenyl]amino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN  
ACCESSION NUMBER: 2001:816621 CAPLUS  
DOCUMENT NUMBER: 135:357764  
TITLE: Preparation of N-substituted para-(sulfonyl)(hetero)arylamines as COX-2 inhibitors  
INVENTOR(S): Krauss, Nancy Elisabeth; Mirzadegan, Taraneh; Smith, David Bernard; Walker, Keith Adrian Murray  
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.  
SOURCE: PCT Int. Appl., 83 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

*applicant*

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001083434	A2	20011108	WO 2001-EP4589	20010424
WO 2001083434	A3	20020328		
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CO, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1278723	A2	20030129	EP 2001-943280	20010424
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
BR 2001010358	A	20030305	BR 2001-10358	20010424
JP 2003531886	T2	20031028	JP 2001-580863	20010424
US 2002052349	A1	20020502	US 2001-844061	20010426
PRIORITY APPLN. INFO.:			US 2000-200310P P	20000428
			WO 2001-EP4589 W	20010424
OTHER SOURCE(S):	MARPAT 135:357764			
GI				



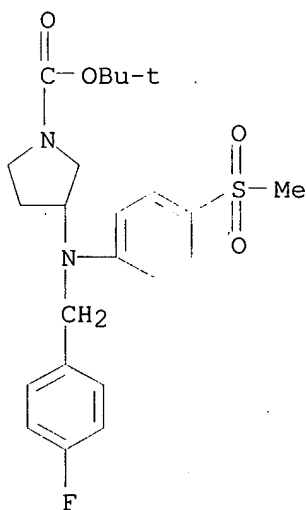
AB The title compds. [I; A = (CR<sub>2</sub>)<sub>n</sub>; n = 1-3; R = H, alkyl; B = (hetero)aryl; X, Y = CH, N; R<sub>1</sub> = alkyl, alkenyl, aryl, etc.; R<sub>2</sub> = alkyl, cycloalkyl, aryl, etc.; R<sub>3</sub> = H, alkyl, halo, etc.] which have prostaglandin G/H synthase inhibitor activity and are suitable for the treatment of inflammatory diseases, such as myositis, synovitis, rheumatoid arthritis, osteoarthritis, gout, ankylosing spondylitis and bursitis, for the treatment of Alzheimer's disease or of an autoimmune disease such as systemic lupus erythematosus and type I diabetes, were prepd. and formulated. E.g., a multi-step synthesis of I [A = CH<sub>2</sub>; B = 4-MeC<sub>6</sub>H<sub>4</sub>; X, Y = CH; R<sub>1</sub> = (CH<sub>2</sub>)<sub>2</sub>SO<sub>2</sub>Me; R<sub>2</sub> = NH<sub>2</sub>; R<sub>3</sub> = H] which showed IC<sub>50</sub> of < 5.0 .mu.M against COX-2, was given.

IT 372121-14-7P 372121-45-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(prepn. of N-substituted para-(sulfonyl)(hetero)arylamines as COX-2 inhibitors)

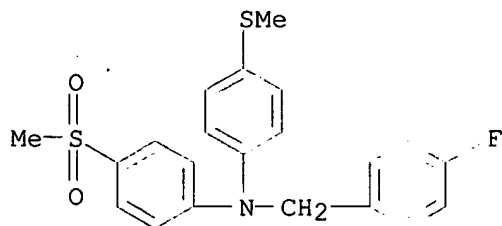
RN 372121-14-7 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 3-[[[(4-fluorophenyl)methyl][4-(methylsulfonyl)phenyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 372121-45-4 CAPLUS

CN Benzenemethanamine, 4-fluoro-N-[4-(methylsulfonyl)phenyl]-N-[4-(methylthio)phenyl]- (9CI) (CA INDEX NAME)

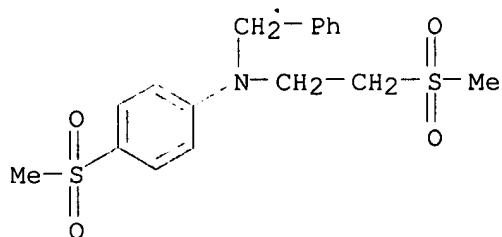


IT 372120-78-0P 372120-79-1P 372120-80-4P  
 372120-81-5P 372120-82-6P 372120-83-7P  
 372120-84-8P 372120-85-9P 372120-86-0P  
 372120-87-1P 372120-88-2P 372120-89-3P  
 372120-90-6P 372120-91-7P 372120-92-8P  
 372120-93-9P 372120-94-0P 372120-95-1P  
 372120-96-2P 372120-97-3P 372120-98-4P  
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 372121-60-3P 372121-61-4P 372121-62-5P  
 372121-63-6P 372121-64-7P 372121-65-8P  
 372121-66-9P 372121-67-0P 372121-68-1P  
 372121-69-2P 372176-74-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of N-substituted para-(sulfonyl) (hetero)arylamines as COX-2 inhibitors)

RN 372120-78-0 CAPLUS

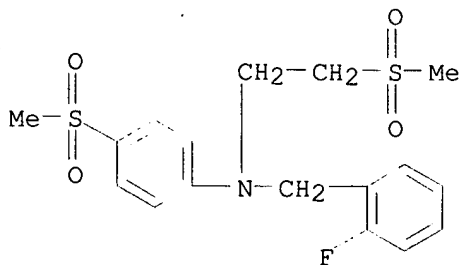
CN Benzenemethanamine, N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 372120-79-1 CAPLUS

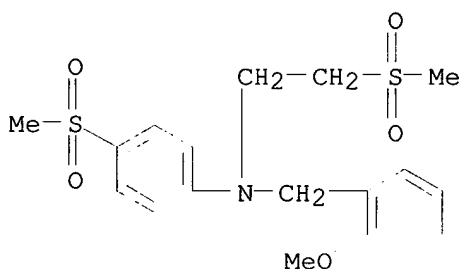
CN Benzenemethanamine, 2-fluoro-N-[2-(methylsulfonyl)ethyl]-N-[4-

(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



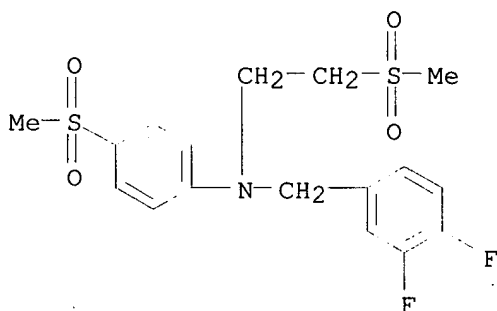
RN 372120-80-4 CAPLUS

CN Benzenemethanamine, 2-methoxy-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



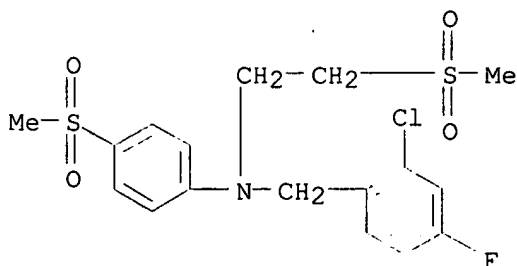
RN 372120-81-5 CAPLUS

CN Benzenemethanamine, 3,4-difluoro-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



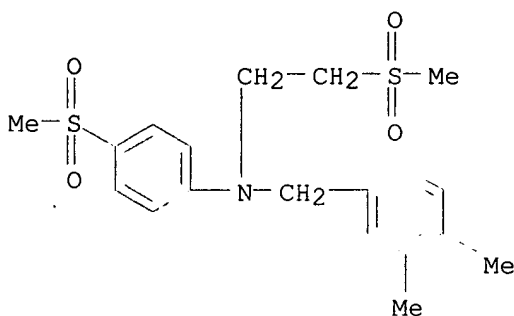
RN 372120-82-6 CAPLUS

CN Benzenemethanamine, 2-chloro-4-fluoro-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



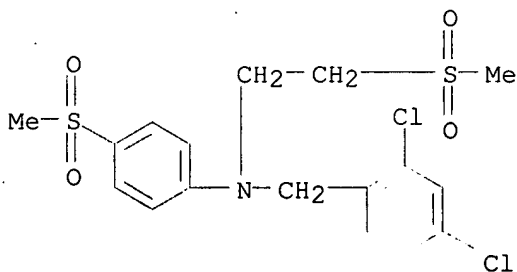
RN 372120-83-7 CAPLUS

CN Benzenemethanamine, 3,4-dimethyl-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



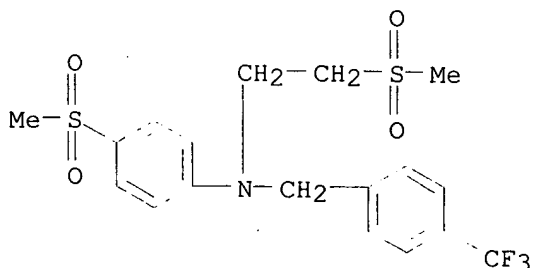
RN 372120-84-8 CAPLUS

CN Benzenemethanamine, 2,4-dichloro-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



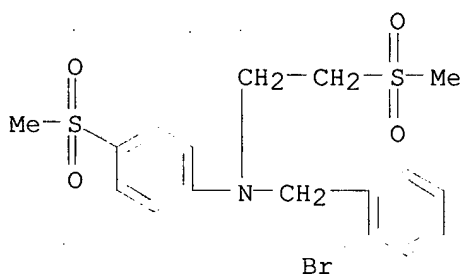
RN 372120-85-9 CAPLUS

CN Benzenemethanamine, N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



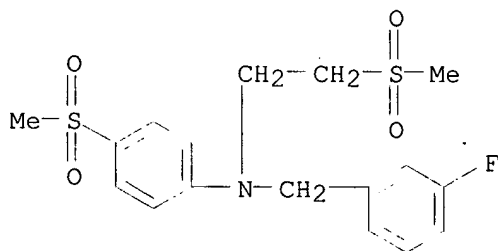
RN 372120-86-0 CAPLUS

CN Benzenemethanamine, 2-bromo-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



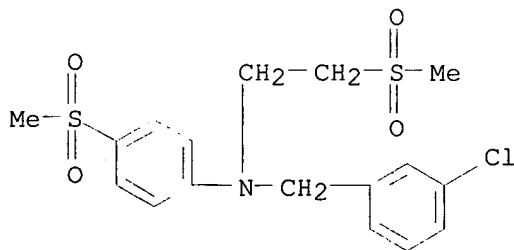
RN 372120-87-1 CAPLUS

CN Benzenemethanamine, 3-fluoro-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 372120-88-2 CAPLUS

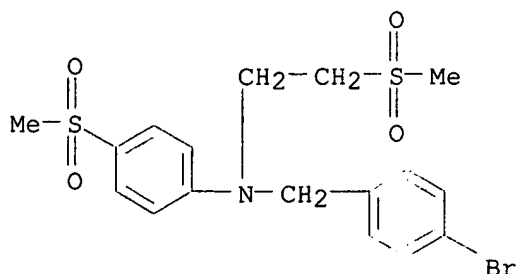
CN Benzenemethanamine, 3-chloro-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 372120-89-3 CAPLUS

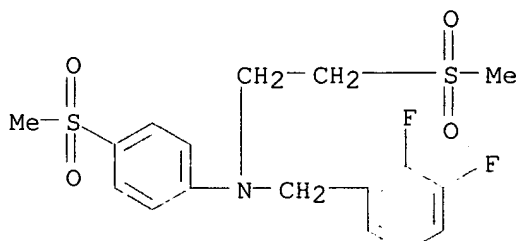


CN Benzenemethanamine, 4-bromo-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



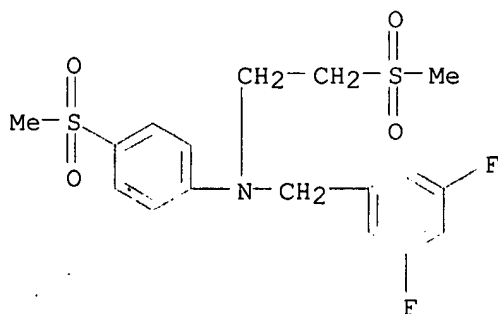
RN 372120-90-6 CAPLUS

CN Benzenemethanamine, 2,3-difluoro-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



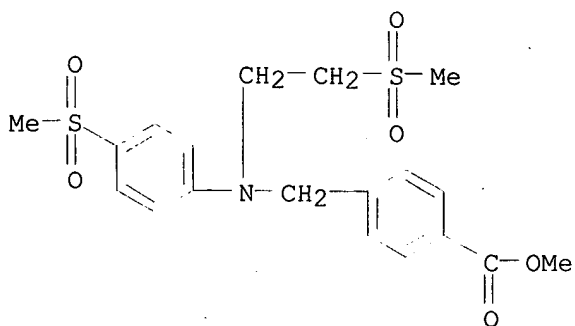
RN 372120-91-7 CAPLUS

CN Benzenemethanamine, 3,5-difluoro-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

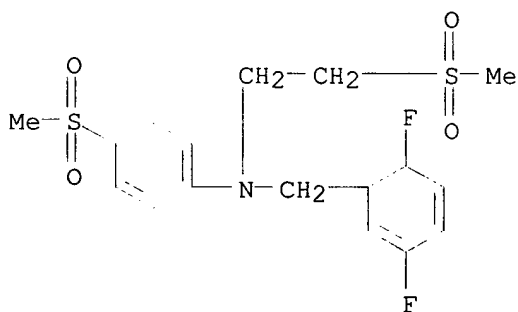


RN 372120-92-8 CAPLUS

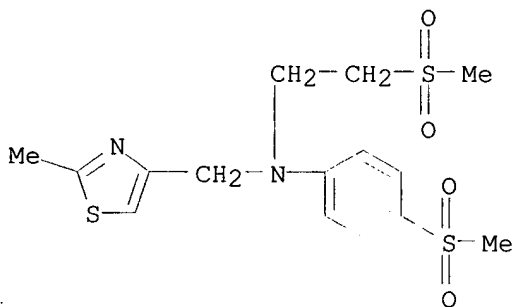
CN Benzoic acid, 4-[[[2-(methylsulfonyl)ethyl][4-(methylsulfonyl)phenyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)



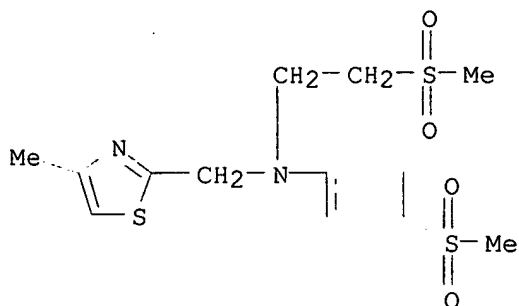
RN 372120-93-9 CAPLUS  
 CN Benzenemethanamine, 2,5-difluoro-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 372120-94-0 CAPLUS  
 CN 4-Thiazolemethanamine, 2-methyl-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

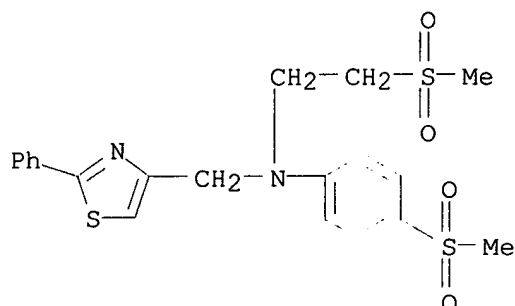


RN 372120-95-1 CAPLUS  
 CN 2-Thiazolemethanamine, 4-methyl-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



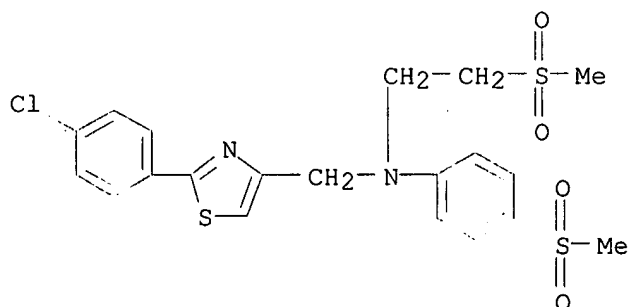
RN 372120-96-2 CAPLUS

CN 4-Thiazolemethanamine, N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]-2-phenyl- (9CI) (CA INDEX NAME)



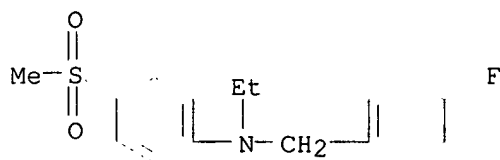
RN 372120-97-3 CAPLUS

CN 4-Thiazolemethanamine, 2-(4-chlorophenyl)-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

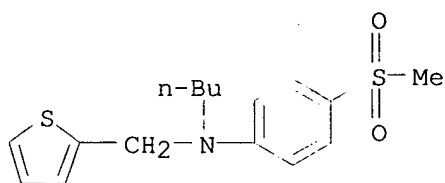


RN 372120-98-4 CAPLUS

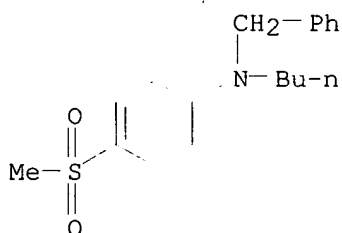
CN Benzenemethanamine, N-ethyl-4-fluoro-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



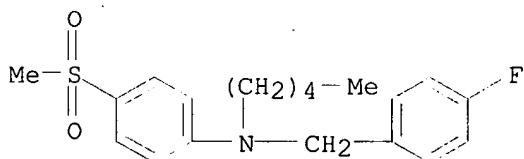
RN 372120-99-5 CAPLUS  
CN 2-Thiophenemethanamine, N-butyl-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



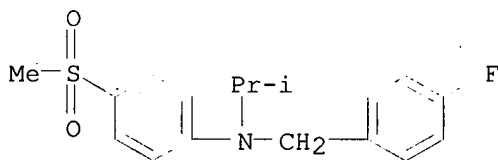
RN 372121-00-1 CAPLUS  
CN Benzenemethanamine, N-butyl-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



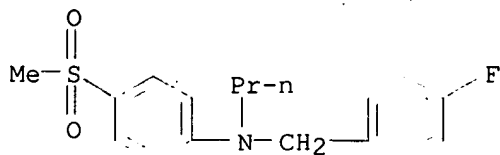
RN 372121-01-2 CAPLUS  
CN Benzenemethanamine, 4-fluoro-N-[4-(methylsulfonyl)phenyl]-N-pentyl- (9CI) (CA INDEX NAME)



RN 372121-02-3 CAPLUS  
CN Benzenemethanamine, 4-fluoro-N-(1-methylethyl)-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

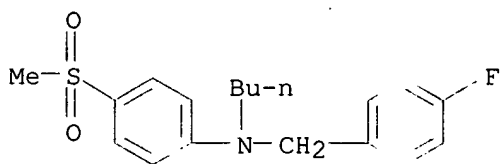


RN 372121-03-4 CAPLUS  
CN Benzenemethanamine, 4-fluoro-N-[4-(methylsulfonyl)phenyl]-N-propyl- (9CI) (CA INDEX NAME)



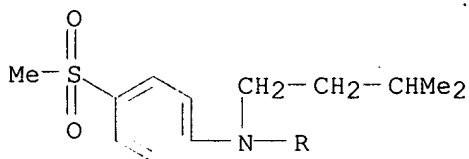
RN 372121-04-5 CAPLUS

CN Benzenemethanamine, N-butyl-4-fluoro-N-[4-(methylsulfonyl)phenyl]- (9CI)  
(CA INDEX NAME)



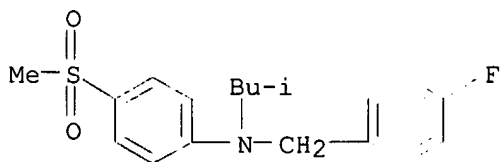
RN 372121-05-6 CAPLUS

CN Benzenemethanamine, 4-fluoro-N-(3-methylbutyl)-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



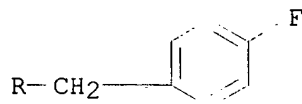
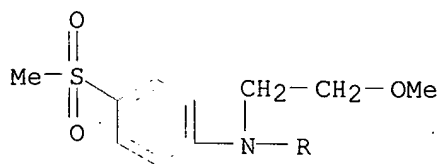
RN 372121-06-7 CAPLUS

CN Benzenemethanamine, 4-fluoro-N-(2-methylpropyl)-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



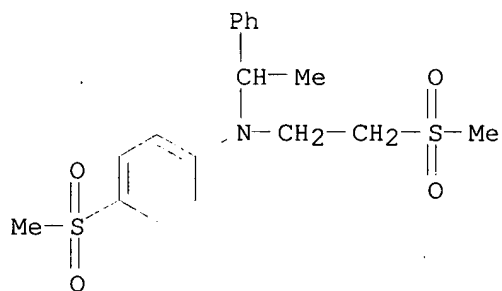
RN 372121-07-8 CAPLUS

CN Benzenemethanamine, 4-fluoro-N-(2-methoxyethyl)-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



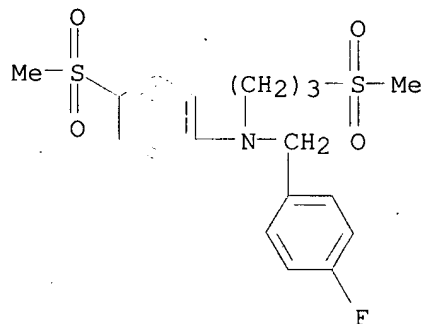
RN 372121-08-9 CAPLUS

CN Benzenemethanamine, .alpha.-methyl-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



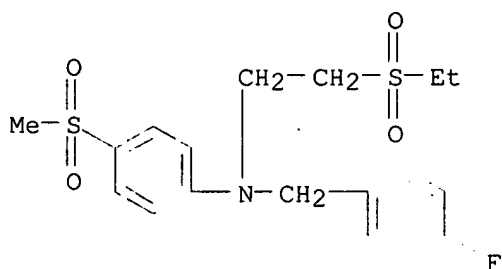
RN 372121-09-0 CAPLUS

CN Benzenemethanamine, 4-fluoro-N-[4-(methylsulfonyl)phenyl]-N-[3-(methylsulfonyl)propyl]- (9CI) (CA INDEX NAME)



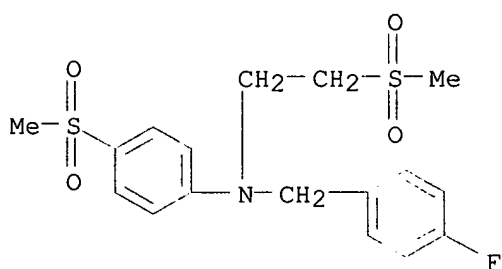
RN 372121-10-3 CAPLUS

CN Benzenemethanamine, N-[2-(ethylsulfonyl)ethyl]-4-fluoro-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



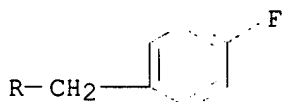
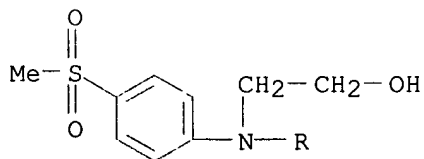
RN 372121-11-4 CAPLUS

CN Benzenemethanamine, 4-fluoro-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



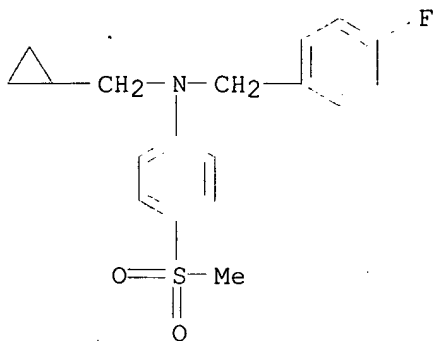
RN 372121-12-5 CAPLUS

CN Ethanol, 2-[[4-(4-fluorophenyl)methyl]amino]-1-methanesulfonyl- (9CI) (CA INDEX NAME)



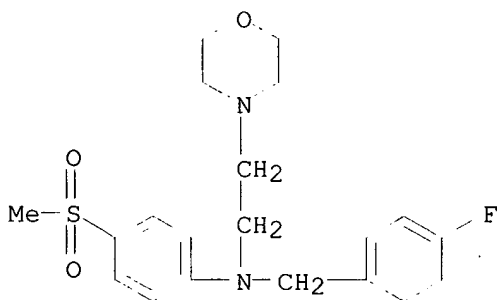
RN 372121-13-6 CAPLUS

CN Benzenemethanamine, N-(cyclopropylmethyl)-4-fluoro-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



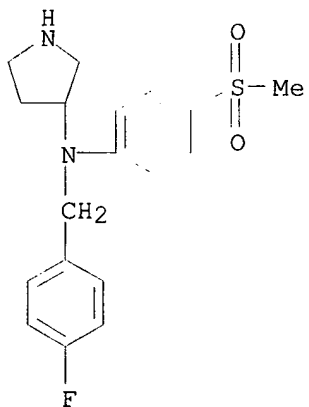
RN 372121-15-8 CAPLUS

CN 4-Morpholineethanamine, N-[(4-fluorophenyl)methyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 372121-16-9 CAPLUS

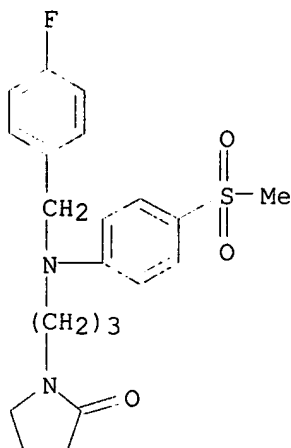
CN 3-Pyrrolidinamine, N-[(4-fluorophenyl)methyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 372121-17-0 CAPLUS

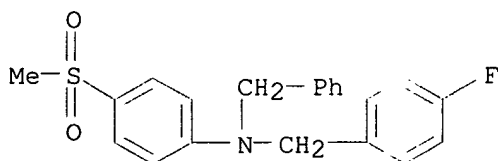
CN 2-Pyrrolidinone, 1-[3-[[4-(4-fluorophenyl)methyl]-4-(methylsulfonyl)phenyl]amino]propyl]- (9CI) (CA INDEX NAME)





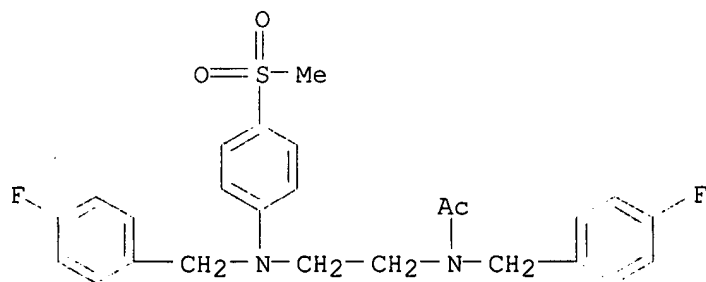
RN 372121-18-1 CAPLUS

CN Benzenemethanamine, 4-fluoro-N-[4-(methylsulfonyl)phenyl]-N-(phenylmethyl)-(9CI) (CA INDEX NAME)



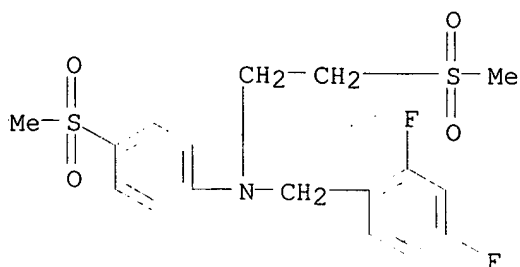
RN 372121-19-2 CAPLUS

CN Acetamide, N-[(4-fluorophenyl)methyl]-N-[2-[[4-(methylsulfonyl)phenyl]amino]ethyl]-(9CI) (CA INDEX NAME)



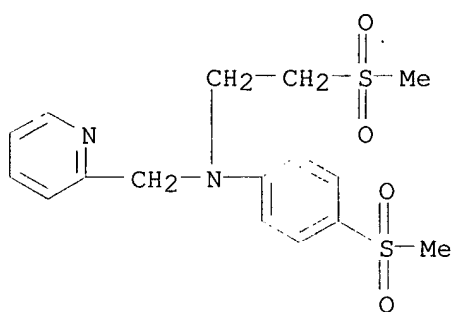
RN 372121-20-5 CAPLUS

CN Benzenemethanamine, 2,4-difluoro-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]-(9CI) (CA INDEX NAME)



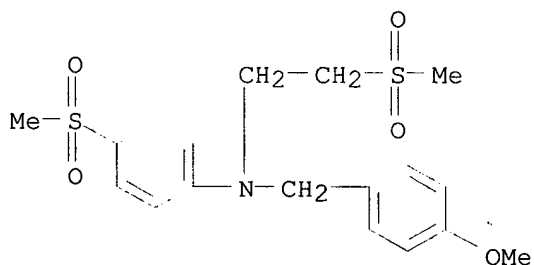
RN 372121-21-6 CAPLUS

CN 2-Pyridinemethanamine, N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



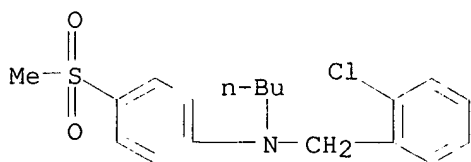
RN 372121-22-7 CAPLUS

CN Benzenemethanamine, 4-methoxy-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 372121-23-8 CAPLUS

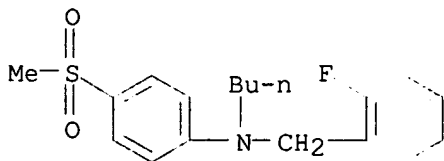
CN Benzenemethanamine, N-butyl-2-chloro-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



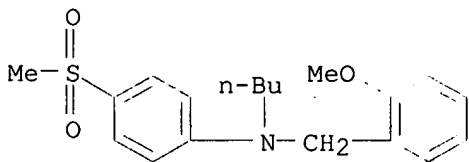
RN 372121-24-9 CAPLUS

CN Benzenemethanamine, N-butyl-2-fluoro-N-[4-(methylsulfonyl)phenyl]- (9CI)

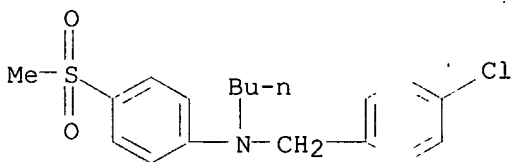
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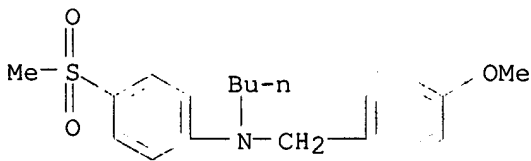
RN 372121-25-0 CAPLUS

CN Benzenemethanamine, N-butyl-2-methoxy-N-[4-(methylsulfonyl)phenyl]- (9CI)  
(CA INDEX NAME)

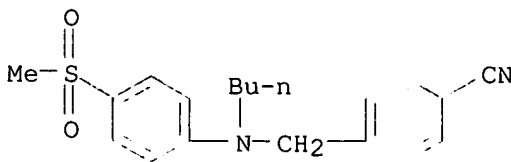
RN 372121-26-1 CAPLUS

CN Benzenemethanamine, N-butyl-4-chloro-N-[4-(methylsulfonyl)phenyl]- (9CI)  
(CA INDEX NAME)

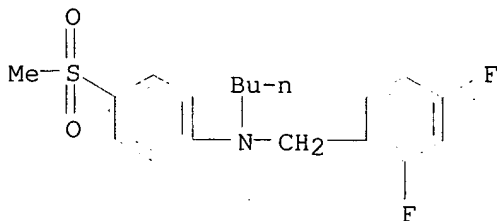
RN 372121-27-2 CAPLUS

CN Benzenemethanamine, N-butyl-4-methoxy-N-[4-(methylsulfonyl)phenyl]- (9CI)  
(CA INDEX NAME)

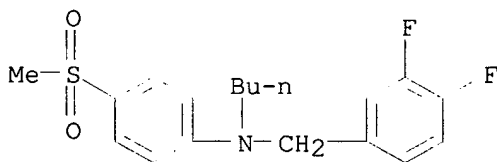
RN 372121-28-3 CAPLUS

CN Benzonitrile, 4-[[butyl[4-(methylsulfonyl)phenyl]amino]methyl]- (9CI) (CA  
INDEX NAME)

RN 372121-29-4 CAPLUS

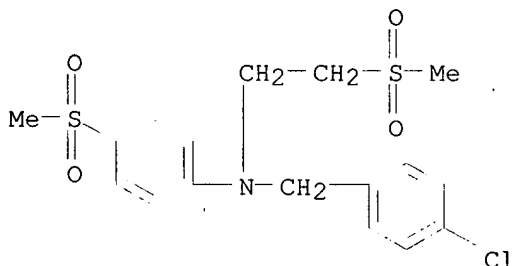
CN Benzenemethanamine, N-butyl-2,4-difluoro-N-[4-(methylsulfonyl)phenyl]-  
(9CI) (CA INDEX NAME)

RN 372121-30-7 CAPLUS

CN Benzenemethanamine, N-butyl-3,4-difluoro-N-[4-(methylsulfonyl)phenyl]-  
(9CI) (CA INDEX NAME)

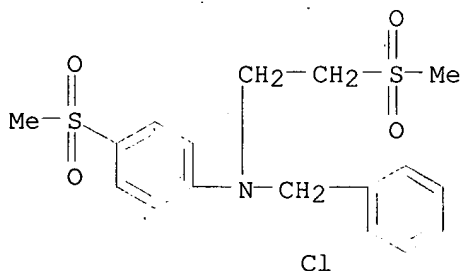
RN 372121-31-8 CAPLUS

CN Benzenemethanamine, 4-chloro-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



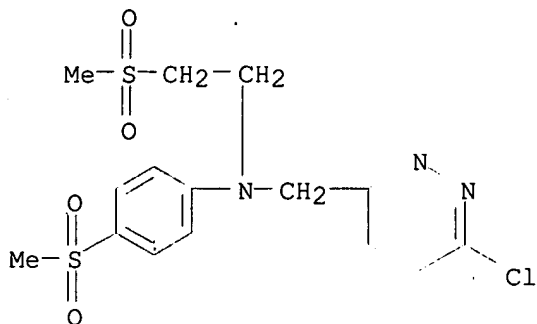
RN 372121-32-9 CAPLUS

CN Benzenemethanamine, 2-chloro-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



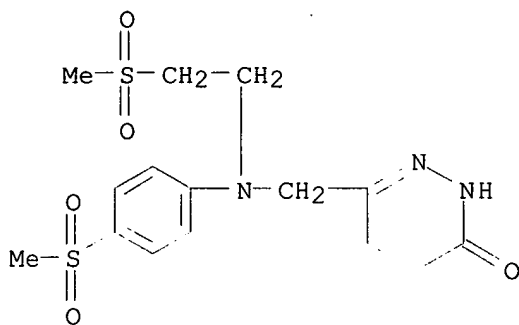
RN 372121-33-0 CAPLUS

CN 3-Pyridazinemethanamine, 6-chloro-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



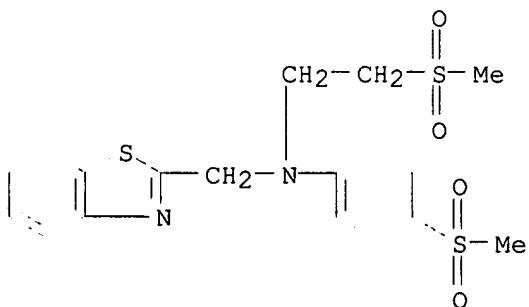
RN 372121-34-1 CAPLUS

CN 3(2H)-Pyridazinone, 6-[[[2-(methylsulfonyl)ethyl][4-(methylsulfonyl)phenyl]amino]methyl]- (9CI) (CA INDEX NAME)



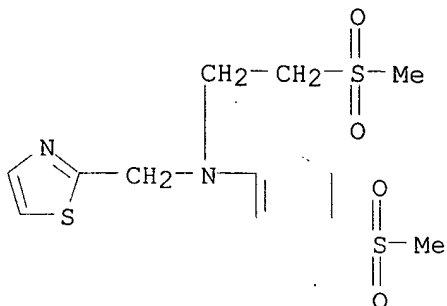
RN 372121-35-2 CAPLUS

CN 2-Benzothiazolemethanamine, N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



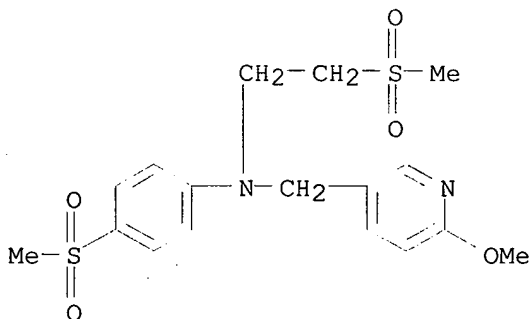
RN 372121-38-5 CAPLUS

CN 2-Thiazolemethanamine, N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



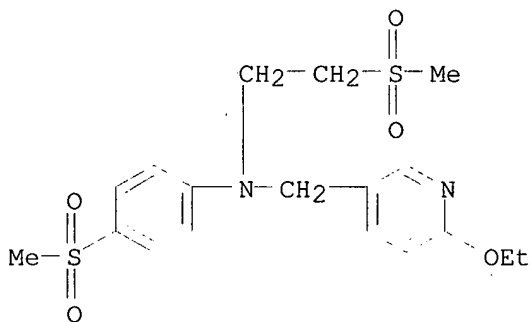
RN 372121-39-6 CAPLUS

CN 3-Pyridinemethanamine, 6-methoxy-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



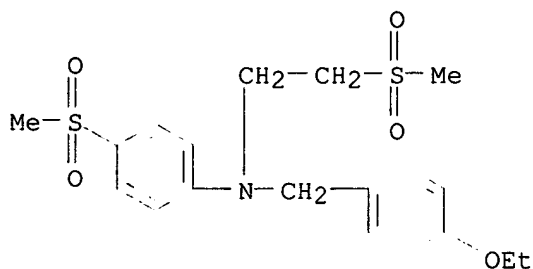
RN 372121-40-9 CAPLUS

CN 3-Pyridinemethanamine, 6-ethoxy-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



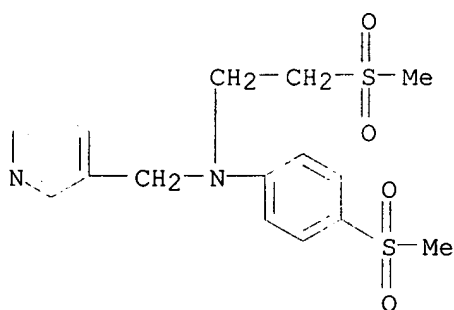
RN 372121-41-0 CAPLUS

CN Benzenemethanamine, 4-ethoxy-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



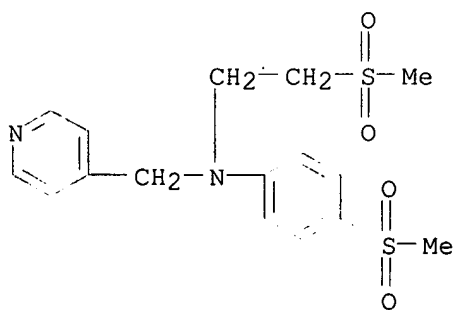
RN 372121-42-1 CAPLUS

CN 3-Pyridinemethanamine, N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



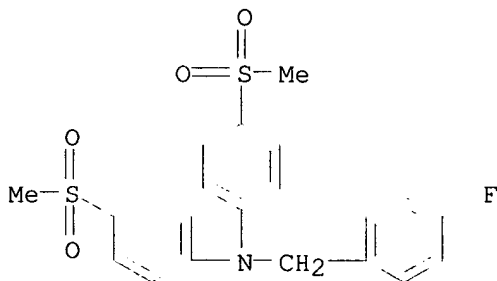
RN 372121-43-2 CAPLUS

CN 4-Pyridinemethanamine, N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



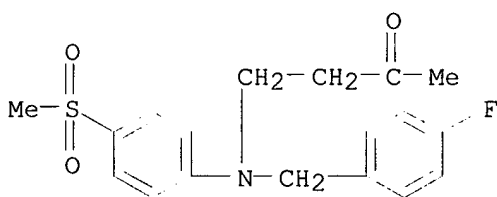
RN 372121-44-3 CAPLUS

CN Benzenemethanamine, 4-fluoro-N,N-bis[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



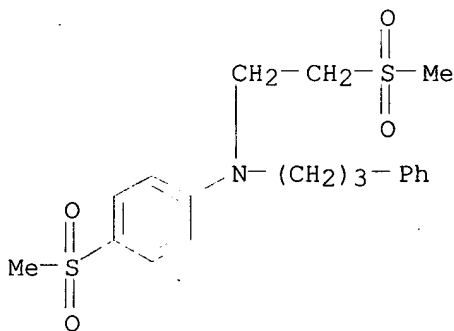
RN 372121-46-5 CAPLUS

CN 2-Butanone, 4-[[[4-fluorophenyl)methyl][4-(methylsulfonyl)phenyl]amino]-  
(9CI) (CA INDEX NAME)



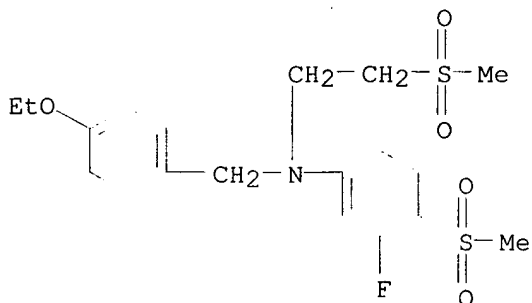
RN 372121-47-6 CAPLUS

CN Benzenepropanamine, N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 372121-48-7 CAPLUS

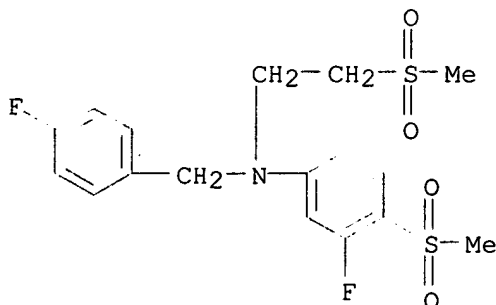
CN Benzenemethanamine, 4-ethoxy-N-[3-fluoro-4-(methylsulfonyl)phenyl]-N-[2-(methylsulfonyl)ethyl]- (9CI) (CA INDEX NAME)





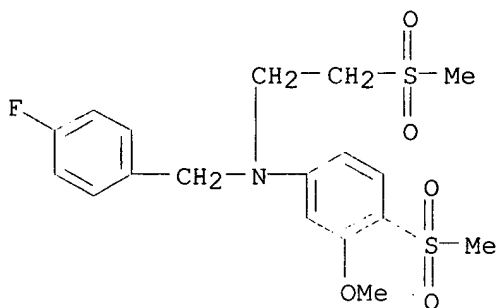
RN 372121-49-8 CAPLUS

CN Benzenemethanamine, 4-fluoro-N-[3-fluoro-4-(methylsulfonyl)phenyl]-N-[2-(methylsulfonyl)ethyl]- (9CI) (CA INDEX NAME)



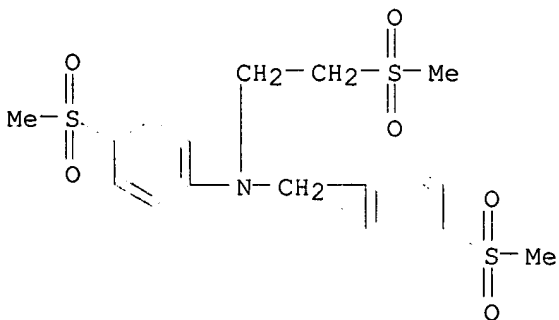
RN 372121-50-1 CAPLUS

CN Benzenemethanamine, 4-fluoro-N-[3-methoxy-4-(methylsulfonyl)phenyl]-N-[2-(methylsulfonyl)ethyl]- (9CI) (CA INDEX NAME)



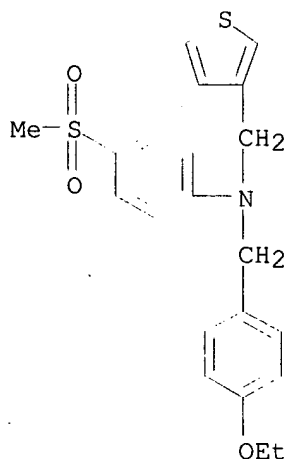
RN 372121-51-2 CAPLUS

CN Benzenemethanamine, 4-(methylsulfonyl)-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



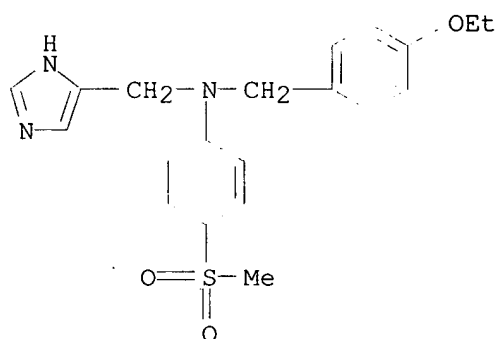
RN 372121-52-3 CAPLUS

CN 3-Thiophenemethanamine, N-[(4-ethoxyphenyl)methyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



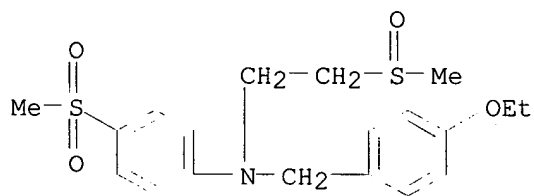
RN 372121-53-4 CAPLUS

CN 1H-Imidazole-4-methanamine, N-[(4-ethoxyphenyl)methyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



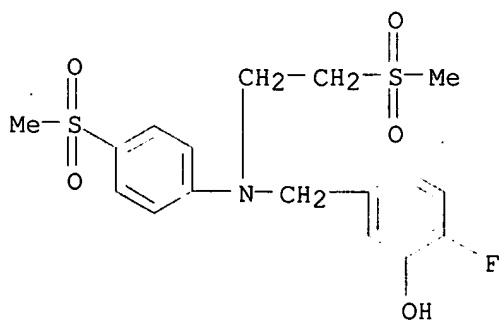
RN 372121-54-5 CAPLUS

CN Benzenemethanamine, 4-ethoxy-N-[2-(methylsulfinyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

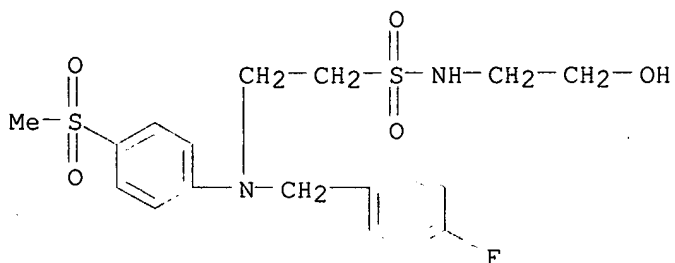


RN 372121-55-6 CAPLUS

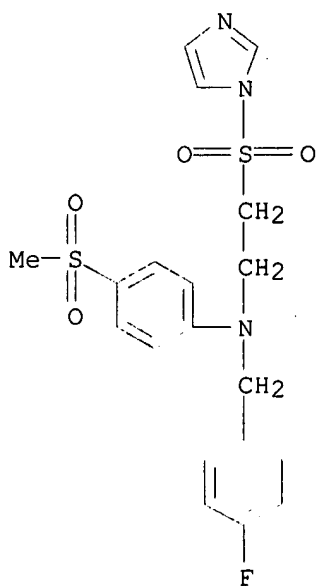
CN Phenol, 2-fluoro-5-[[[2-(methylsulfonyl)ethyl][4-(methylsulfonyl)phenyl]amino]methyl]- (9CI) (CA INDEX NAME)



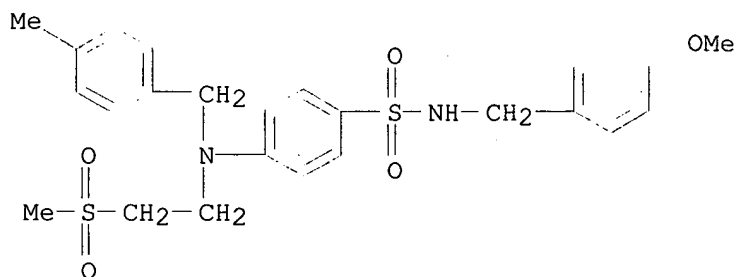
RN	372121-56-7	CAPLUS	
CN	Ethanesulfonamide, 2-[[[4-(4-fluorophenyl)methyl][4-(methylsulfonyl)phenyl]amino]-N-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)		



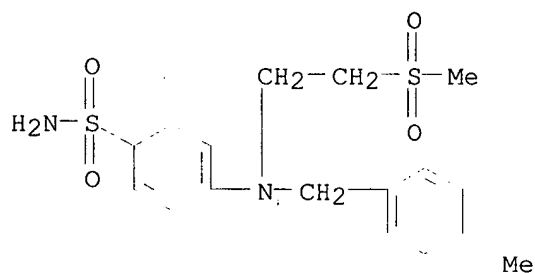
RN 372121-57-8 CAPLUS  
CN 1H-Imidazole, 1-[[2-[[ (4-fluorophenyl)methyl][4-(methylsulfonyl)phenyl]amino]ethyl]sulfonyl]-(9CI) (CA INDEX NAME)



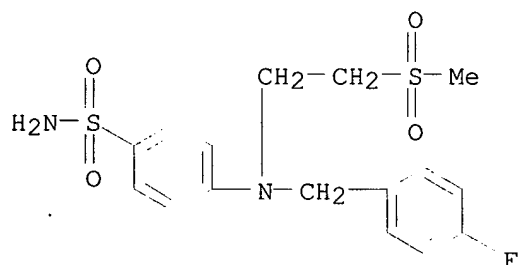
RN	372121-58-9	CAPLUS	
CN	Benzenesulfonamide, N-[(4-methoxyphenyl)methyl]-4-[[ (4-methylphenyl)methyl][2-(methylsulfonyl)ethyl]amino]- (9CI) (CA INDEX NAME)		



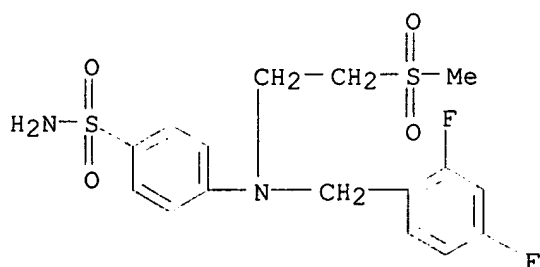
RN 372121-59-0 CAPLUS  
 CN Benzenesulfonamide, 4-[[[(4-methylphenyl)methyl][2-(methylsulfonyl)ethyl]amino]- (9CI) (CA INDEX NAME)



RN 372121-60-3 CAPLUS  
 CN Benzenesulfonamide, 4-[[[(4-fluorophenyl)methyl][2-(methylsulfonyl)ethyl]amino]- (9CI) (CA INDEX NAME)

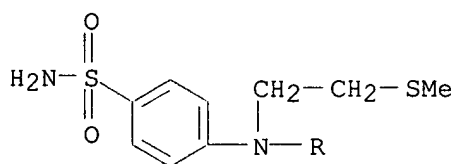


RN 372121-61-4 CAPLUS  
 CN Benzenesulfonamide, 4-[[[(2,4-difluorophenyl)methyl][2-(methylsulfonyl)ethyl]amino]- (9CI) (CA INDEX NAME)



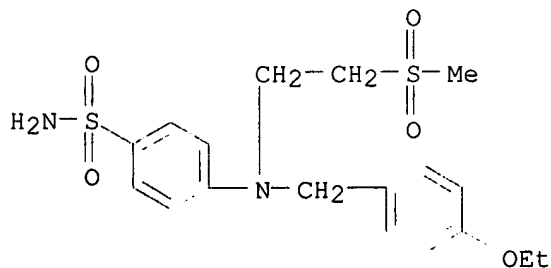
RN 372121-62-5 CAPLUS

CN Benzenesulfonamide, 4-[[[4-(2-fluorophenyl)methyl][2-(methylthio)ethyl]amino]- (9CI) (CA INDEX NAME)



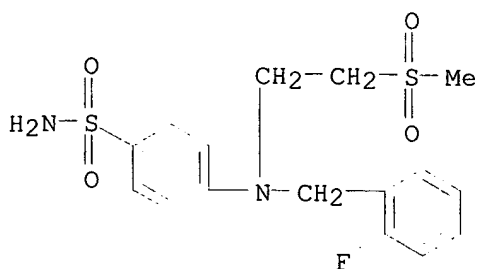
RN 372121-63-6 CAPLUS

CN Benzenesulfonamide, 4-[[[4-(2-ethoxyphenyl)methyl][2-(methylsulfonyl)ethyl]amino]- (9CI) (CA INDEX NAME)

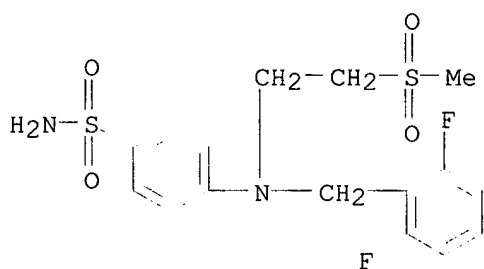


RN 372121-64-7 CAPLUS

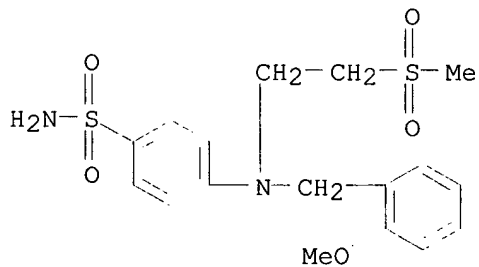
CN Benzenesulfonamide, 4-[[[4-(2-fluorophenyl)methyl][2-(methylsulfonyl)ethyl]amino]- (9CI) (CA INDEX NAME)



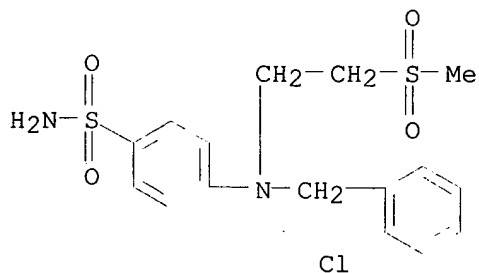
RN 372121-65-8 CAPLUS  
CN Benzenesulfonamide, 4-[[[(2,6-difluorophenyl)methyl][2-(methylsulfonyl)ethyl]amino]- (9CI) (CA INDEX NAME)



RN 372121-66-9 CAPLUS  
CN Benzenesulfonamide, 4-[[[(2-methoxyphenyl)methyl][2-(methylsulfonyl)ethyl]amino]- (9CI) (CA INDEX NAME)

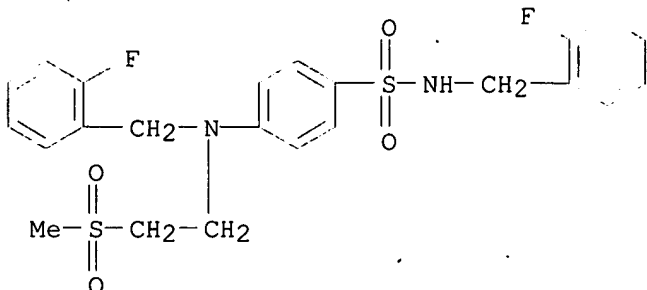


RN 372121-67-0 CAPLUS  
CN Benzenesulfonamide, 4-[[[(2-chlorophenyl)methyl][2-(methylsulfonyl)ethyl]amino]- (9CI) (CA INDEX NAME)



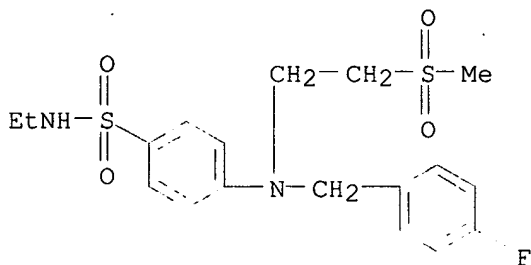
RN 372121-68-1 CAPLUS

CN Benzenesulfonamide, N-[(2-fluorophenyl)methyl]-4-[[2-fluorophenyl)methyl][2-(methylsulfonyl)ethyl]amino]- (9CI) (CA INDEX NAME)



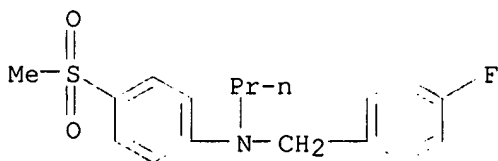
RN 372121-69-2 CAPLUS

CN Benzenesulfonamide, N-ethyl-4-[[4-fluorophenyl)methyl][2-(methylsulfonyl)ethyl]amino]- (9CI) (CA INDEX NAME)



RN 372176-74-4 CAPLUS

CN Propanol, 1-[[4-(4-fluorophenyl)methyl][4-(methylsulfonyl)phenyl]amino]- (9CI) (CA INDEX NAME)



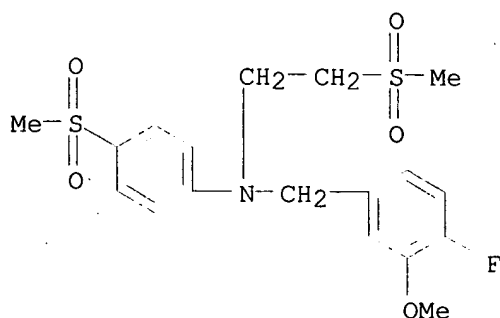
D1-OH

IT 372122-02-6

RL: RCT (Reactant); RACT (Reactant or reagent)  
(prepn. of N-substituted para-(sulfonyl)(hetero)arylamines as COX-2 inhibitors)

RN 372122-02-6 CAPLUS

CN Benzenemethanamine, 4-fluoro-3-methoxy-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



IT 372121-76-1P 372121-86-3P 372121-95-4P

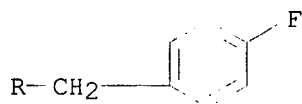
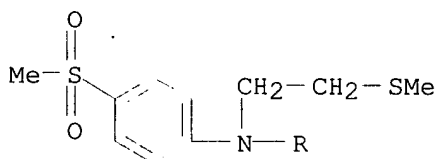
372121-97-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of N-substituted para-(sulfonyl)(hetero)arylamines as COX-2 inhibitors)

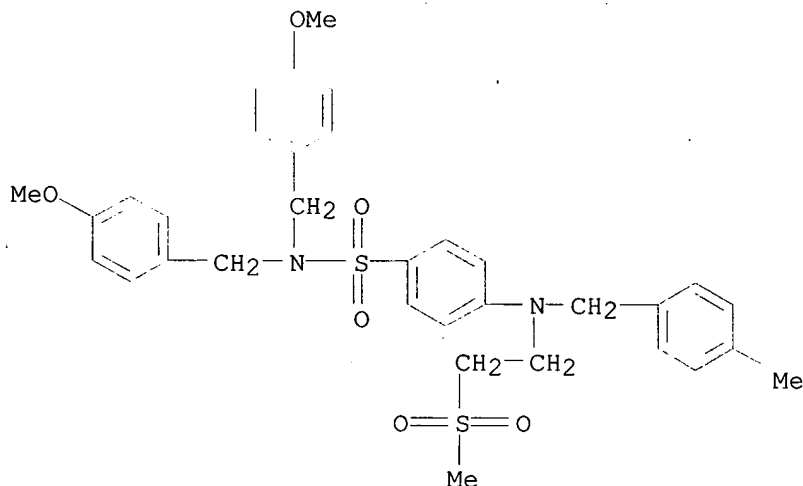
RN 372121-76-1 CAPLUS

CN Benzenemethanamine, 4-fluoro-N-[4-(methylsulfonyl)phenyl]-N-[2-(methylthio)ethyl]- (9CI) (CA INDEX NAME)



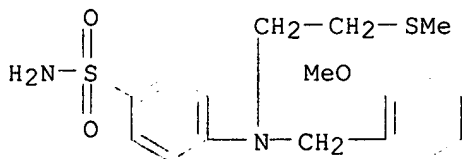
RN 372121-86-3 CAPLUS

CN Benzenesulfonamide, N,N-bis[(4-methoxyphenyl)methyl]-4-[[4-methylphenyl)methyl][2-(methylsulfonyl)ethyl]amino]- (9CI) (CA INDEX NAME)

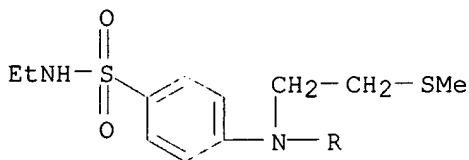




RN 372121-95-4 CAPLUS  
CN Benzenesulfonamide, 4-[[[(2-methoxyphenyl)methyl][2-(methylthio)ethyl]amino]- (9CI) (CA INDEX NAME)



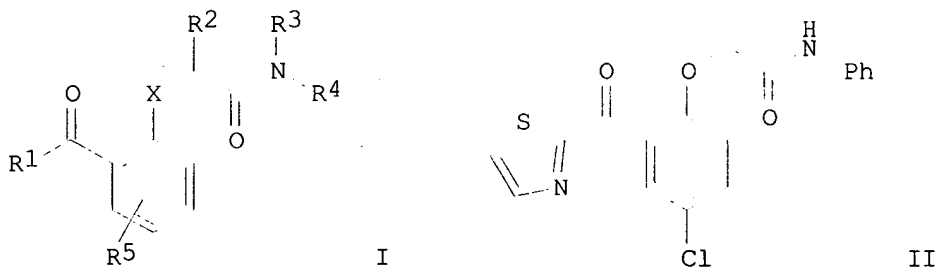
RN 372121-97-6 CAPLUS  
CN Benzenesulfonamide, N-ethyl-4-[[[(4-fluorophenyl)methyl][2-(methylthio)ethyl]amino]- (9CI) (CA INDEX NAME)



ANSWER 17 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN  
ACCESSION NUMBER: 2001:185739 CAPLUS  
DOCUMENT NUMBER: 134:237301  
TITLE: Preparation of benzophenones and phenyl heteroaryl ketones as inhibitors of reverse transcriptase  
INVENTOR(S): Andrews, Clarence Webster; Chan, Joseph Howing; Freeman, George Andrew; Romines, Karen Rene; Tidwell, Jeffrey H.  
PATENT ASSIGNEE(S): Glaxo Group Limited, UK; Pianetti, Pascal Maurice Charles  
SOURCE: PCT Int. Appl., 436 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001017982	A1	20010315	WO 2000-EP8487	20000831
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,			

CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
BR 2000013771 A 20020514 BR 2000-13771 20000831  
EP 1208091 A1 20020529 EP 2000-967637 20000831  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO, MK, CY, AL  
JP 2003510252 T2 20030318 JP 2001-521729 20000831  
NO 2002001042 A 20020430 NO 2002-1042 20020301  
PRIORITY APPLN. INFO.: GB 1999-20872 A 19990904  
WO 2000-EP8487 W 20000831  
OTHER SOURCE(S): MARPAT 134:237301  
GI



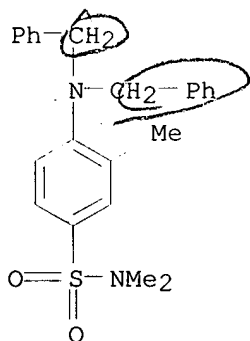
AB The title compds. [I; X = C, O, N; R1 = alkyl, cycloalkyl, (un)substituted aryl, etc.; R2 = H, halo, alkyl; R3, R4 = H, OH, (un)substituted heterocyclyl, etc.; R5 = H, halo, alkyl, etc.], useful in the treatment of HIV infections, were prepd. E.g., a 4-step synthesis of the ketone II which showed IC50 of between 101 nM and 1,000 nM against HIV-1 in MT4 cell assay, was described.

IT 329946-24-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. of benzophenones and Ph heteroaryl ketones as inhibitors of reverse transcriptase)

RN 329946-24-9 CAPLUS

CN Benzenesulfonamide, 4-[bis(phenylmethyl)amino]-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

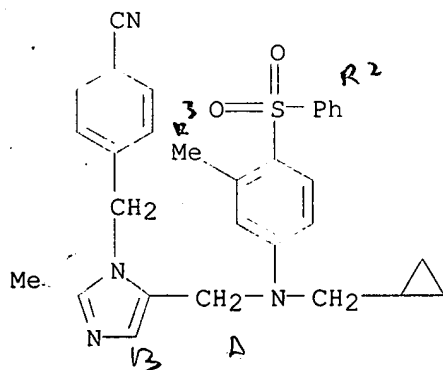


REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

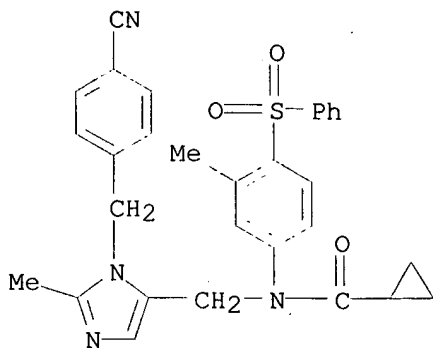
L9 ANSWER 18 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN  
ACCESSION NUMBER: 1999:810816 CAPLUS

Searched by Barb O'Bryen, STIC 308-4291

DOCUMENT NUMBER: 132:160824  
TITLE: Imidazole-containing diarylether and diarylsulfone inhibitors of farnesyl-protein transferase  
AUTHOR(S): Dinsmore, Christopher J.; Williams, Theresa M.; O'Neill, Timothy J.; Liu, Dongming; Rands, Elaine; Culberson, J. Christopher; Lobell, Robert B.; Koblan, Kenneth S.; Kohl, Nancy E.; Gibbs, Jackson B.; Oliff, Allen I.; Graham, Samuel L.; Hartman, George D.  
CORPORATE SOURCE: Departments of "Medicinal Chemistry, Merck Research Laboratories, West Point, PA, 19486, USA  
SOURCE: Bioorganic & Medicinal Chemistry Letters (1999), 9(23), 3301-3306  
CODEN: BMCLE8; ISSN: 0960-894X  
PUBLISHER: Elsevier Science Ltd.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
AB The design and syntheses of non-thiol inhibitors of farnesyl-protein transferase are described. Optimization of cysteine-substituted diarylethers led to highly potent imidazole-contg. diarylethers and diarylsulfones. Polar diaryl linkers dramatically improved potency and gave highly cell active compds. in inhibition of Ha-ras-transformed cells.  
IT 258848-40-7P 258848-41-8P 258848-42-9P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(imidazole-contg. diarylether and diarylsulfone inhibitors of farnesyl-protein transferase in relation to inhibition of Ha-ras-transformed cells)  
RN 258848-40-7 CAPLUS  
CN Benzonitrile, 4-[[5-[[[(cyclopropylmethyl)[3-methyl-4-(phenylsulfonyl)phenyl]amino]methyl]-2-methyl-1H-imidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)

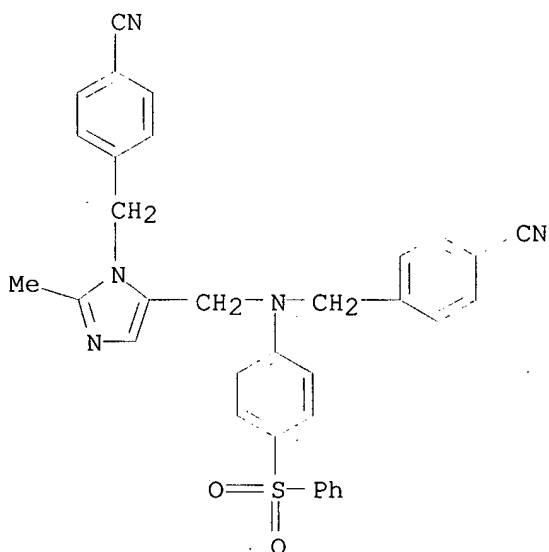


RN 258848-41-8 CAPLUS  
CN Cyclopropanecarboxamide, N-[[1-[(4-cyanophenyl)methyl]-2-methyl-1H-imidazol-5-yl]methyl]-N-[3-methyl-4-(phenylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 258848-42-9 CAPLUS

CN Benzonitrile, 4-[[[1-[(4-cyanophenyl)methyl]-2-methyl-1H-imidazol-5-yl]methyl][4-(phenylsulfonyl)phenyl]amino]methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 19 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1998:744940 CAPLUS

DOCUMENT NUMBER: 130:25338

TITLE: Inhibitors of protein isoprenyl transferases

INVENTOR(S): Sebt, Said M.; Hamilton, Andrew D.; Augeri, David J.; Barr, Kenneth J.; Donner, Bernard G.; Fakhoury, Stephen A.; Janowick, David A.; Kalvin, Douglas M.; Larsen, John J.; Liu, Gang; O'Connor, Stephen J.; Rosenberg, Saul H.; Shen, Wang; Swenson, Rolf E.; Sorensen, Bryan K.; Sullivan, Gerard M.; Szczepankiewicz, Bruce G.; Tasker, Andrew S.; Wasick, James I.; Winn, Martin

PATENT ASSIGNEE(S): University of Pittsburgh, USA

SOURCE: PCT Int. Appl., 848 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 8

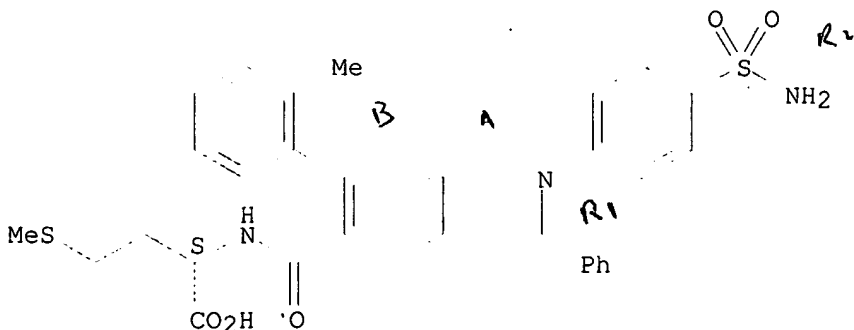
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9850029	A1	19981112	WO 1998-US9296	19980507
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
AU 9874733	A1	19981127	AU 1998-74733	19980507
EP 986384	A1	20000322	EP 1998-922122	19980507
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			
JP 2002518985	T2	20020625	JP 1998-548480	19980507
TW 492955	B	20020701	TW 1998-87107182	19980715
MX 9910186	A	20000630	MX 1999-10186	19991105
RITY APPLN. INFO.:			US 1997-852858	A 19970507
			WO 1998-US9296	W 19980507

AB Compds. R3-Z-L1-aryl [aryl is a benzene ring having certain substituents R1, R2, R4; L1 is absent or is L4NR5L5, L4OL5, L4S(O)mL5 (m = 0-2), etc., where L4 and L5 are absent or alkylene, alkenylene, R5 is H, alkanoyl; Z is a covalent bond, O, S(O)q (q = 0-2), NH or imino; R3 = H, aryl, fluorenyl, heterocyclyl, cycloalkyl, etc.] were prepd. as inhibitors of protein isoprenyl transferases. Thus, N-[4-[(R)-thiazolidin-4-ylcarbonylamino]-2-phenylbenzoyl]methionine Me ester hydrochloride, prepd. via amidation reaction, showed 92% inhibition of farnesyl transferase at  $1 \times 10^{-6}$  M.

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of inhibitors of protein isoprenyl transferases)

CN L-Methionine, N-[[[5-[[[4-(aminosulfonyl)phenyl](phenylmethyl)amino]methyl]-2'-methyl[1,1'-biphenyl]-2-yl]carbonyl]-, monolithium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

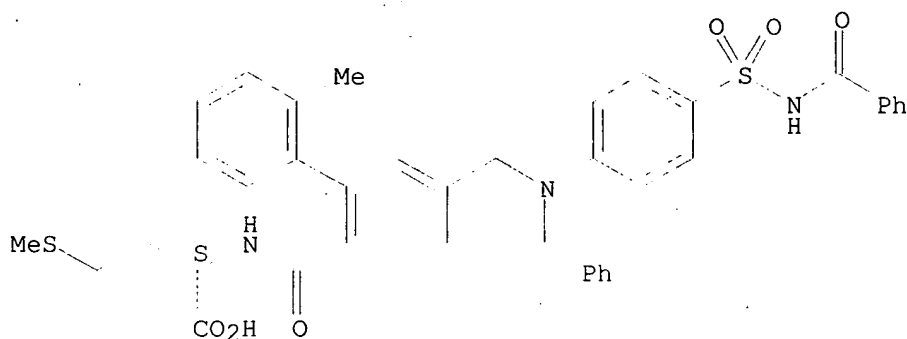


● Li

RN 216233-15-7 CAPLUS

CN L-Methionine, N-[[5-[[[4-[(benzoylamino)sulfonyl]phenyl](phenylmethyl)amino]methyl]-2'-methyl[1,1'-biphenyl]-2-yl]carbonyl]-, monolithium salt (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



● Li

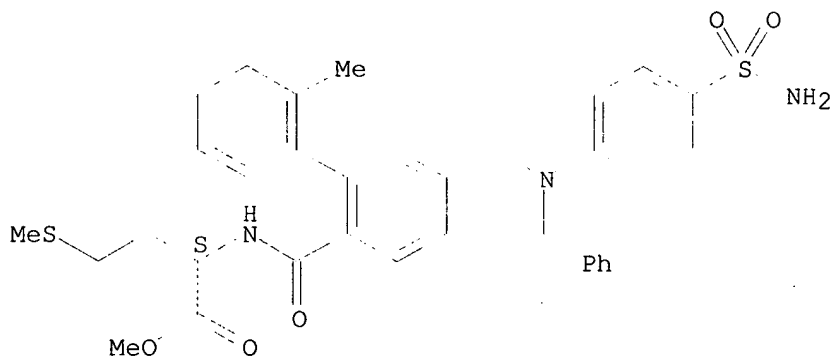
IT 216229-13-9P 216229-16-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. of inhibitors of protein isoprenyl transferases)

RN 216229-13-9 CAPLUS

CN L-Methionine, N-[[5-[[[4-(aminosulfonyl)phenyl](phenylmethyl)amino]methyl]-2'-methyl[1,1'-biphenyl]-2-yl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

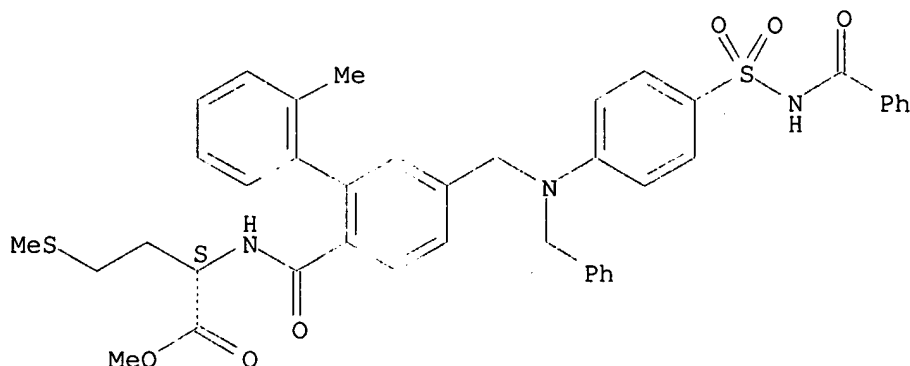
Absolute stereochemistry.



RN 216229-16-2 CAPLUS

CN L-Methionine, N-[[5-[[[4-[(benzoylamino)sulfonyl]phenyl](phenylmethyl)amino]methyl]-2'-methyl[1,1'-biphenyl]-2-yl]carbonyl]-, methyl ester (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 20 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 1998:65892 CAPLUS  
 DOCUMENT NUMBER: 128:140691  
 TITLE: Preparation of 1,4-disubstituted piperidines as muscarinic antagonists  
 INVENTOR(S): Asberom, Theodoros; Lowe, Derek B.; Green, Michael J.  
 PATENT ASSIGNEE(S): Schering Corp., USA  
 SOURCE: PCT Int. Appl., 45 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9801425	A1	19980115	WO 1997-US11176	19970708
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2259655	AA	19980115	CA 1997-2259655	19970708
CA 2259655	C	20030513		
AU 9735810	A1	19980202	AU 1997-35810	19970708
AU 728592	B2	20010111		
EP 912515	A1	19990506	EP 1997-932321	19970708
EP 912515	B1	20021113		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, LT, LV, FI, RO				
NZ 333513	A	20000428	NZ 1997-333513	19970708
JP 3068206	B2	20000724	JP 1998-505232	19970708
JP 11514671	T2	19991214		
AT 227708	E	20021115	AT 1997-932321	19970708
ES 2182104	T3	20030301	ES 1997-932321	19970708
KR 2000023599	A	20000425	KR 1999-700045	19990107
PRIORITY APPLN. INFO.:				
			US 1996-678618	A 19960710
			WO 1997-US11176	W 19970708
OTHER SOURCE(S): MARPAT 128:140691				
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

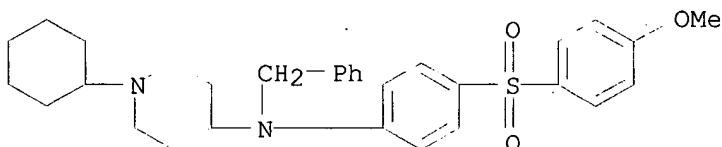
AB The title compds. [I; X = a bond, O, S, etc.; R = C3-6 cycloalkyl, II, III, etc.; R1 = H, CN, CF3, etc.; R2 = cycloalkyl, cycloalkenyl, t-butoxycarbonyl, (un)substituted 4-piperidinyll; R3, R4 = H, halo, CF3, etc.; R5, R6 = H, alkyl, CF3, etc.], useful for treating cognitive disorders such as Alzheimer's disease, were prepd. Compds. I are capable of enhancing acetylcholine (ACh) release with an ACh'ase inhibitors. Thus, a 5-step detailed synthesis of the title compd. IV is described. The title compd. V showed Ki of 40.8 nM against m2 receptor binding and of 66.4 nM against m4 receptor binding.

IT 202125-56-2P 202125-76-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of 1,4-disubstituted piperidines as muscarinic antagonists)

RN 202125-56-2 CAPLUS

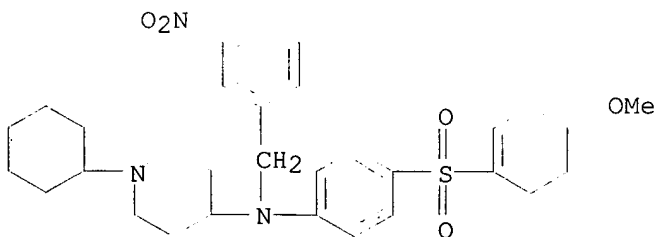
CN 4-Piperidinamine, 1-cyclohexyl-N-[4-[(4-methoxyphenyl)sulfonyl]phenyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



*same as ref. 4*

RN 202125-76-6 CAPLUS

CN 4-Piperidinamine, 1-cyclohexyl-N-[4-[(4-methoxyphenyl)sulfonyl]phenyl]-N-[(3-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 21 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1997:590068 CAPLUS

DOCUMENT NUMBER: 127:242825

TITLE: Studies on aromatase inhibitors IV. Synthesis and biological evaluation of N,N-Disubstituted-5-aminopyrimidine derivatives

AUTHOR(S): Okada, Minoru; Yoden, Toru; Kawaminami, Eiji; Shimada, Yoshiaki; Kudoh, Masafumi; Isomura, Yasuo

CORPORATE SOURCE: Medicinal Chemistry Research II, Institute for Drug Discovery Research, Yamanouchi Pharmaceutical Co., Ltd., Tsukuba City, 305, Japan

SOURCE: Chemical & Pharmaceutical Bulletin (1997), 45(8), 1293-1299

CODEN: CPBTAL; ISSN: 0009-2363

Searched by Barb O'Bryen, STIC 308-4291



PUBLISHER: Pharmaceutical Society of Japan  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB In order to study the potency of the 5-aminopyrimidine skeleton as an aromatase inhibitor, we synthesized various N,N-disubstituted-5-aminopyrimidine derivs. and evaluated their aromatase-inhibitory activity (in vitro) and their inhibitory activity on pregnant mare serum gonadotropin (PMSG)-induced estrogen synthesis (in vivo). Compds. with the fluoro-substituted benzyl group showed potent aromatase inhibition. Among them, 5-[(4-cyanophenyl)(3,5-difluorobenzyl)amino]pyrimidine (5w, YM553) was a highly potent compd. with an IC50 value of 0.038 nM for aromatase from human placenta. Its inhibitory effect was approx. four times greater than that of YM511. In addn., YM553 was a weak inhibitor of other enzymes involved in steroid hormone synthesis. These results indicate that YM553, as well as YM511 (a 4-amino-4H-1,2,4-triazole deriv.), is a promising agent for the treatment of estrogen-dependent diseases.

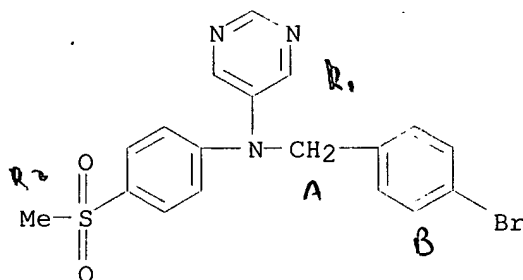
IT 157911-86-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(N,N-disubstituted-5-aminopyrimidine derivs. as aromatase inhibitors)

RN 157911-86-9 CAPLUS

CN 5-Pyrimidinamine, N-[(4-bromophenyl)methyl]-N-[4-(methylsulfonyl)phenyl]-  
(9CI) (CA INDEX NAME)



REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1996:455746 CAPLUS

DOCUMENT NUMBER: 125:168794

TITLE: Uncrosslinked epoxide-amine addition polymers. Part 44. Linear arylamine/2,2-bis[4-(2,3-epoxypropoxy)phenyl]propane addition polymers. Synthesis and properties

AUTHOR(S): Klee, Joachim E.; Gruetzner, Rolf Egbert; Hoerhold, Hans Heinrich

CORPORATE SOURCE: Dentsply De Trey, Konstanz, D-78467, Germany

SOURCE: Macromolecular Chemistry and Physics (1996), 197(7), 2305-2323

CODEN: MCHPES; ISSN: 1022-1352

PUBLISHER: Huethig & Wepf

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The addn. polymn. of arom. disecndary diamines and 2,2-bis[4-(2,3-epoxypropoxy)phenyl]propane (DGEBA) leads to linear high-mol.-wt. epoxide-amine addn. polymers with no.-av. mol. wts. of 10000-20000 g/mol. Depending on the amine structure, their glass transition temps. were estd. to be 80-140.degree.. The fractionation of the high-mol.-wt. addn.

polymers allows the sepn. of cyclic oligomers and the sepn. of polymers with narrow mol. wt. distribution (.hivin.MW/.hivin.Mn = 2.4-2.8). In dil. soln., predominantly cyclic oligomers were formed. Hence, they were prepd. in such solns. and isolated by column chromatog. Their cyclic structure is proved by combination of .hivin.M values and <sup>13</sup>C NMR spectra.

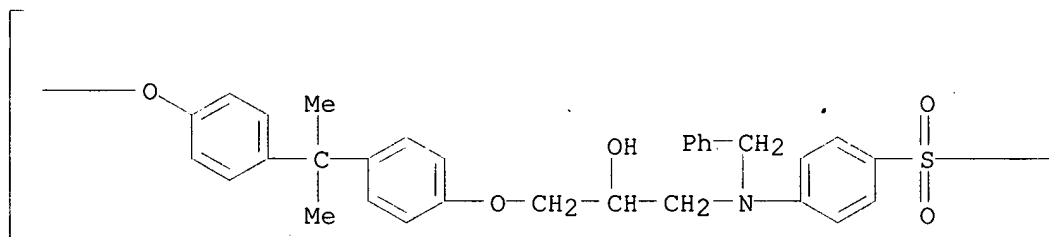
IT 180385-74-4P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and characterization of linear aryldiamine-DGEBA copolymers)

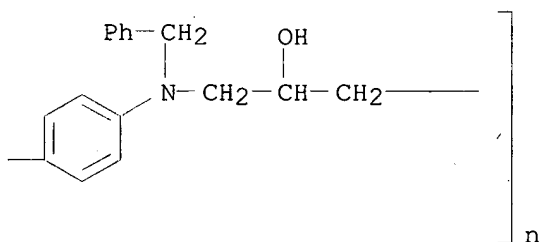
RN 180385-74-4 CAPLUS

CN Poly[oxy-1,4-phenylene(1-methylethylidene)-1,4-phenyleneoxy(2-hydroxy-1,3-propanediyl)[(phenylmethyl)imino]-1,4-phenylenesulfonyl-1,4-phenylene[(phenylmethyl)imino](2-hydroxy-1,3-propanediyl)] (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



L9 ANSWER 23 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1994:605372 CAPLUS

DOCUMENT NUMBER: 121:205372

TITLE: Preparation of aminopyrimidines as aromatase inhibitors

INVENTOR(S): Okada, Minoru; Yoden, Toru; Kawaminami, Eiji; Shimada, Yoshiaki; Kudo, Masafumi; Isomura, Yasuo

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 84 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9322290	A1	19931111	WO 1993-JP548	19930427
W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, PT, RO, RU, SD, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				

AU 9340230 A1 19931129 AU 1993-40230 19930427  
EP 640595 A1 19950301 EP 1993-909428 19930427  
EP 640595 B1 19990324  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE  
AT 178056 E 19990415 AT 1993-909428 19930427  
ES 2130258 T3 19990701 ES 1993-909428 19930427  
CN 1079962 A 19931229 CN 1993-105330 19930428  
CN 1039228 B 19980722  
US 5538976 A 19960723 US 1994-325383 19941026

PRIORITY APPLN. INFO.:

JP 1992-137762 19920428  
JP 1992-234298 19920810  
WO 1993-JP548 19930427

OTHER SOURCE(S): MARPAT 121:205372

GI For diagram(s), see printed CA Issue.

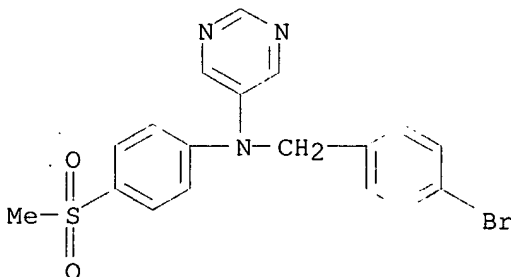
AB The title compds. I [A = single bond, alkylene, etc.; ring B = pyrimidine, pyridazine, triazine ring; rings D and E = (substituted) aryl, etc.; a proviso is given] were prepd. I have aromatase inhibiting activity and are useful as therapeutic agents for breast cancer, endometriosis, prostatic hypertrophy, etc. Treatment of aminopyrimidine II with NaH in DMF, followed by reaction with 4-trifluoromethylbenzyl bromide, gave, after workup, title compd. III. One compd. I in vitro exhibited IC50 of 0.036 nM against aromatase. Formulations contg. I are given.

IT 157911-86-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of, as aromatase inhibitor)

RN 157911-86-9 CAPLUS

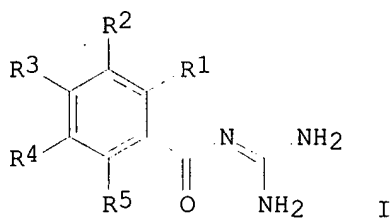
CN 5-Pyrimidinamine, N-[(4-bromophenyl)methyl]-N-[4-(methylsulfonyl)phenyl]-  
(9CI) (CA INDEX NAME)

*same as ref 21*

~~29~~ ANSWER 24 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN  
ACCESSION NUMBER: 1994:8337 CAPLUS  
DOCUMENT NUMBER: 120:8337  
TITLE: Preparation of 3-(substituted sulfo)benzoylguanidines as antiarrhythmics, antiischemics, and cell proliferation inhibitors  
INVENTOR(S): Lang, Hans Jochen; Weichert, Andreas; Englert, Heinrich; Scholz, Wolfgang; Albus, Udo; Lang, Florian  
PATENT ASSIGNEE(S): Hoechst A.-G., Germany  
SOURCE: Eur. Pat. Appl., 18 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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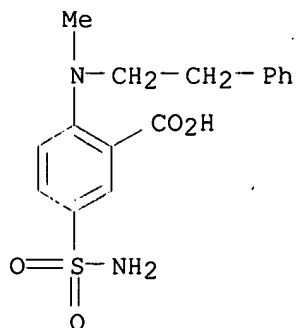
EP 556673 A1 19930825 EP 1993-101841 19930205  
 EP 556673 B1 19970917  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE  
 AT 158278 E 19971015 AT 1993-101841 19930205  
 ES 2108144 T3 19971216 ES 1993-101841 19930205  
 CA 2089440 AA 19930816 CA 1993-2089440 19930212  
 NO 9300511 A 19930816 NO 1993-511 19930212  
 NO 179002 B 19960409  
 NO 179002 C 19960717  
 AU 9333014 A1 19930819 AU 1993-33014 19930212  
 AU 658262 B2 19950406  
 ZA 9300985 A 19930920 ZA 1993-985 19930212  
 JP 06009545 A2 19940118 JP 1993-23108 19930212  
 HU 65868 A2 19940728 HU 1993-369 19930212  
 HU 220219 B 20011128  
 IL 104714 A1 19961205 IL 1993-104714 19930212  
 DE 1992-4204576 A 19920215  
 PRIORITY APPLN. INFO.:  
 OTHER SOURCE(S): MARPAT 120:8337  
 GI



AB Title compds. [I: R1 = halo, alkyl, OH, alkoxy, (alkyl)amino, etc.; R2, R4 = H, groups cited for R1, R11SO0-2, R12R13NSO2; R3 = H, OH, alkoxy, (alkyl)amino, etc.; R5 = H, Me, MeO, F, Cl,; R11 = (phenyl)alkyl; R12 = H, (cyclo)alkyl, fluoroalkyl, phenylalkyl, etc.; R13 = H, alkyl] were prepd. as antiarrhythmics, antiischemics, and cell proliferation inhibitors (no data). Thus, I (R1 = Cl, R2 = R3 = R5 = H, R4 = SO2Me) was prepd. from 2,5-Cl(MeSO2)C6H3CO2H and guanidine.

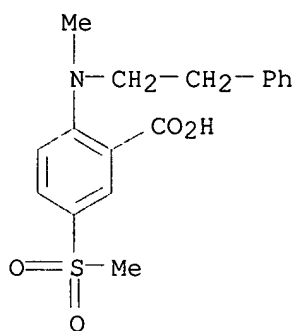
IT **151104-26-6P 151104-27-7P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. and reaction of, in prepn. of antiarrhythmic, antiischemic, and cell proliferation inhibitor)

RN 151104-26-6 CAPLUS  
 CN Benzoic acid, 5-(aminosulfonyl)-2-[methyl(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)



RN 151104-27-7 CAPLUS

CN Benzoic acid, 2-[methyl(2-phenylethyl)amino]-5-(methylsulfonyl)- (9CI)  
(CA INDEX NAME)

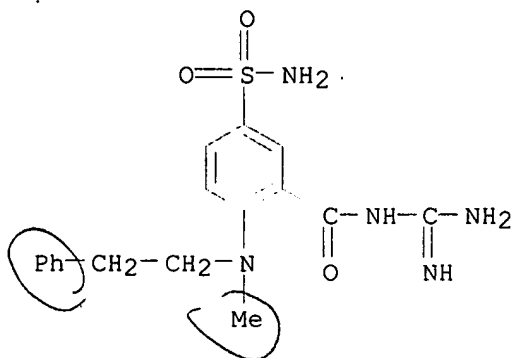


IT 151104-09-5P 151104-10-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of, as antiarrhythmic, antiischemic, and cell proliferation inhibitor)

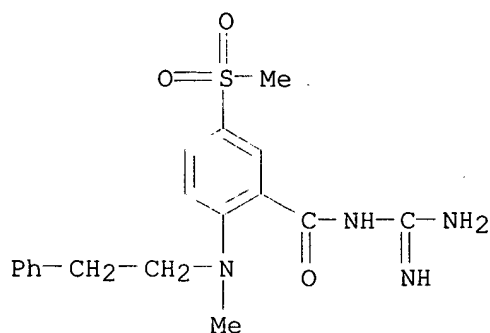
RN 151104-09-5 CAPLUS

CN Benzamide, N-(aminoiminomethyl)-5-(aminosulfonyl)-2-[methyl(2-phenylethyl)amino]-, monohydrochloride (9CI) (CA INDEX NAME)



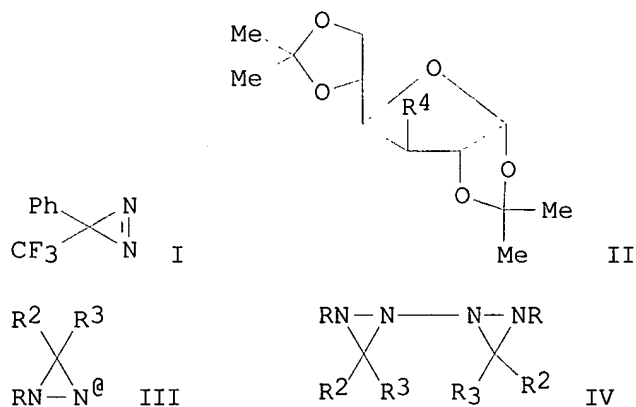
● HCl

RN 151104-10-8 CAPLUS  
 CN Benzamide, N-(aminoiminomethyl)-2-[methyl(2-phenylethyl)amino]-5-(methylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

19 ANSWER 25 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 1993:626304 CAPLUS  
 DOCUMENT NUMBER: 119:226304  
 TITLE: The invention of radical reactions. 30. Diazirines as carbon radical traps. Mechanistic aspects and synthetic applications of a novel and efficient amination process  
 AUTHOR(S): Barton, Derek H. R.; Jaszberenyi, Joseph C.; Theodorakis, Emmanouil A.; Reibenspies, J. H.  
 CORPORATE SOURCE: Dep. Chem., Texas A and M Univ., College Station, TX, 77843, USA  
 SOURCE: Journal of the American Chemical Society (1993), 115(18), 8050-9  
 CODEN: JACSAT; ISSN: 0002-7863  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 119:226304  
 GI



AB A no. of diazirines were synthesized for the purpose of exploring the

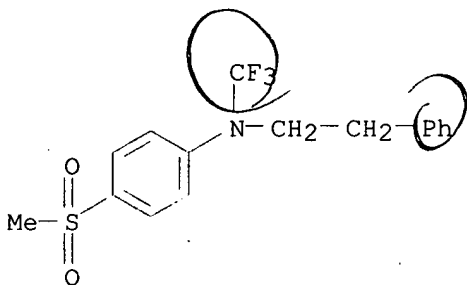
addn. of a carbon radical to the nitrogen-nitrogen double bond. Carbon radicals, generated from the photolysis of the O-acyl derivs. of N-hydroxy-2-thiopyridone or via radical exchange from the corresponding organotellurides, were shown to add smoothly to the diazirines leading to imines, RN:CR<sub>2</sub>R<sub>3</sub> (R = PhCH<sub>2</sub>CH<sub>2</sub>, cyclohexyl, 1-adamantanyl, R<sub>2</sub> = Ph, 4-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>, R<sub>3</sub> = Br; R<sub>2</sub> = Ph, 4-MeSO<sub>3</sub>C<sub>6</sub>H<sub>4</sub>, R<sub>3</sub> = CF<sub>3</sub>; R<sub>2</sub>, R<sub>3</sub> = H, cyclohexenyl). When 3-(trifluoromethyl)-3-phenyldiazirine (I) is used as the trap, the thus formed imines can be easily hydrolyzed to amines. Thus, telluro carbohydrate II (R<sub>4</sub> = TeC<sub>6</sub>H<sub>4</sub>OMe-4) was treated with I in the presence of N-acetoxypyridine-2-thione to give imine II [R<sub>4</sub> = N:C(CF<sub>3</sub>)Ph] which was hydrolyzed to the amine II (R<sub>4</sub> = NH<sub>2</sub>). A mechanism that involves dimerization of the diaziridinyl radicals III to produce tetraazo intermediates IV is suggested in accord with variable temp. NMR data for the reaction. Proof for this mechanistic scheme was furthermore obtained by isolation and x-ray structure detn. of IV (R = CH<sub>2</sub>OMe, R<sub>2</sub> = CF<sub>3</sub>, R<sub>3</sub> = Ph). The first x-ray structure of a 3-(trifluoromethyl)-3-aryldiazirine (aryl = 4-MeSO<sub>2</sub>C<sub>6</sub>H<sub>4</sub>) is also reported.

IT 150772-86-4P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 150772-86-4 CAPLUS

CN Benzeneethanamine, N-[4-(methylsulfonyl)phenyl]-N-(trifluoromethyl)- (9CI)  
(CA INDEX NAME)



L9 ANSWER 26 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1993:193617 CAPLUS

DOCUMENT NUMBER: 118:193617

TITLE: A novel method for the preparation of  
3-amino-4-hydroxybenzenesulfonamide precursors of Acid  
Alizarin Violet N derivatives

AUTHOR(S): Katritzky, Alan R.; Wu, Jing; Rachwal, Stanislaw;  
Macomber, David; Smith, Terrance P.

CORPORATE SOURCE: Cent. Heterocycl. Compd., Univ. Florida, Gainesville,  
FL, 32611-2046, USA

SOURCE: Synthetic Communications (1993), 23(3), 405-17  
CODEN: SYNCAV; ISSN: 0039-7911

DOCUMENT TYPE: Journal

LANGUAGE: English

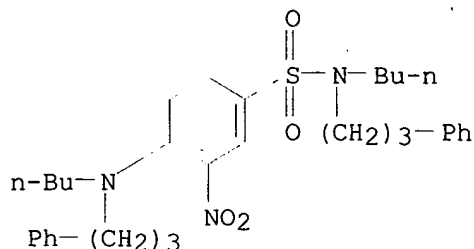
AB Chlorosulfonation of 2-nitroanisole gave 4-methoxy-3-nitrobenzenesulfonyl chloride ) which was converted with N-butyl-N-(3-phenylpropyl)amine into the benzenesulfonamide (I). Hydrolysis of the ether and redn. of the nitro group of I followed by diazotization and coupling with 2-naphthol gave N-butyl-N-(3-phenylpropyl)-4-hydroxy-3-(2-hydroxy-1-naphthyl)azobenzenesulfonamide.

IT 147237-65-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 147237-65-8 CAPLUS

CN Benzenesulfonamide, N-butyl-4-[butyl(3-phenylpropyl)amino]-3-nitro-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)



L9 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 1990:169220 CAPLUS  
 DOCUMENT NUMBER: 112:169220  
 TITLE: Organic thin film device  
 INVENTOR(S): Sato, Itsuko; Naito, Katsuyuki; Genma, Nobuhiro;  
 Azuma, Makoto  
 PATENT ASSIGNEE(S): Toshiba Corp., Japan  
 SOURCE: Brit. UK Pat. Appl., 41 pp.  
 CODEN: BAXXDU  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2217910	A1	19891101	GB 1989-7064	19890329
GB 2217910	B2	19920527		
JP 02001168	A2	19900105	JP 1988-253742	19881011
JP 3153537	B2	20010409		
US 4987023	A	19910122	US 1989-330205	19890329
PRIORITY APPLN. INFO.:			JP 1988-73305	A 19880329
			JP 1988-253742	A 19881011

AB An org. thin-film device, which employs a Langmuir-Blodgett film, has a small threshold value of the external potential, and may be used as a multicolor display, a rectifier, a switching device, or a light memory device, comprises 1st and 2nd org. thin films contg. acceptor and donor mols., resp., stacked one upon another, in which .gtoreq.1 of the 1st and the 2nd org. thin films contains a chem. species having a dipole moment .vector.P2 and the dipole moment .vector.P2 and the dipole moment .vector.P1, produced by charge transfer between the acceptor and the donor mols., that satisfies the formula (.vector.P1..vector.P2)|.vector.r|<sup>2</sup> - 3 (.vector.P1..vector.r)(.vector.P2..vector.r)<0 where .vector.r represents a positional relation between .vector.P1 and .vector.P2. The direction of the dipole moment .vector.P2 is opposite to that of the dipole moment .vector.P2 and the chem. species having the dipole moment .vector.P2 comprises a functional group bonded to one of the acceptor and the donor mols. The 1st and the 2nd org. thin films are alternately stacked one upon another to produce a laminate film of a superlattice structure.

IT 126229-92-3

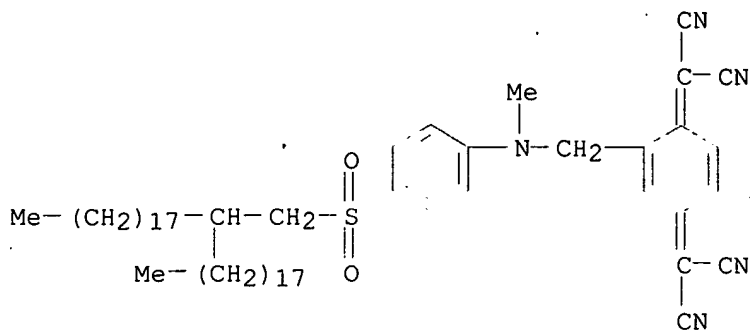
RL: USES (Uses)

(electrooptical display device contg. thin films of)

RN 126229-92-3 CAPLUS

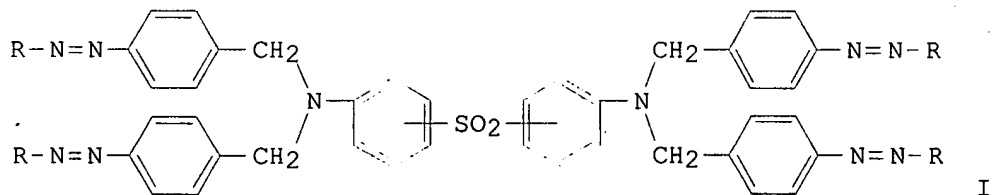
CN Propanedinitrile, 2,2'-[2-[[methyl[4-[(2-octadecyleicosyl)sulfonyl]phenyl]amino]methyl]-2,5-cyclohexadiene-1,4-diylidene]bis- (9CI) (CA INDEX NAME)





L9 ANSWER 28 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 1987:11178 CAPLUS  
 DOCUMENT NUMBER: 106:11178  
 TITLE: Electrophotographic photoreceptors  
 INVENTOR(S): Enomoto, Kazuhiro; Ito, Akira  
 PATENT ASSIGNEE(S): Mitsubishi Paper Mills, Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 61122652	A2	19860610	JP 1984-245652	19841119
PRIORITY APPLN. INFO.: GI			JP 1984-245652	19841119



AB The electrophotog. photoreceptor has on an elec. conductive support a photosensitive layer contg. an azo pigment of the formula I (R = a coupler residue which reacts with a diazo group). The azo pigment may be a charge-generating substance and its sulfonyl group may be connected to the para positions of the benzenes with respect to the amino groups.

IT 105754-45-8 105754-46-9 105754-47-0

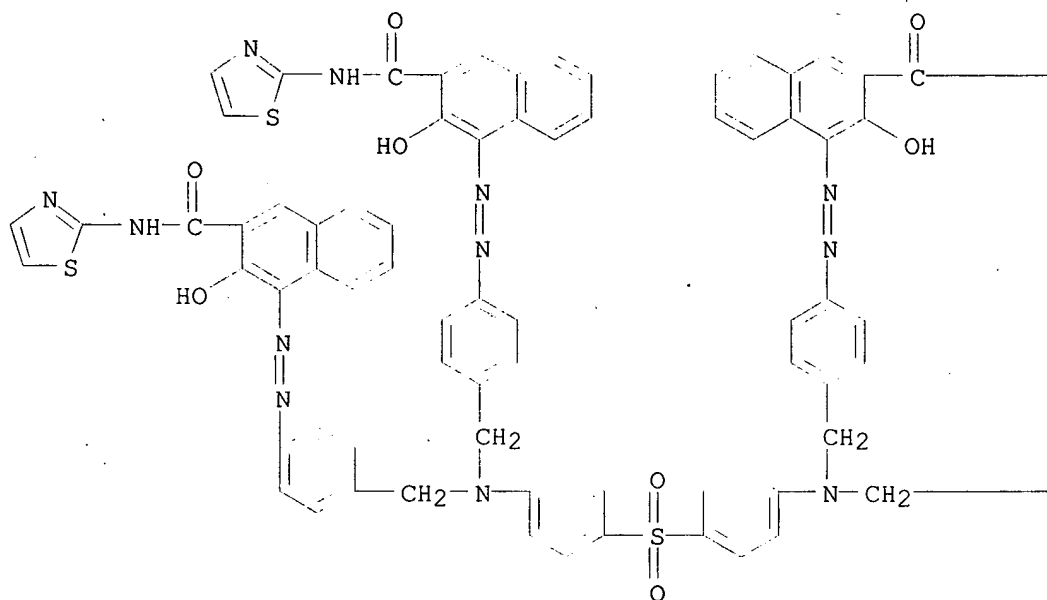
105782-19-2 105782-20-5

RL: TEM (Technical or engineered material use); USES (Uses)  
 (electrophotog. charge-generating agent)

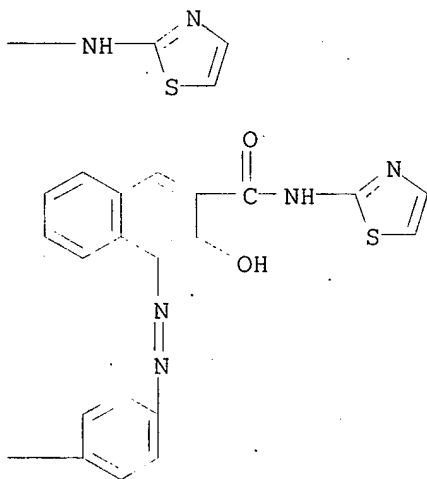
RN 105754-45-8 CAPLUS

CN 2-Naphthalenecarboxamide, 4,4',4'',4'''-[sulfonylbis[4,1-phenylenenitrilobis(methylene-4,1-phenyleneazo)]]tetrakis[3-hydroxy-N-2-thiazolyl- (9CI) (CA INDEX NAME)

PAGE 1-A

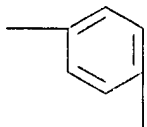


PAGE 1-B

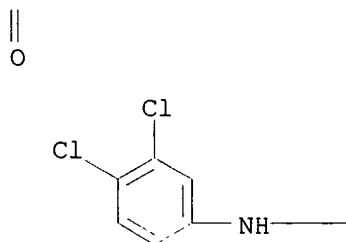


RN 105754-46-9 CAPLUS  
 CN 2-Naphthalenecarboxamide, 4,4',4'',4'''-[sulfonylbis[4,1-phenylenenitrilobis(methylene-4,1-phenyleneazo)]]tetrakis[N-(3,4-dichlorophenyl)-3-hydroxy- (9CI) (CA INDEX NAME)

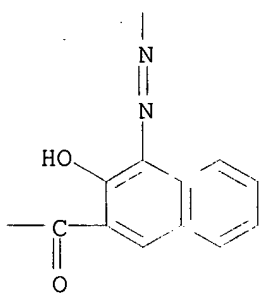
Chemical structure of a bis-benzimidazole derivative. The molecule features two benzimidazole rings linked by a central diphenylmethane group. Each benzimidazole ring is substituted with a 2,4-dichlorophenyl group and a 2-hydroxy-5-phenyl-1H-benzimidazol-4-yl group. The central diphenylmethane group is connected via methylene bridges to the 5-phenyl rings of the benzimidazole moieties.

Nc1cc(Cl)c(Cl)cc1

PAGE 2-A

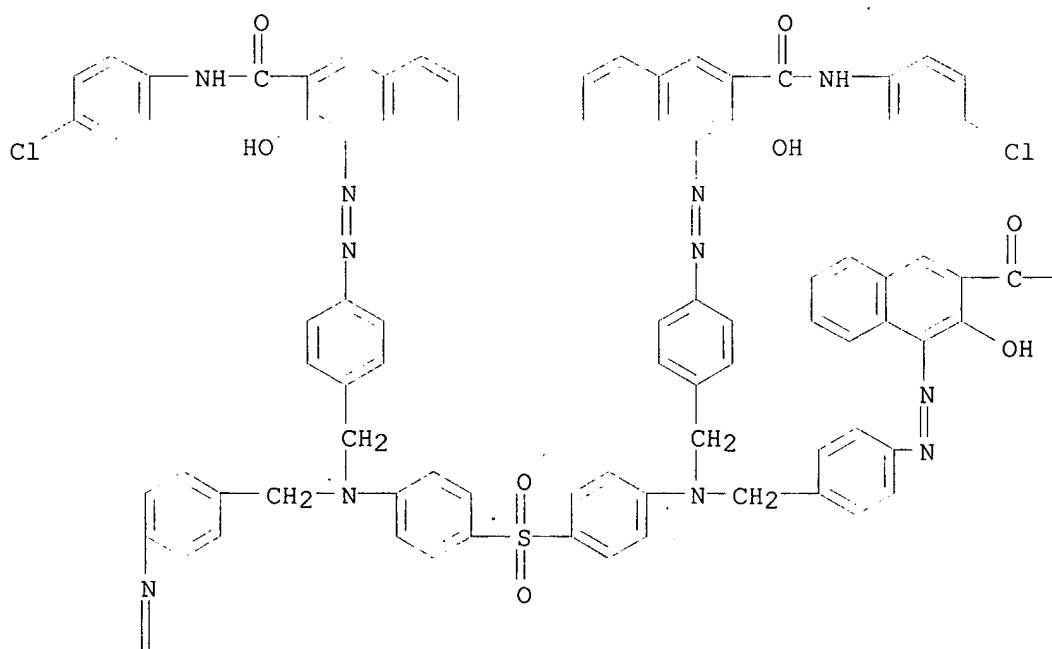


PAGE 2-B

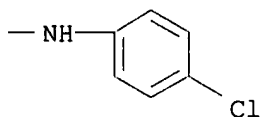


RN 105754-47-0 CAPLUS  
CN 2-Naphthalenecarboxamide, 4,4',4'',4'''-[sulfonylbis[4,1-phenylenenitrilobis(methylene-4,1-phenyleneazo)]]tetrakis[N-(4-chlorophenyl)-3-hydroxy- (9CI) (CA INDEX NAME)

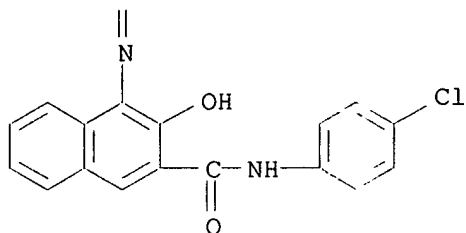
PAGE 1-A



PAGE 1-B



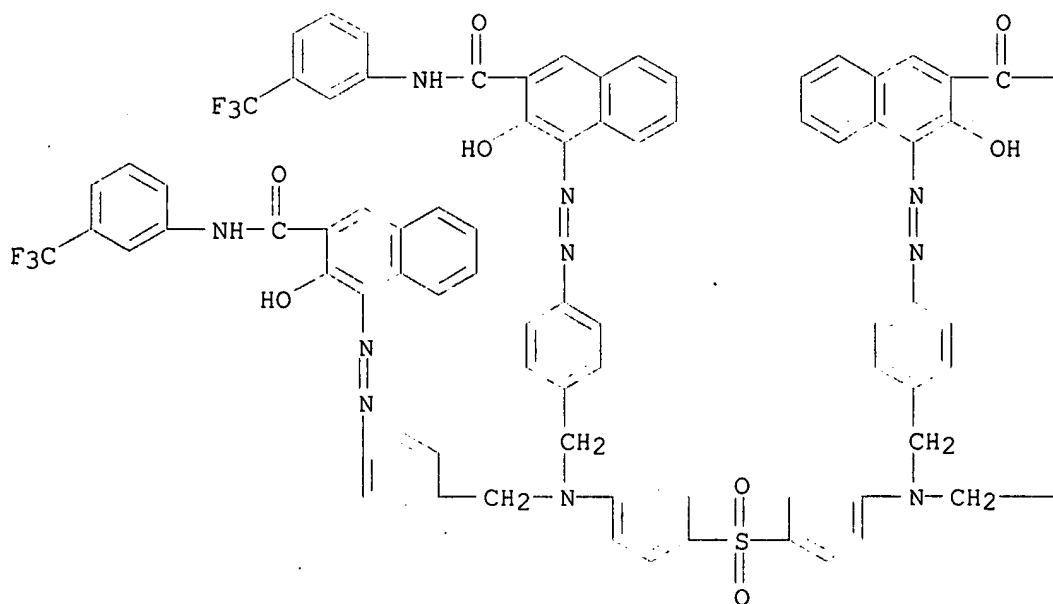
PAGE 2-A



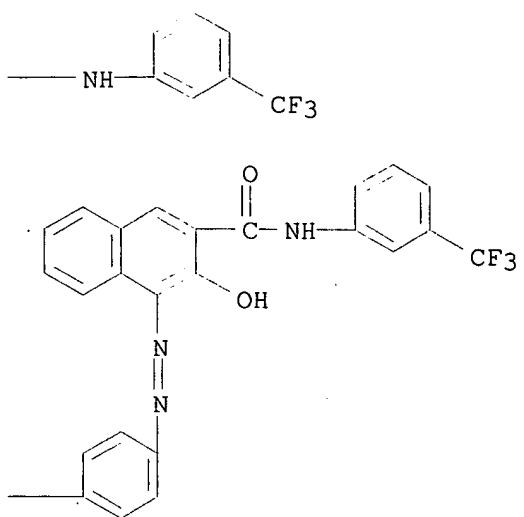
RN 105782-19-2 CAPLUS

CN 2-Naphthalenecarboxamide, 4,4',4'',4'''-[sulfonylbis[4,1-phenylenenitrilobis(methylene-4,1-phenyleneazo)]]tetrakis[3-hydroxy-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

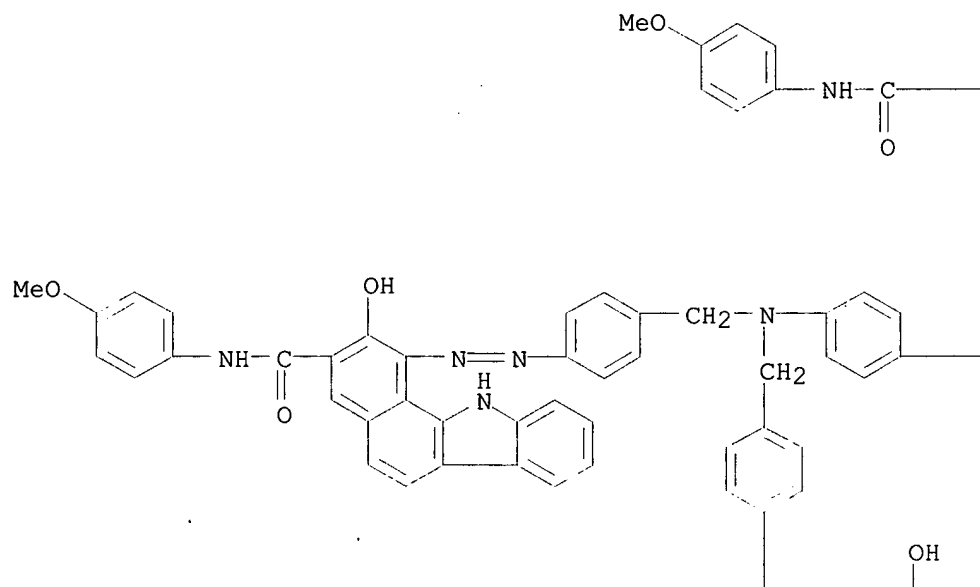


PAGE 1-B

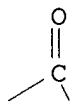
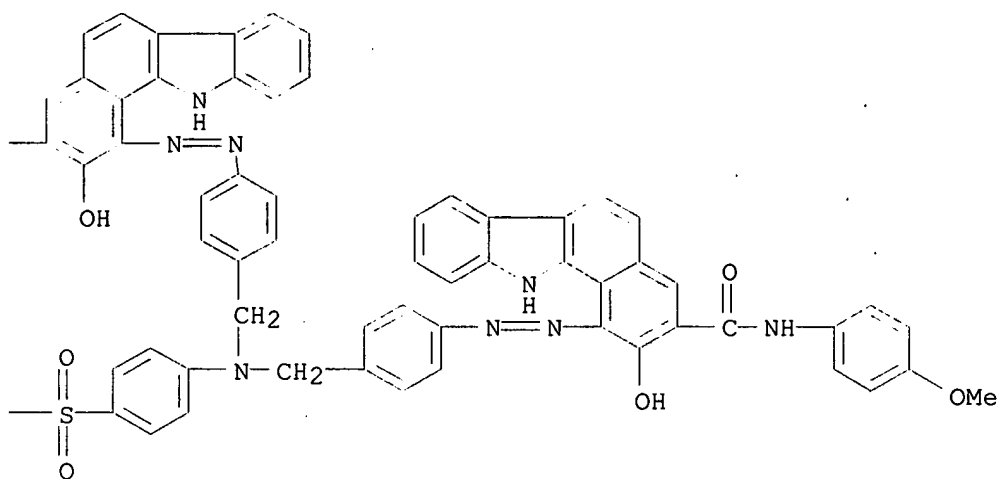


RN 105782-20-5 CAPLUS  
 CN 11H-Benzo[a]carbazole-3-carboxamide, 1,1',1'',1'''-[sulfonylbis[4,1-phenylenenitrilobis(methylene-4,1-phenyleneazo)]]tetrakis[2-hydroxy-N-(4-methoxyphenyl)-(9CI) (CA INDEX NAME)

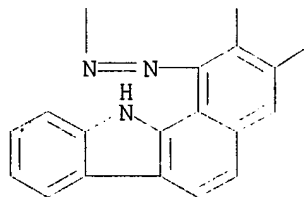
PAGE 1-A



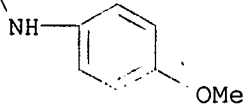
PAGE 1-B



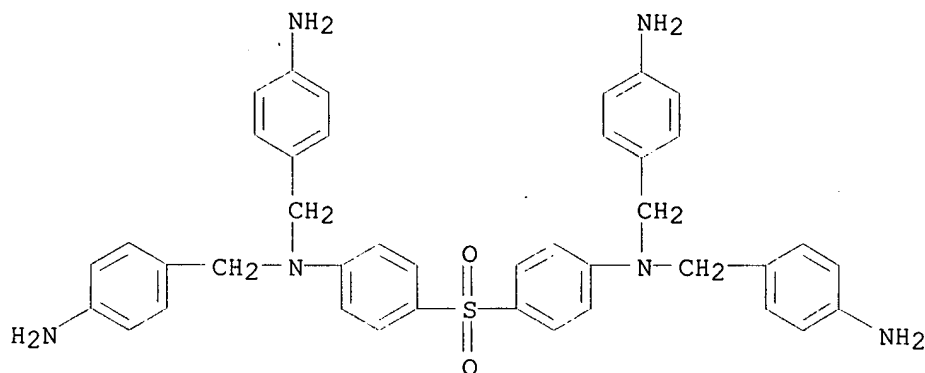
PAGE 2-A



PAGE 2-B



IT 105754-44-7P  
 RL: PREP (Preparation)  
 (prepn. and reaction of diazotized, electrophotog. charge-generating agent from)  
 RN 105754-44-7 CAPLUS  
 CN Benzenemethanamine, N,N'-(sulfonyldi-4,1-phenylene)bis[4-amino-N-[(4-aminophenyl)methyl]- (9CI) (CA INDEX NAME)



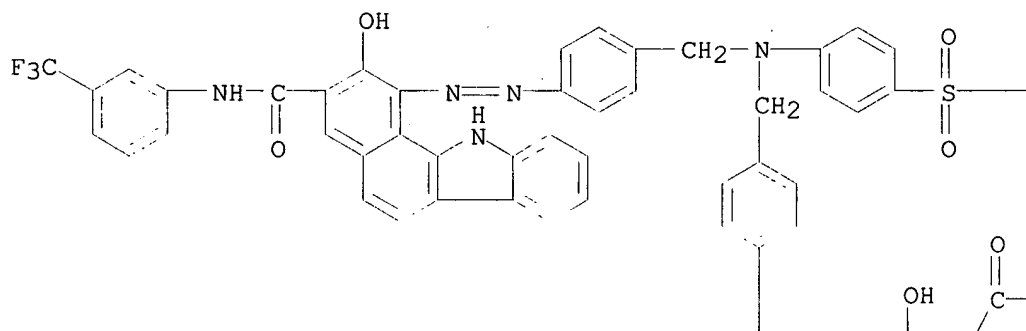
IT 105754-48-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. and use of, as electrophotog. charge-generating agent)

RN 105754-48-1 CAPLUS

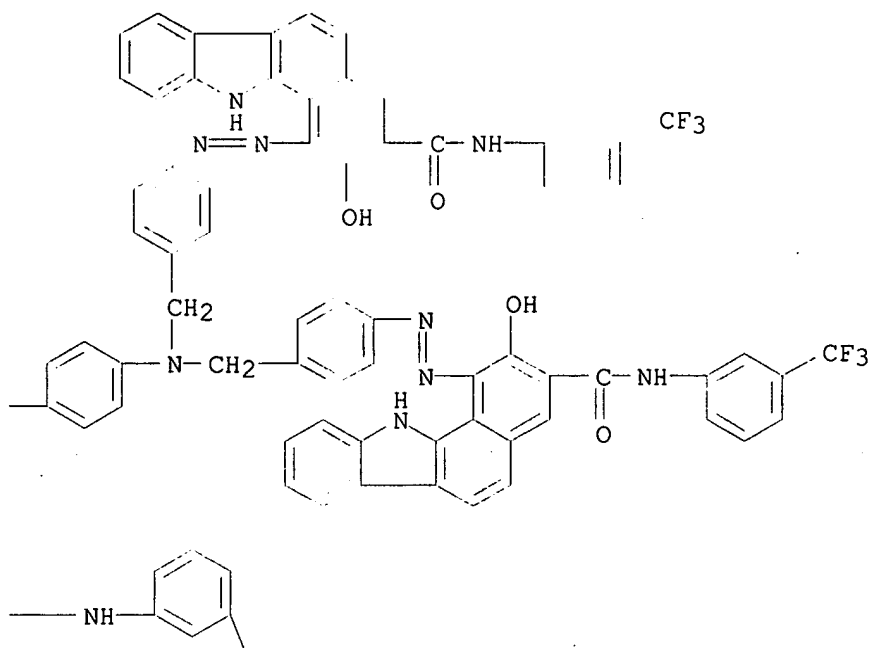
CN 11H-Benzo[a]carbazole-3-carboxamide, 1,1',1'',1'''-[sulfonylbis[4,1-phenylenenitrilobis(methylene-4,1-phenyleneazo)]]tetrakis[2-hydroxy-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

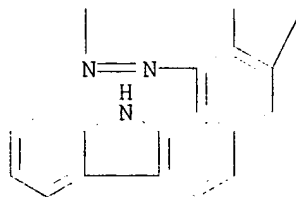




PAGE 1-B



PAGE 2-A

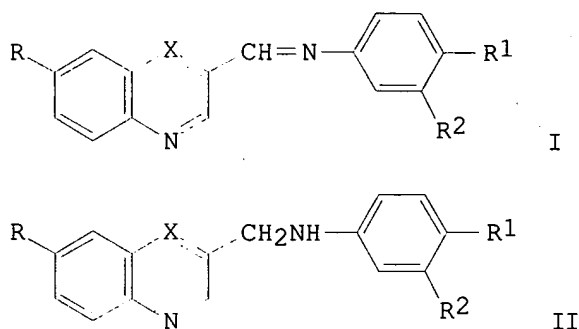


PAGE 2-B

CF<sub>3</sub>

ANSWER 29 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN  
ACCESSION NUMBER: 1977:155606 CAPLUS  
DOCUMENT NUMBER: 86:155606  
TITLE: Synthesis of quinoline-3- and quinoxaline-2  
derivatives and their effect against various malarial  
causative organisms  
AUTHOR(S): Djudovic, P.; Maier, W.; Piekarski, G.; Schornstein,  
U.; Zymalkowski, F.  
CORPORATE SOURCE: Pharm. Inst., Univ. Bonn, Bonn, Fed. Rep. Ger.  
SOURCE: Pharmazie (1976), 31(12), 845-9  
CODEN: PHARAT; ISSN: 0031-7144  
DOCUMENT TYPE: Journal  
LANGUAGE: German

GI



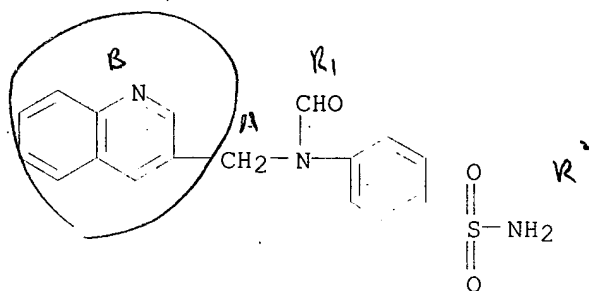
AB The Schiff bases I (X = CH, N; R = H, OMe; R1 = CO2H, SO2NH2, 5-methoxy-2-pyrimidinylaminosulfonyl, 2,4-dimethyl-6-pyrimidinylaminosulfonyl, CO2Et; R2 = H, OH) were prepd. by treating the aldehydes with 3,4-R2R1C6H3NH2. NaBH4 redn. of I gave II, some of which were N-formylated. II (X = CH, R = H, R1 = CO2H, R2 = H) was catalytically hydrogenated to its 1,2,3,4-tetrahydro deriv. II and their N-formyl derivs. were antimalarial. Changes in the quinoline moiety had greater effects on the antimalarial activity than the presence of the sulfonamide moiety.

IT 62294-91-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. and antimalarial activity of).

RN 62294-91-1 CAPLUS

CN Benzenesulfonamide, 4-[formyl(3-quinolinylmethyl)amino]- (9CI) (CA INDEX NAME)



L9 ANSWER 30 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1972:474950 CAPLUS

DOCUMENT NUMBER: 77:74950

TITLE: Synthesis of p,p'-bis(.beta.-hydroxyethylamino)diphenyl sulfone and its reactions

AUTHOR(S): Petrov, K. D.; Shchedrunova, N. A.

CORPORATE SOURCE: Orekhovo-Zuev. Pedagog. Inst., Orekhovo-Zuevo, USSR

SOURCE: Izvestiya Vysshikh Uchebnykh Zavedenii, Khimiya i

Khimicheskaya Tekhnologiya (1972), 15(4), 523-5

CODEN: IVUKAR; ISSN: 0579-2991

DOCUMENT TYPE: Journal

LANGUAGE: Russian

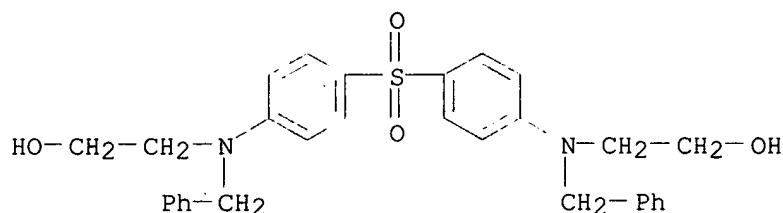
GI For diagram(s), see printed CA Issue.

AB P,p'-Di(.beta.-hydroxyethylamino)diphenyl sulfone (I), m. 186-7.degree., was prepd. in 82% yield by boiling 0.14 mole p,p'-dichlorodiphenyl sulfone with 0.12 mole anhyd. CuSO<sub>4</sub> in 4.8 moles HOCH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub> for 10 hr. II (R and m.p. given), were prepd. in 70-82% yield by reacting I with HCHO, MeCHO, or PrCHO for 10-15 hr on a H<sub>2</sub>O bath (at 38-40.degree. for MeCHO): H, 229-30.degree.; Me, 138-40.degree.; Pr, 130-2.degree.. III (R and m.p. given), were prepd. in 53-67% yield by reacting I with HOCH<sub>2</sub>CH<sub>2</sub>Cl, CH<sub>2</sub>:CHCH<sub>2</sub>Cl, or PhCH<sub>2</sub>Cl for 16 hr on a boiling water bath: HOCH<sub>2</sub>CH<sub>2</sub>, 177-8.degree.; CH<sub>2</sub>:CHCH<sub>2</sub>, 171-3.degree.; PhCH<sub>2</sub>, 278-80.degree.. Ir spectra of II have absorbance bands in the 800-900 cm<sup>-1</sup> region.

IT 37559-90-3P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 37559-90-3 CAPLUS

CN Ethanol, 2,2'-[sulfonylbis[4,1-phenylene[(phenylmethyl)imino]]]bis- (9CI)  
(CA INDEX NAME)



L9 ANSWER 31 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1970:100325 CAPLUS

DOCUMENT NUMBER: 72:100325

TITLE: Yellow color formers

INVENTOR(S): Schulte, Walter; Maeder, Helmut; Pelz, Willibald; Nittel, Fritz; Reckziegel, Erich

PATENT ASSIGNEE(S): Gevaert-Agfa N. V.

SOURCE: Belg., 18 pp.  
CODEN: BEXXAL

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 717841		19690110		
DE 1597464			DE	
FR 1573578			FR	
GB 1248924			GB	
US 3615606		19710000	US	

PRIORITY APPLN. INFO.: DE 19680710

GI For diagram(s), see printed CA Issue.

AB Light and heat stable color formers for AgX films are prepd. Thus, 81 g H<sub>2</sub>NC18H<sub>37</sub> and 41 ml Et<sub>3</sub>N are dissolved in 800 ml tetrahydrofuran (THF), 75 g 3,4-O<sub>2</sub>N(MeO)-C<sub>6</sub>H<sub>3</sub>SO<sub>2</sub>Cl in 200 ml THF added dropwise, and the soln. stirred 1 hr at 25.degree. to give 140 g 3,4-O<sub>2</sub>N(MeO)C<sub>6</sub>H<sub>3</sub>SO<sub>2</sub>NHC18H<sub>37</sub> (I) m. 102.degree. (dioxane). I (130 g) is reduced in 1.6l. MeOH over Raney Ni under 50 atm. H at 50.degree. to give 100 g 3,4-H<sub>2</sub>N(MeO)C<sub>6</sub>H<sub>3</sub>SO<sub>2</sub>-NHC18H<sub>37</sub> (II), m. 89.degree.. II (34 g) in 25 ml Et<sub>3</sub>N and 300 ml PhCl is refluxed 4 hr at 140.degree. with 25 g p-MeOC<sub>6</sub>H<sub>4</sub>CO<sub>2</sub>Ac 4 hr in 100 ml PhCl. After pptn. with MeOH, 38 g solid, m. 140.degree., was reacted at room temp. with 230 ml dil. H<sub>2</sub>SO<sub>4</sub>, and the soln. heated to 40.degree. for 1 hr to give 28 g III (R<sub>1</sub> = OMe, R<sub>2</sub> = H, R<sub>3</sub> = C18H<sub>37</sub>), m. 140.degree. (MeOH).

III similarly prepd. were (R1, R2, and R3 given): morpholino, H, C18H37; MeN(C18H37), H, Me; MeNCH2Ph, Me, C18H27; Et2N, H, C18H37; Cl, H, C18H37; MeNC18H37, H, Bu; MeNC18H37, Et, Et; C5H11N, H, C18H37; OC16H33, H, Me; MeNC18H37, H, Et; OMe, Me, C18H37. Addn. of a basic alc. soln. contg. any III to a photographic AgBr gelatine emulsion followed by coating, exposure and development gave rise to absorbance of 0.5-1.5.

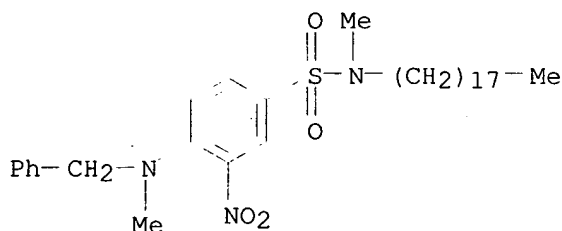
IT 26093-37-8P 26093-38-9P 26187-26-8P

26517-31-7P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

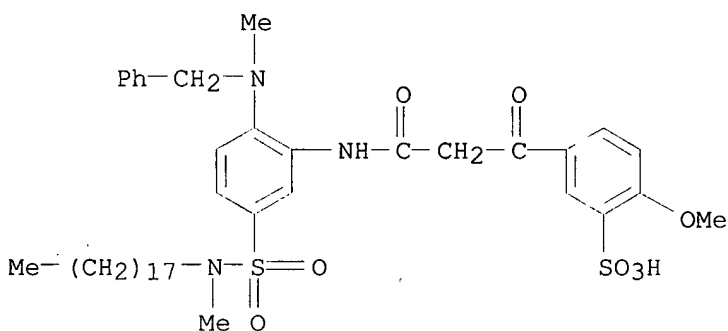
RN 26093-37-8 CAPLUS

CN Sulfanilamide, N4-benzyl-N1,N4-dimethyl-3-nitro-N1-octadecyl- (8CI) (CA INDEX NAME)



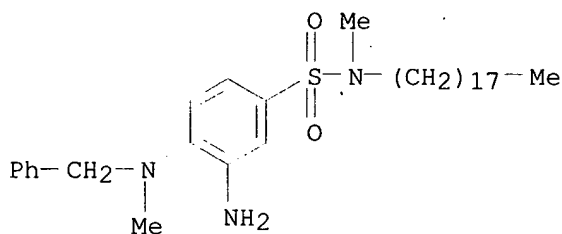
RN 26093-38-9 CAPLUS

CN Benzenesulfonic acid, 5-[2'-(benzylmethylamino)-5'-(methyloctadecylsulfamoyl)malonaniloyl]-2-methoxy- (8CI) (CA INDEX NAME)



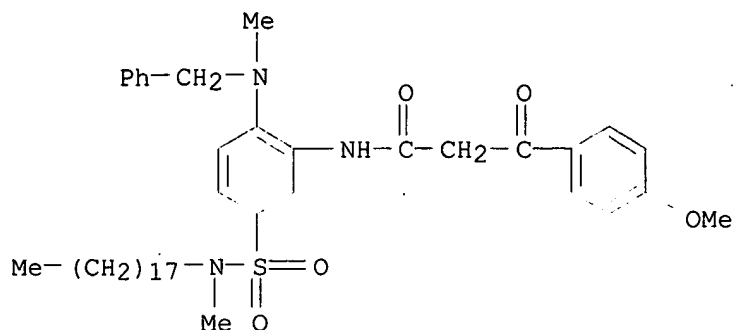
RN 26187-26-8 CAPLUS

CN Benzenesulfonamide, 3-amino-4-(benzylmethylamino)-N-methyl-N-octadecyl- (8CI) (CA INDEX NAME)



RN 26517-31-7 CAPLUS

CN Acetanilide, 2-p-anisoyl-2'-(benzylmethylamino)-5'-(methyloctadecylsulfamoyl)- (8CI) (CA INDEX NAME)



L9 ANSWER 32 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1962:482852 CAPLUS

DOCUMENT NUMBER: 57:82852

ORIGINAL REFERENCE NO.: 57:16446a-g

TITLE: Reductive acylation of Schiff bases using trimethylamine borane. IV

AUTHOR(S): Billman, John H.; McDowell, John W.

CORPORATE SOURCE: Indiana Univ., Bloomington

SOURCE: Journal of Organic Chemistry (1962), 27, 2640-3

CODEN: JOCEAH; ISSN: 0022-3263

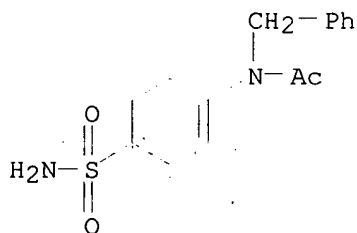
DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

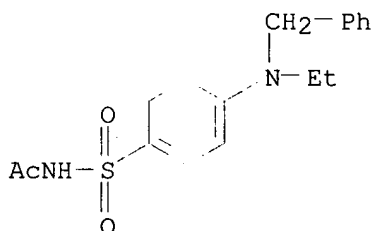
AB cf. *ibid.* 26, 1437(1961). Me<sub>3</sub>N.BH<sub>3</sub> (I) on prolonged refluxing in acids was capable of reducing and acylating Schiff bases, ARCH: NAr' (II), in a single reaction process. II (Ar = Ar' = p-ClC<sub>6</sub>H<sub>4</sub>) (25 g.) in 50 ml. AcOH stirred with dropwise addn. of 9.8 g. I in 30 ml. AcOH (icebath) until no further increase in temp. was observed, the residual I added quickly, the mixt. refluxed 12 hrs., the cooled mixt. treated with 200 ml. 6N NaOH, the product extd. into 150 ml. Et<sub>2</sub>O, the ext. dried (Drierite) 12 hrs., the filtered ext. evapd. in vacuo, the residue taken up in a min. of hot alc., the decolorized hot soln. filtered, the filtrate refrigerated, and dried yielded 66.4% ArCH<sub>2</sub>N(COR)Ar' (III, Ar = Ar' = p-ClC<sub>6</sub>H<sub>4</sub>, R = Me), m. 104-5.degree.. II (Zr = Ar' = Ph) (5.83 g.) and 7.85 g. BzOH treated dropwise with stirring with 3.20 g. I in 20 ml. xylene, the mixt. refluxed 12 hrs. at 140.degree., the cooled soln. washed twice with 10% Na<sub>2</sub>CO<sub>3</sub> and 10% NaOH, the xylene layer washed with 15% HCl, and the dried (MgSO<sub>4</sub>) ext. evapd. in vacuo gave an oily residue, recrystd. from alc. to yield 26.2% III (Ar = Ar' = R = Ph), m. 106-6.5.degree.. The mother liquors yielded (PhCH<sub>2</sub>)<sub>2</sub>NPh, m. 66.5-7.0.degree. (alc.); picrate m. 133.5-4.0.degree.. Typical acetylation with 18 hrs. reflux using 0.113 mole I and 0.1 mole II (Ar = Ar' = p-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>) gave 416 g. yellow solid, recrystd. from abs. alc. to give 3 fractions: p-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>NHC<sub>6</sub>H<sub>4</sub>NO<sub>2</sub>-p, m. 188-9.degree. a mixt. of the secondary amine and III (Ar = Ar' = p-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>, R = Me); and a mixt. sepd. by chromatography on Al<sub>2</sub>O<sub>3</sub> and elution with 1:1 petr. ether-C<sub>6</sub>H<sub>6</sub> and C<sub>6</sub>H<sub>6</sub> to give p-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>(p-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>)NEt, m. 133.5-4.0.degree., and the secondary amine. The acylated products III obtained by reductive acylations of Schiff bases II were listed [Ar, Ar', R of RCO<sub>2</sub>H, m.p. (cor.), and % yield III given]: Ph, Ph, Me, 57-8.degree., 60.9; Ph, Ph, Et, (b1.0 156-7.degree.), 64-7; Ph, Ph, Ph, 106.0-6.5.degree., 26.2; Ph, p-ClC<sub>6</sub>H<sub>4</sub>, Me, 91-2.degree., 67.2; p-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>, p-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>, Me, 148-9.degree., 22.9; Ph, p-HOC<sub>6</sub>H<sub>4</sub>, Me, 169.5-70.0.degree., 88.0; p-MeOC<sub>6</sub>H<sub>4</sub>, Ph, Me, 53.5-4.0.degree., 25.5; Ph, p-MeOC<sub>6</sub>H<sub>4</sub>, Me (b0.7 174-6.degree.), 68.6; Ph, p-EtO<sub>2</sub>CC<sub>6</sub>H<sub>4</sub>, Me, 57-8.degree., 35.1. Reductive acetylation of PhCH:NC<sub>6</sub>H<sub>4</sub>SO<sub>2</sub>NH<sub>2</sub> resulted in isolation of several different compds. The product fractionally crystd. from alc. into 2 fractions and the 1st fraction recrystd. gave PhCH<sub>2</sub>NAC<sub>6</sub>H<sub>4</sub>SO<sub>2</sub>NH<sub>2</sub>, m. 191-2.degree., .lambda. 6.16 .mu., and PhCH<sub>2</sub>NEtC<sub>6</sub>H<sub>4</sub>SO<sub>2</sub>NHAc, m. 187-8.degree., .lambda. 5.85 .mu..

The 2nd fraction chromatographed over acid-washed Al<sub>2</sub>O<sub>3</sub> (Merck) and eluted with Et<sub>2</sub>O and EtOAc gave the 2 previously isolated compds. together with 10.9% PhCH<sub>2</sub>NAC<sub>6</sub>H<sub>4</sub>SO<sub>2</sub>NHAc. The mechanism of the reaction was assumed to be very similar to that for Friedel-Crafts acylation and the assumption was supported by the observed tendency for electron-withdrawing groups in the ring attached to the N atom to reduce the amt. of acylation of the new weaker nucleophilic NH<sub>2</sub> group.

IT 92580-45-5, Acetanilide, N-benzyl-4'-sulfamoyl- 94931-07-4  
, Acetamide, N-(N-benzyl-N-ethylsulfanilyl)-  
(prepn. of)  
RN 92580-45-5 CAPLUS  
CN Acetanilide, N-benzyl-4'-sulfamoyl- (7CI) (CA INDEX NAME)



RN 94931-07-4 CAPLUS  
CN Acetamide, N-(N-benzyl-N-ethylsulfanilyl)- (7CI) (CA INDEX NAME)

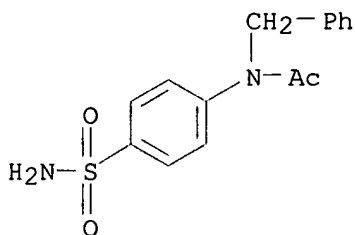


L9 ANSWER 33 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN  
ACCESSION NUMBER: 1962:475590 CAPLUS  
DOCUMENT NUMBER: 57:75590  
ORIGINAL REFERENCE NO.: 57:14973c-f  
TITLE: Reduction of Schiff bases. III. Reduction with dimethylamine-borane  
AUTHOR(S): Billman, John H.; McDowell, John W.  
CORPORATE SOURCE: Indiana Univ., Bloomington  
SOURCE: Journal of Organic Chemistry (1961), 26, 1437-40  
CODEN: JOCEAH; ISSN: 0022-3263  
DOCUMENT TYPE: Journal  
LANGUAGE: Unavailable

AB cf. CA 52, 17173a. Schiff bases, derivs. of N-benzylideneaniline, were reduced to secondary amines, using Me<sub>2</sub>NH.BH<sub>3</sub>. Thus, to 10 g. Schiff base in 20 ml. glacial AcOH was added Me<sub>2</sub>NH.BH<sub>3</sub> (25% equimolar excess) in 20 ml. glacial AcOH at 20.degree., and the mixt. refluxed 15 min. and cooled; if no pptn. had occurred, cold H<sub>2</sub>O was added and the ppt. was filtered off, washed, and dried. Alternatively, if on H<sub>2</sub>O addn. an oil was formed, the mixt. was neutralized with NaOH, the oil extd. with ether, dried, and the ether removed under reduced pressure and the oil crystd. from petr. ether or EtOH-H<sub>2</sub>O. Secondary amines were prepd. in about 90% yield and included chloro, nitro, hydroxy, methoxy, carbalkoxy, sulfonamide, and carboxy compds. which were unaffected by the borane. The yield of N-benzylidenesulfanilamide was only 79.5%, suggesting some hydrolysis with

AcOH. Redn. in acid permits redn. of compds. which undergo tautomerization in a basic medium, e.g. N-benzylidene-p-aminophenol which yielded 94% N-benzyl-p-aminophenol, although N-phenyl-9-anthrylidenimine, due to its quinoid structure, could not be reduced. Study of the stoichiometry of the redn., using N-(m-nitrobenzylidene)-m-nitroaniline, showed 3 moles Schiff base to 1 mole Me<sub>2</sub>NH.BH<sub>3</sub>; borane was probably the redn. agent and the AcOH provided a proton for initial coordination with the N of the Schiff base.

IT 92580-45-5, Acetanilide, N-benzyl-4'-sulfamoyl-  
(prepn. of)  
RN 92580-45-5 CAPLUS  
CN Acetanilide, N-benzyl-4'-sulfamoyl- (7CI) (CA INDEX NAME)



L9 ANSWER 34 OF 37 USPATFULL on STN  
ACCESSION NUMBER: 2003:106798 USPATFULL  
TITLE: Compounds useful for treatment or prevention of disease mediated by alpha-2B-adrenoceptor  
INVENTOR(S): Joutsamo, Topi, Turku, FINLAND  
Tauber, Andrei Yurievitch, Helsinki, FINLAND  
Salo, Harri, Turku, FINLAND  
Hoffren, Anna-Marja, Turku, FINLAND  
Wurster, Siegfried, Piikkio, FINLAND

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003073710	A1	20030417
APPLICATION INFO.:	US 2002-196123	A1	20020717 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	FI 2001-1560	20010720
	US 2001-306449P	20010720 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	JAMES C. LYDON, 100 DAINGERFIELD ROAD, SUITE 100, ALEXANDRIA, VA, 22314	
NUMBER OF CLAIMS:	21	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1090	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A compound, suitable as an alpha-2B-adrenoceptor antagonist, having a structure of formula (I) ##STR1##

or a pharmaceutically acceptable salt thereof wherein R.sub.1, R.sub.2, R.sub.3, R.sub.4 and R.sub.5 are independently of each other H, a straight or branched alkyl or alkoxy group with 1 to 4 carbon atoms, or a halogen; X is H, a straight or branched alkyl chain with 1 to 4 carbon atoms, phenyl, --OH or .dbd.O; Z is H, acetyl, --CH.sub.2--Ph--O--CF.sub.3 or --CH.sub.2--Ph--CF.sub.3, Y is a ring structure optionally linked to formula (I) with an alkyl chain having one or two carbon atoms. The compound is suitable for use in a method for treatment or

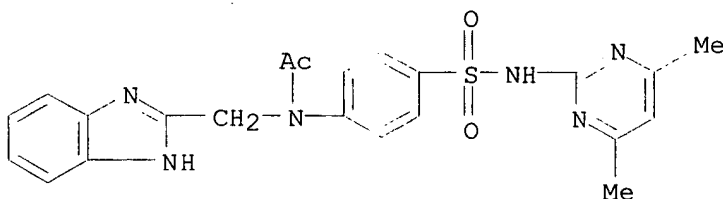
prevention of a disease mediated by the alpha-2B-adrenoceptor in a mammal.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **491600-26-1P**, N-(1H-Benzimidazol-2-ylmethyl)-N-[4-[(4,6-dimethylpyrimidin-2-yl)sulfamoyl]phenyl]acetamide **491600-27-2P**, N-(1-Acetyl-1H-benzimidazol-2-ylmethyl)-N-[4-[(4,6-dimethylpyrimidin-2-yl)sulfamoyl]phenyl]acetamide **491600-42-1P**, 4-[Bis(4-trifluoromethylbenzyl)amino]-N-(4,6-dimethylpyrimidin-2-yl)benzenesulfonamide **491600-44-3P**, 4-[Bis(4-trifluoromethoxybenzyl)amino]-N-(4,6-dimethylpyrimidin-2-yl)benzenesulfonamide  
(drug candidate; prepn. of N-pyrimidinyl-4-aminobenzenesulfonamides useful for treatment or prevention of diseases mediated by .alpha.2B-adrenoceptor)

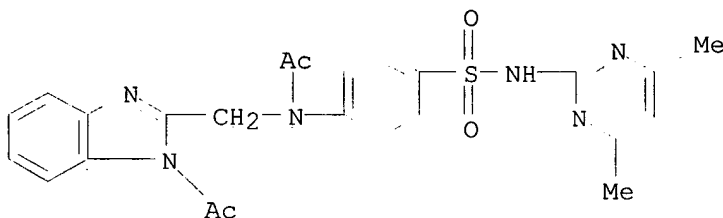
RN 491600-26-1 USPATFULL

CN Acetamide, N-(1H-benzimidazol-2-ylmethyl)-N-[4-[[4,6-dimethyl-2-pyrimidinyl)amino]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



RN 491600-27-2 USPATFULL

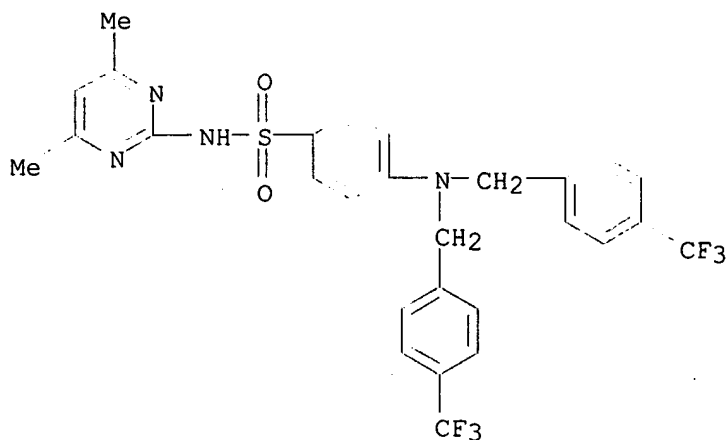
CN Acetamide, N-[(1-acetyl-1H-benzimidazol-2-yl)methyl]-N-[4-[[4,6-dimethyl-2-pyrimidinyl)amino]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



RN 491600-42-1 USPATFULL

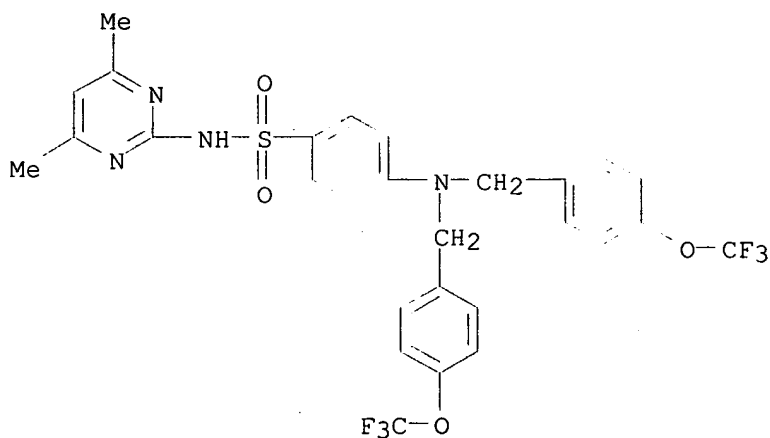
CN Benzenesulfonamide, 4-[bis[[4-(trifluoromethyl)phenyl]methyl]amino]-N-(4,6-dimethyl-2-pyrimidinyl)- (9CI) (CA INDEX NAME)





RN 491600-44-3 USPATFULL

CN Benzenesulfonamide, 4-[bis[[4-(trifluoromethoxy)phenyl]methyl]amino]-N-(4,6-dimethyl-2-pyrimidinyl)- (9CI) (CA INDEX NAME)



L9 ANSWER 35 OF 37 USPATFULL on STN

ACCESSION NUMBER: 2002:99448 USPATFULL

TITLE: p-(sulfonyl) aryl and heteroarylamines as anti-inflammatory agents

INVENTOR(S): Krauss, Nancy Elisabeth, Sunnyvale, CA, UNITED STATES  
Mirzadegan, Taraneh, Los Altos, CA, UNITED STATES  
Smith, David Bernard, San Mateo, CA, UNITED STATES  
Walker, Keith Adrian, Los Altos Hills, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002052349	A1	20020502
APPLICATION INFO.:	US 2001-844061	A1	20010426 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-200310P	20000428 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	

LEGAL REPRESENTATIVE: ROCHE BIOSCIENCE, 3401 HILLVIEW AVENUE, INTELLECTUAL  
PROPERTY LAW DEPT., MS A2-250, PALO ALTO, CA,  
94304-9819

NUMBER OF CLAIMS: 49

EXEMPLARY CLAIM: 1

LINE COUNT: 2121

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention relates to anti-inflammatory and analgesic compounds,  
especially to certain p-(sulfonyl)phenyl amino derivatives,  
pharmaceutical compositions containing them, methods for their use, and  
methods for preparing these compounds.

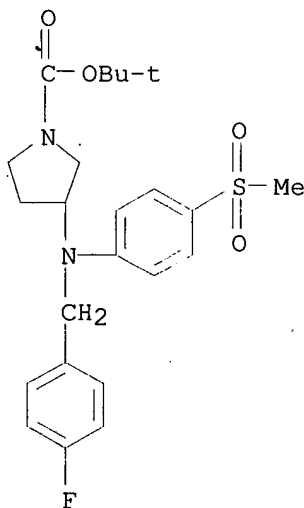
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 372121-14-7P 372121-45-4P

(prepn. of N-substituted para-(sulfonyl)(hetero)arylamines as COX-2  
inhibitors)

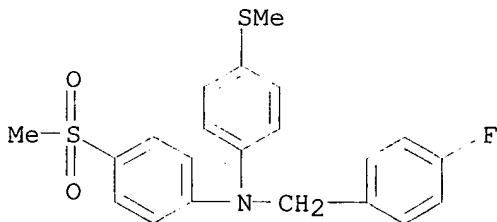
RN 372121-14-7 USPATFULL

CN 1-Pyrrolidinecarboxylic acid, 3-[[[(4-fluorophenyl)methyl][4-  
(methylsulfonyl)phenyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX  
NAME)



RN 372121-45-4 USPATFULL

CN Benzenemethanamine, 4-fluoro-N-[4-(methylsulfonyl)phenyl]-N-[4-  
(methylthio)phenyl]- (9CI) (CA INDEX NAME)



IT 372120-78-0P 372120-79-1P 372120-80-4P

372120-81-5P 372120-82-6P 372120-83-7P

372120-84-8P 372120-85-9P 372120-86-0P

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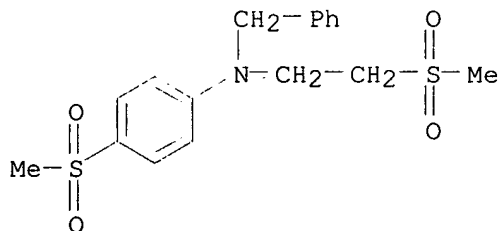
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(prepn. of N-substituted para-(sulfonyl) (hetero)arylamines as COX-2 inhibitors)

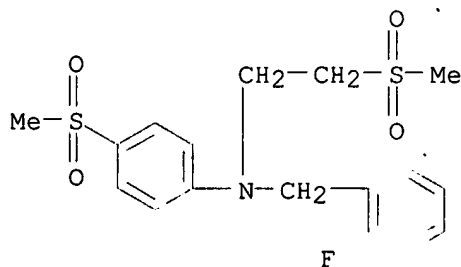
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CN Benzenemethanamine, N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



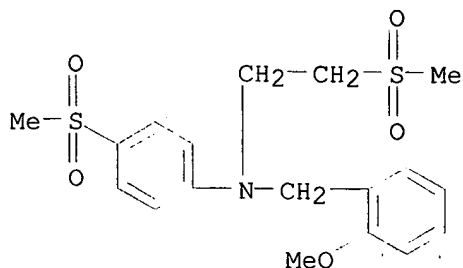
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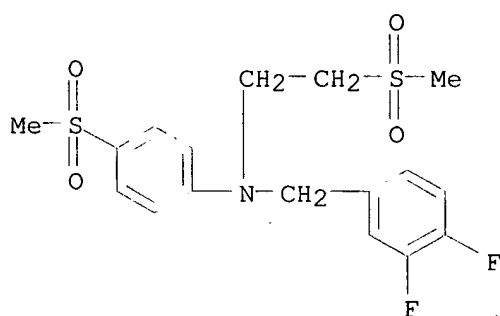
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CN Benzenemethanamine, 2-methoxy-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



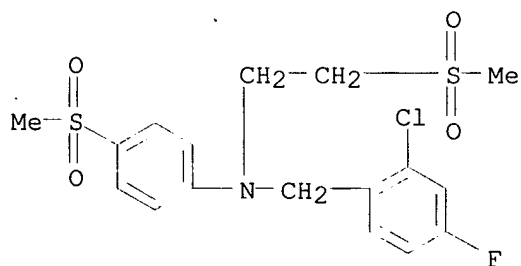
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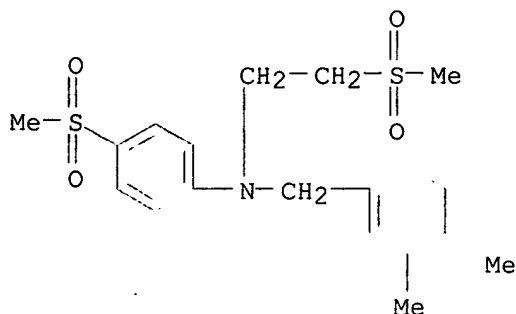
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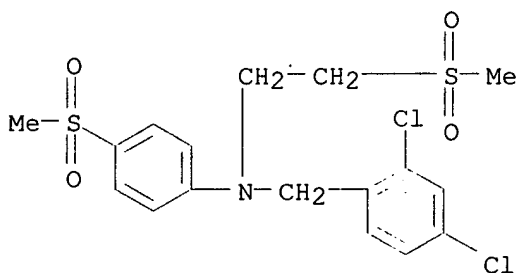
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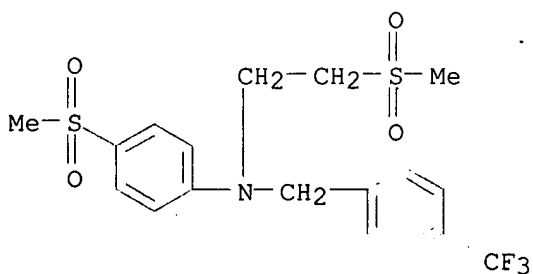
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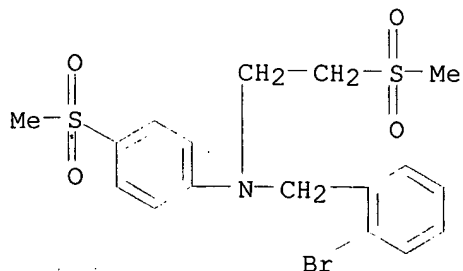
RN 372120-85-9 USPATFULL

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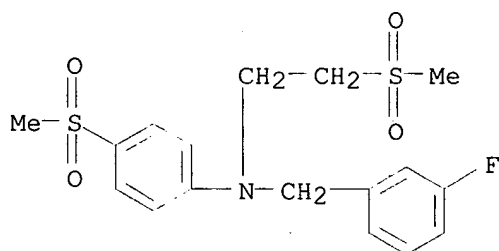
RN 372120-86-0 USPATFULL

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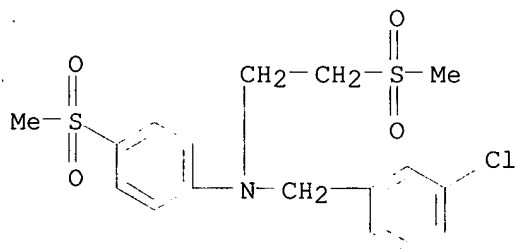
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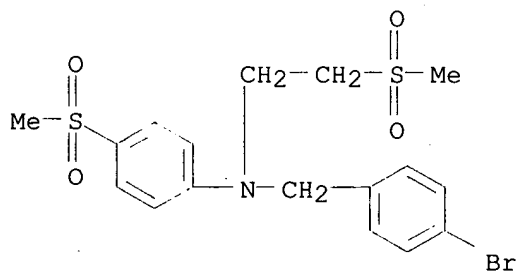
RN 372120-88-2 USPATFULL

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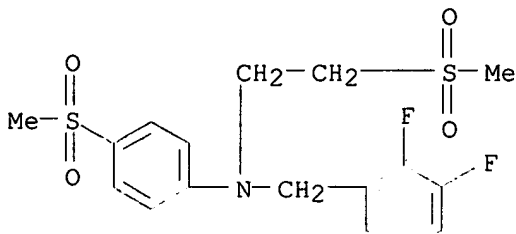
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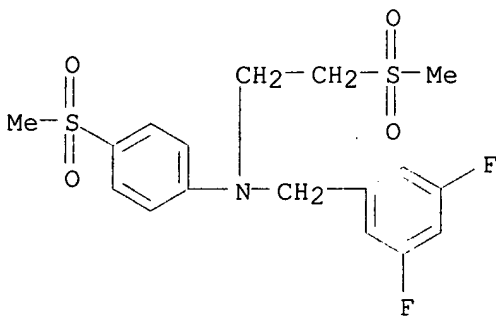
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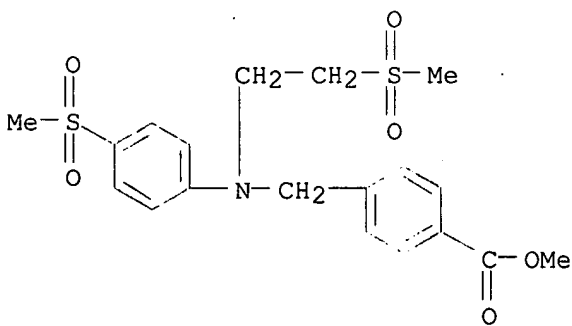
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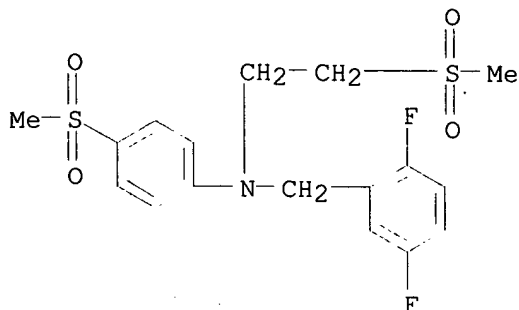
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CN Benzoic acid, 4-[[[2-(methylsulfonyl)ethyl][4-(methylsulfonyl)phenyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)



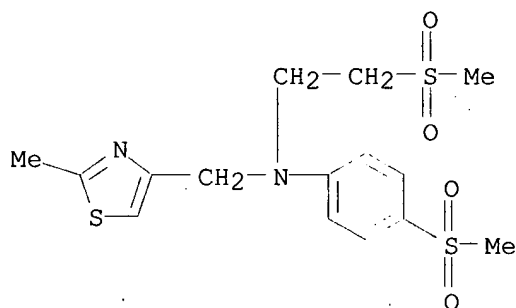
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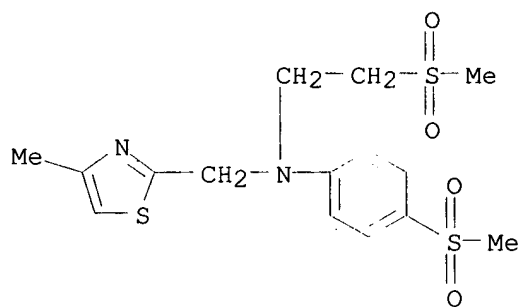
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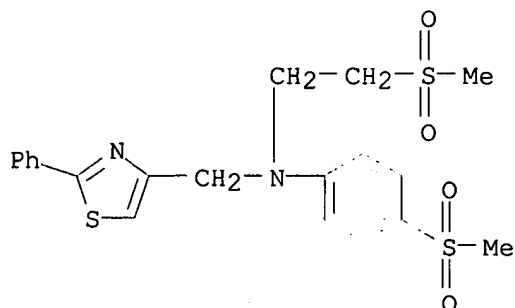
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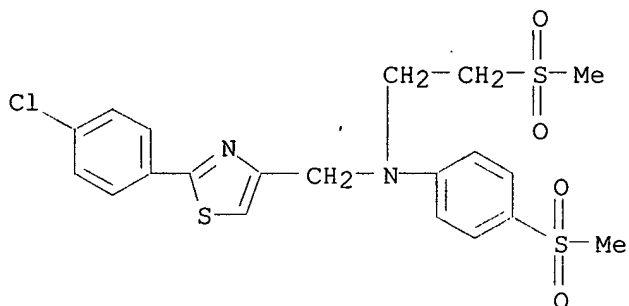
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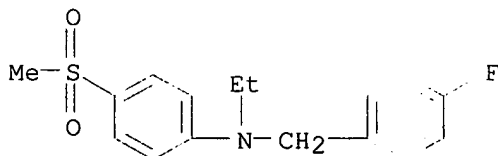
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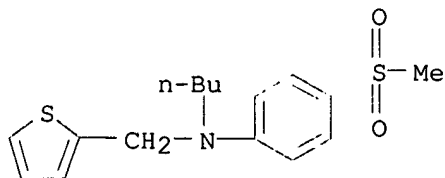
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CN Benzenemethanamine, N-ethyl-4-fluoro-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



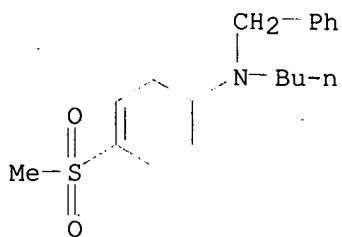
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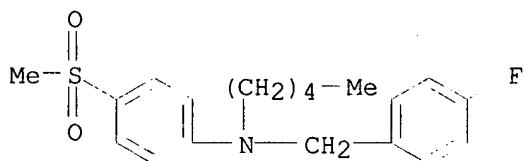


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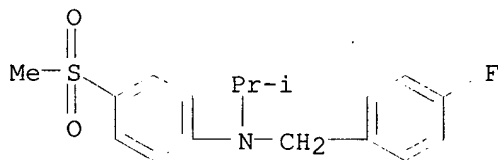


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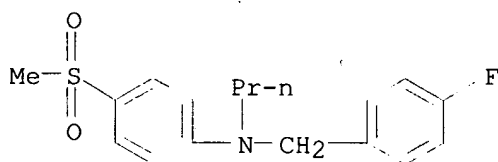
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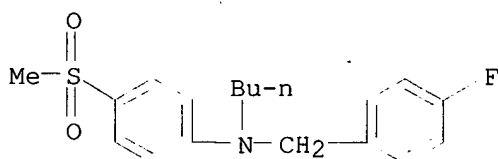
CN Benzenemethanamine, 4-fluoro-N-(1-methylethyl)-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



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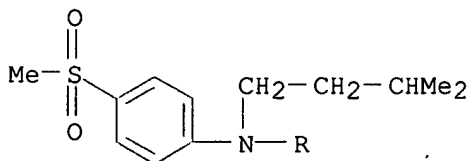
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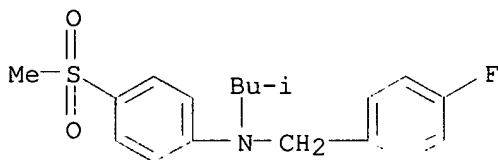
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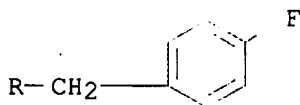
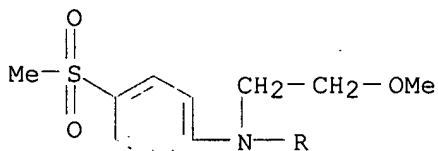
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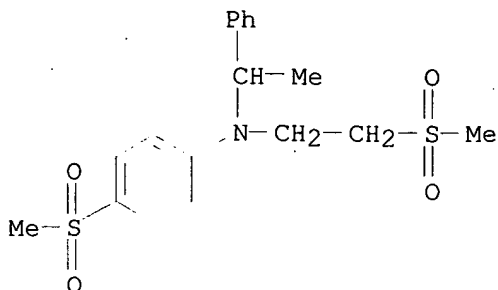
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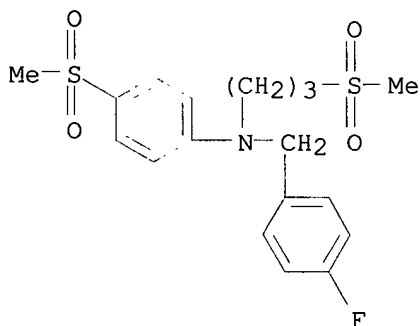
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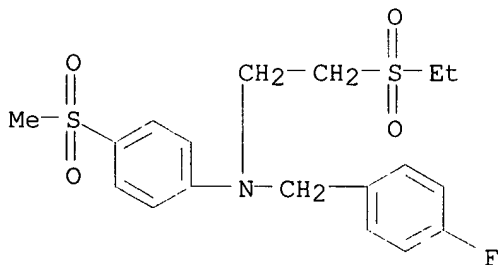
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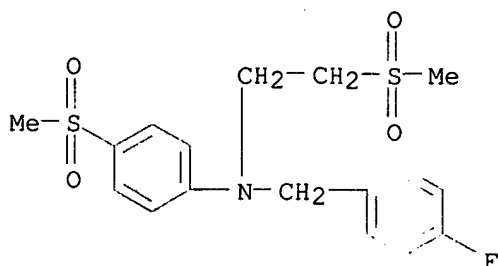
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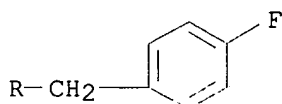
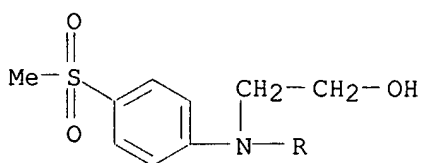
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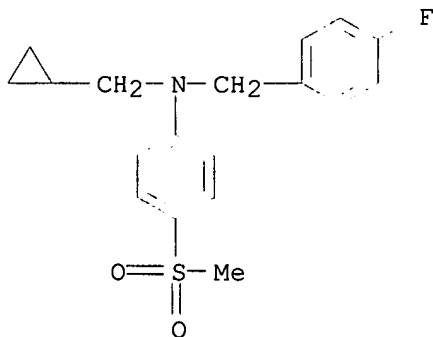
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CN Ethanol, 2-[[4-(4-fluorophenyl)methyl][4-(methylsulfonyl)phenyl]amino]-  
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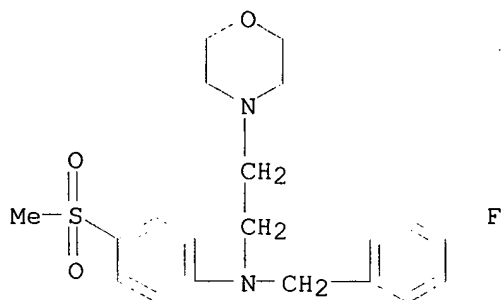
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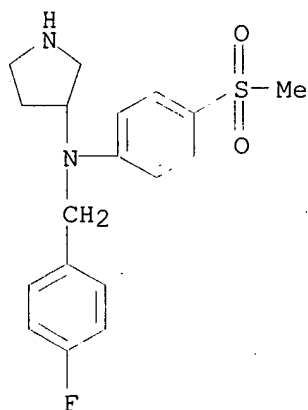
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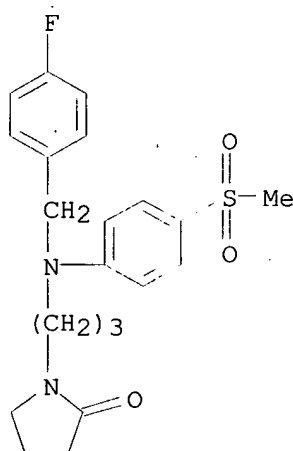
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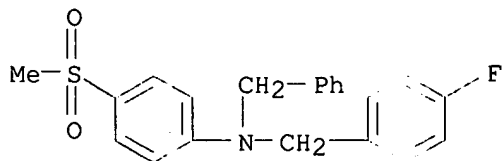
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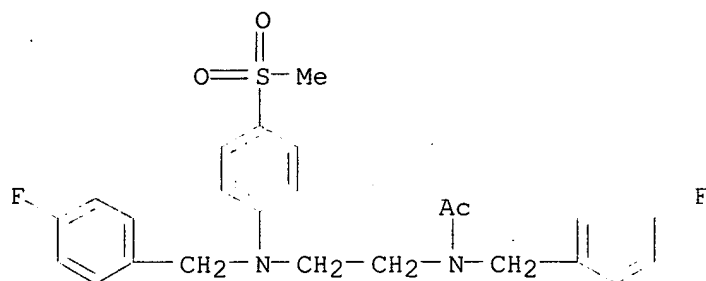
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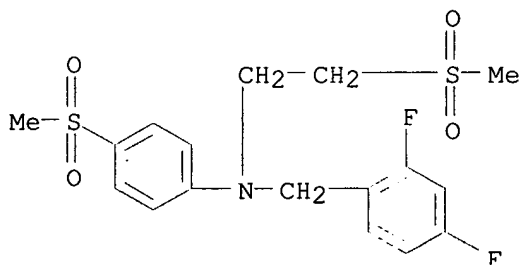
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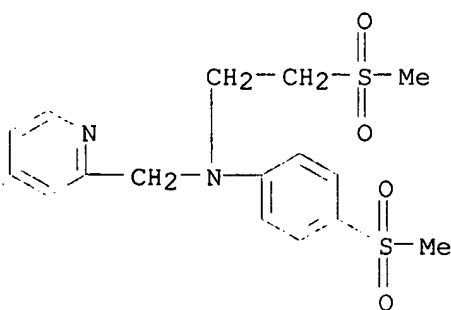
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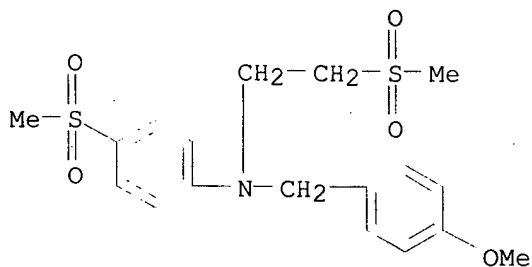
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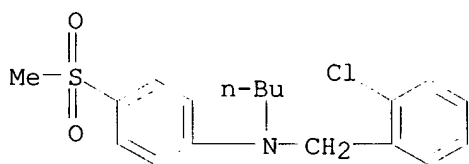
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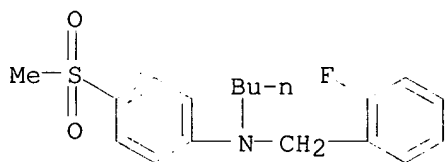
RN 372121-23-8 USPATFULL

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(CA INDEX NAME)



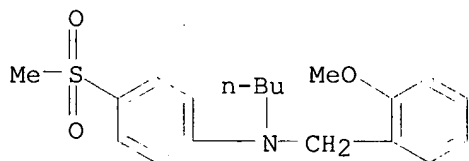
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(CA INDEX NAME)



RN 372121-25-0 USPATFULL

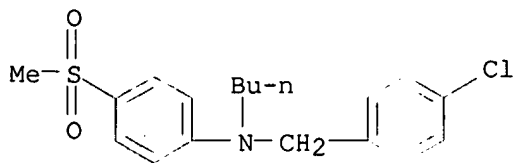
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(CA INDEX NAME)



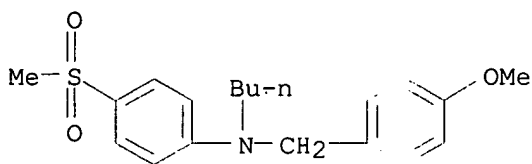
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(CA INDEX NAME)

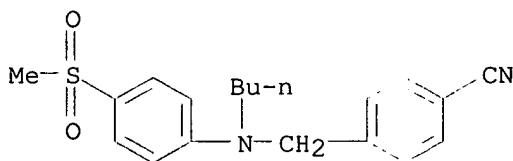




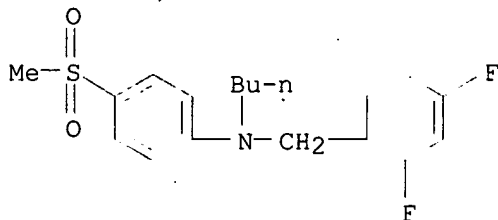
RN 372121-27-2 USPATFULL

CN Benzenemethanamine, N-butyl-4-methoxy-N-[4-(methylsulfonyl)phenyl]- (9CI)  
(CA INDEX NAME)

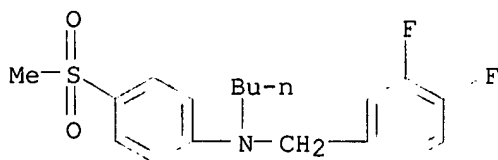
RN 372121-28-3 USPATFULL

CN Benzonitrile, 4-[[butyl[4-(methylsulfonyl)phenyl]amino]methyl]- (9CI) (CA  
INDEX NAME)

RN 372121-29-4 USPATFULL

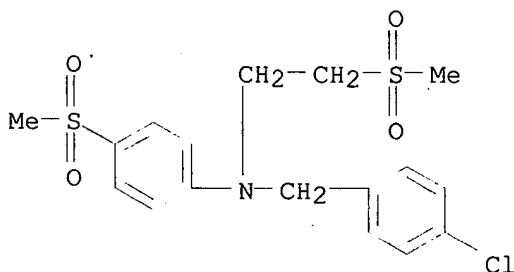
CN Benzenemethanamine, N-butyl-2,4-difluoro-N-[4-(methylsulfonyl)phenyl]-  
(9CI) (CA INDEX NAME)

RN 372121-30-7 USPATFULL

CN Benzenemethanamine, N-butyl-3,4-difluoro-N-[4-(methylsulfonyl)phenyl]-  
(9CI) (CA INDEX NAME)

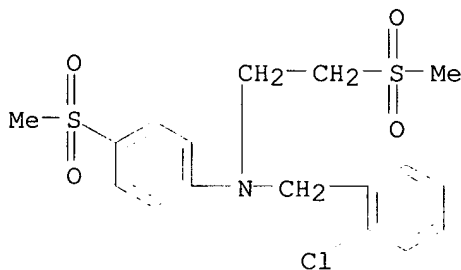
RN 372121-31-8 USPATFULL

CN Benzenemethanamine, 4-chloro-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



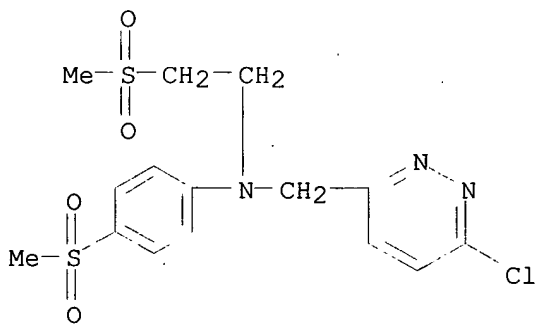
RN 372121-32-9 USPATFULL

CN Benzenemethanamine, 2-chloro-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



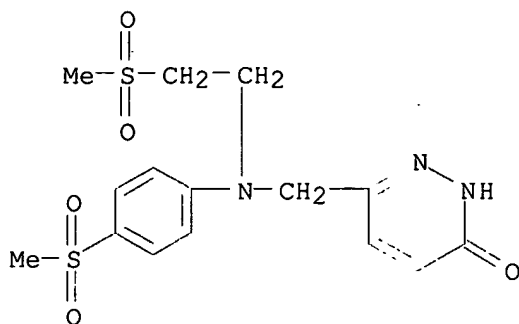
RN 372121-33-0 USPATFULL

CN 3-Pyridazinemethanamine, 6-chloro-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



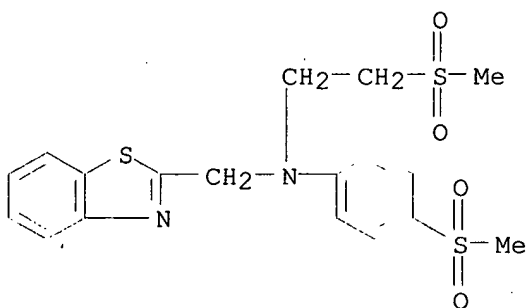
RN 372121-34-1 USPATFULL

CN 3(2H)-Pyridazinone, 6-[[[2-(methylsulfonyl)ethyl][4-(methylsulfonyl)phenyl]amino]methyl]- (9CI) (CA INDEX NAME)



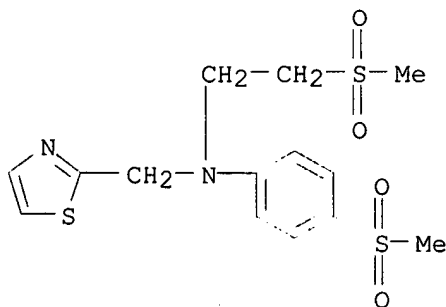
RN 372121-35-2 USPATFULL

CN 2-Benzothiazolemethanamine, N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



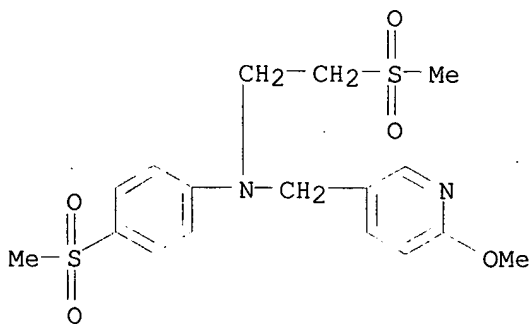
RN 372121-38-5 USPATFULL

CN 2-Thiazolemethanamine, N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



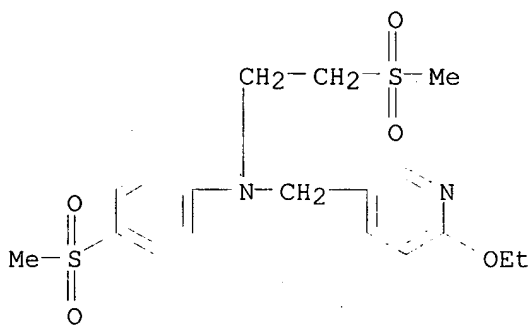
RN 372121-39-6 USPATFULL

CN 3-Pyridinemethanamine, 6-methoxy-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



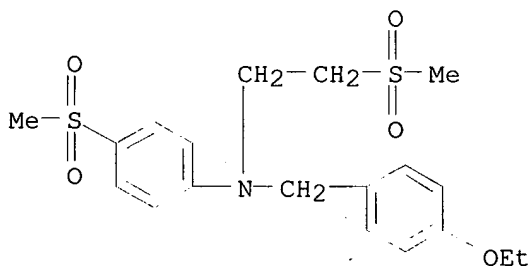
RN 372121-40-9 USPATFULL

CN 3-Pyridinemethanamine, 6-ethoxy-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



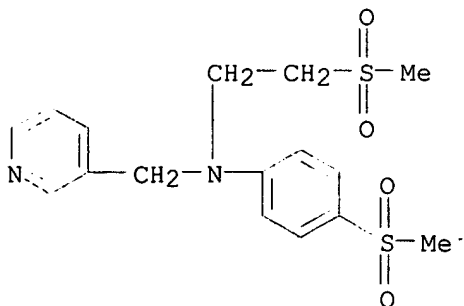
RN 372121-41-0 USPATFULL

CN Benzenemethanamine, 4-ethoxy-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



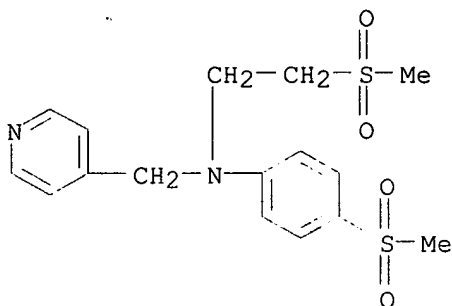
RN 372121-42-1 USPATFULL

CN 3-Pyridinemethanamine, N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



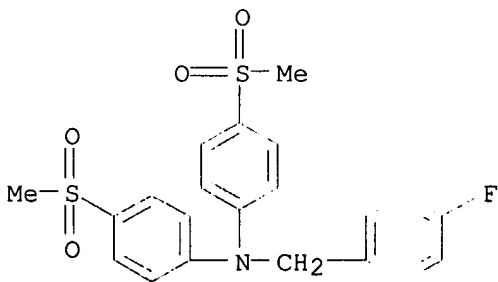
RN 372121-43-2 USPATFULL

CN 4-Pyridinemethanamine, N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



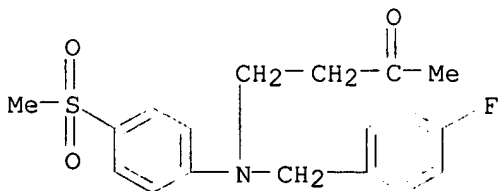
RN 372121-44-3 USPATFULL

CN Benzenemethanamine, 4-fluoro-N,N-bis[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



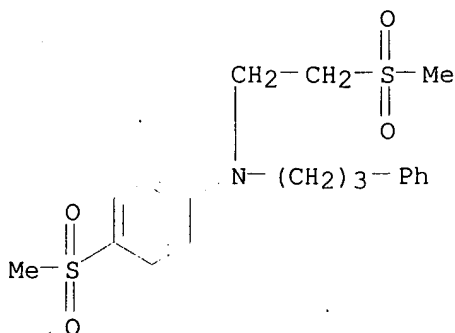
RN 372121-46-5 USPATFULL

CN 2-Butanone, 4-[[[4-(4-fluorophenyl)methyl][4-(methylsulfonyl)phenyl]amino]- (9CI) (CA INDEX NAME)



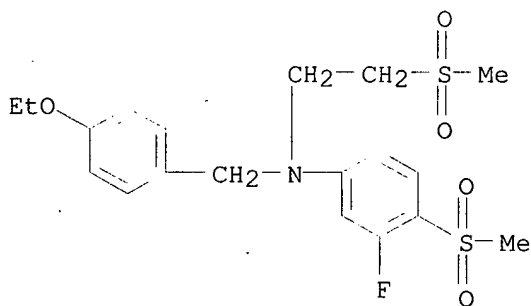
RN 372121-47-6 USPATFULL

CN Benzenepropanamine, N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



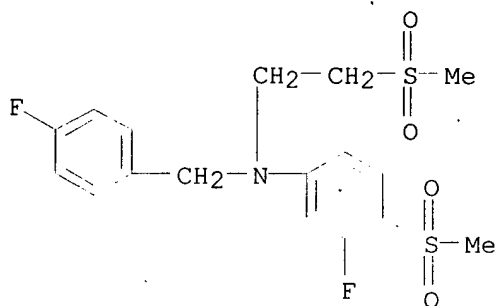
RN 372121-48-7 USPATFULL

CN Benzenemethanamine, 4-ethoxy-N-[3-fluoro-4-(methylsulfonyl)phenyl]-N-[2-(methylsulfonyl)ethyl]- (9CI) (CA INDEX NAME)



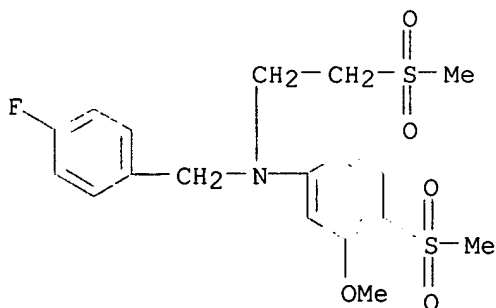
RN 372121-49-8 USPATFULL

CN Benzenemethanamine, 4-fluoro-N-[3-fluoro-4-(methylsulfonyl)phenyl]-N-[2-(methylsulfonyl)ethyl]- (9CI) (CA INDEX NAME)



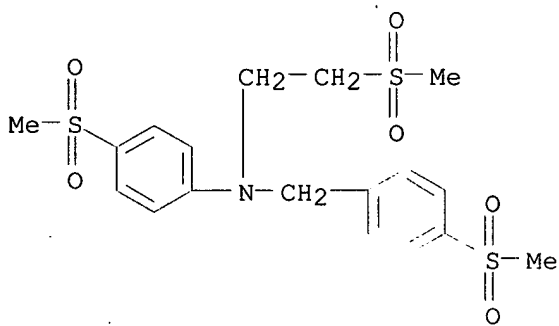
RN 372121-50-1 USPATFULL

CN Benzenemethanamine, 4-fluoro-N-[3-methoxy-4-(methylsulfonyl)phenyl]-N-[2-(methylsulfonyl)ethyl]- (9CI) (CA INDEX NAME)



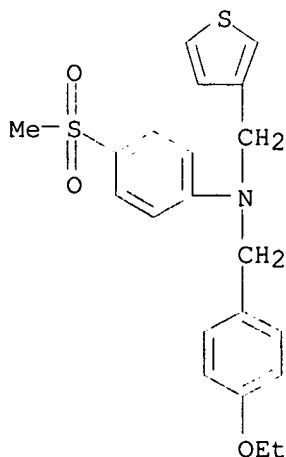
RN 372121-51-2 USPATFULL

CN Benzenemethanamine, 4-(methylsulfonyl)-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



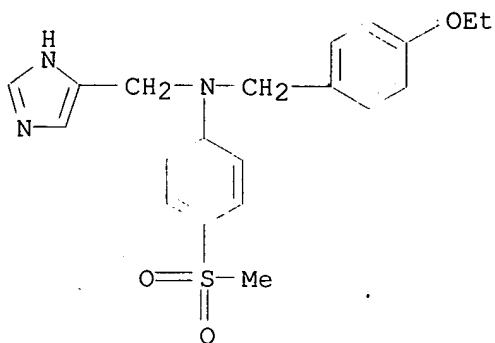
RN 372121-52-3 USPATFULL

CN 3-Thiophenemethanamine, N-[(4-ethoxyphenyl)methyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



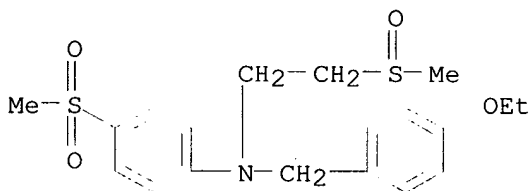
RN 372121-53-4 USPATFULL

CN 1H-Imidazole-4-methanamine, N-[(4-ethoxyphenyl)methyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



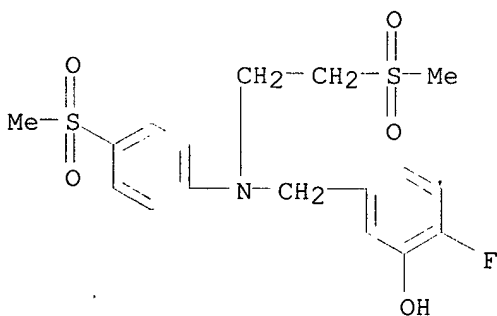
RN 372121-54-5 USPATFULL

CN Benzenemethanamine, 4-ethoxy-N-[2-(methylsulfinyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



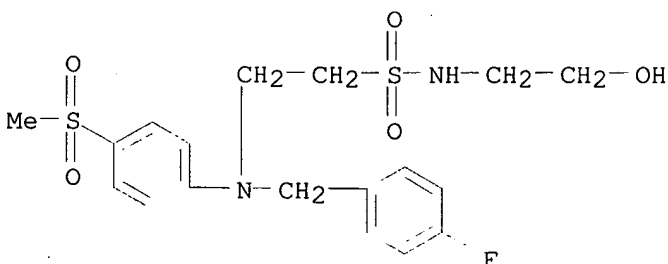
RN 372121-55-6 USPATFULL

CN Phenol, 2-fluoro-5-[[[2-(methylsulfonyl)ethyl][4-(methylsulfonyl)phenyl]amino]methyl]- (9CI) (CA INDEX NAME)



RN 372121-56-7 USPATFULL

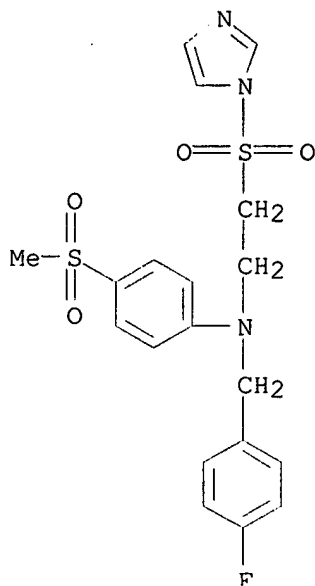
CN Ethanesulfonamide, 2-[[[4-(4-fluorophenyl)methyl][4-(methylsulfonyl)phenyl]amino]-N-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)





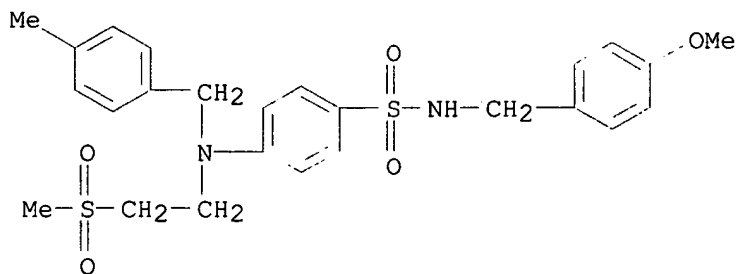
RN 372121-57-8 USPATFULL

CN 1H-Imidazole, 1-[[2-[[[(4-fluorophenyl)methyl][4-(methylsulfonyl)phenyl]amino]ethyl]sulfonyl]- (9CI) (CA INDEX NAME)



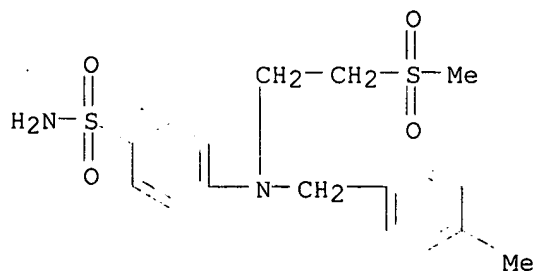
RN 372121-58-9 USPATFULL

CN Benzenesulfonamide, N-[(4-methoxyphenyl)methyl]-4-[[[(4-methylphenyl)methyl][2-(methylsulfonyl)ethyl]amino]- (9CI) (CA INDEX NAME)

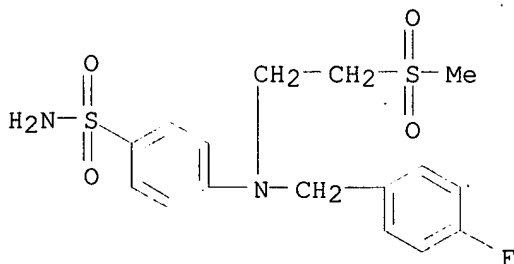


RN 372121-59-0 USPATFULL

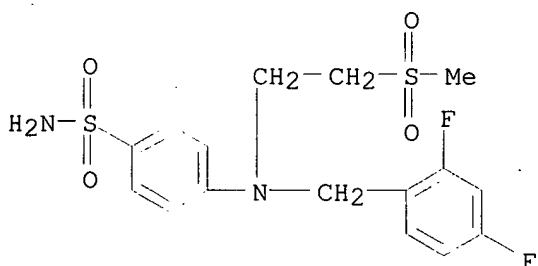
CN Benzenesulfonamide, 4-[[[(4-methylphenyl)methyl][2-(methylsulfonyl)ethyl]amino]- (9CI) (CA INDEX NAME)



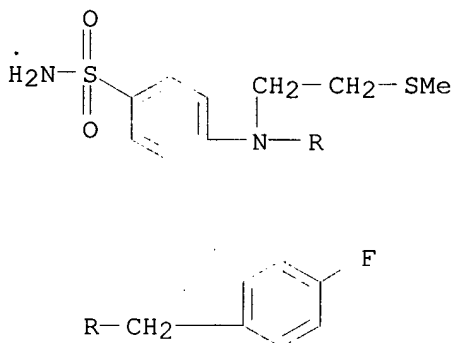
RN 372121-60-3 USPATFULL  
CN Benzenesulfonamide, 4-[[[(4-fluorophenyl)methyl][2-(methylsulfonyl)ethyl]amino]- (9CI) (CA INDEX NAME)



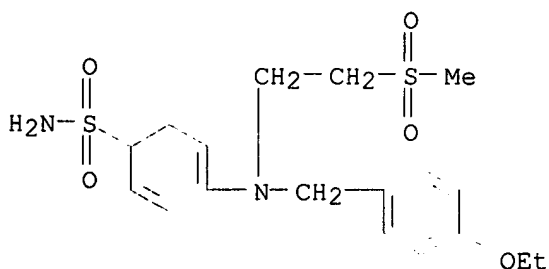
RN 372121-61-4 USPATFULL  
CN Benzenesulfonamide, 4-[[[(2,4-difluorophenyl)methyl][2-(methylsulfonyl)ethyl]amino]- (9CI) (CA INDEX NAME)



RN 372121-62-5 USPATFULL  
CN Benzenesulfonamide, 4-[[[(4-fluorophenyl)methyl][2-(methylthio)ethyl]amino]- (9CI) (CA INDEX NAME)

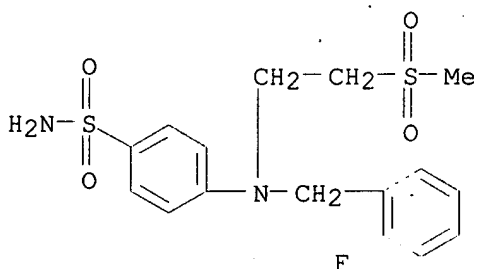


RN 372121-63-6 USPATFULL  
CN Benzenesulfonamide, 4-[[[(4-ethoxyphenyl)methyl][2-(methylsulfonyl)ethyl]amino]- (9CI) (CA INDEX NAME)



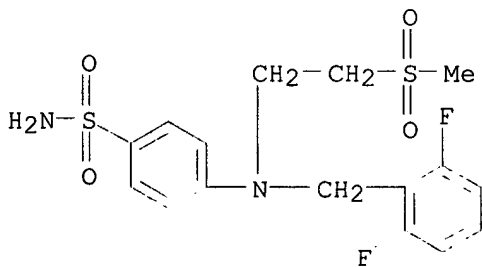
RN 372121-64-7 USPATFULL

CN Benzenesulfonamide, 4-[[[(2-fluorophenyl)methyl][2-(methylsulfonyl)ethyl]amino]- (9CI) (CA INDEX NAME)



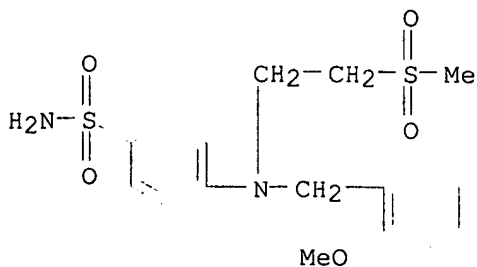
RN 372121-65-8 USPATFULL

CN Benzenesulfonamide, 4-[[[(2,6-difluorophenyl)methyl][2-(methylsulfonyl)ethyl]amino]- (9CI) (CA INDEX NAME)



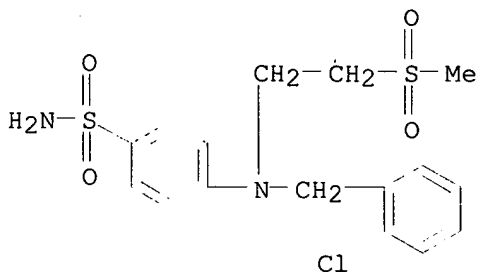
RN 372121-66-9 USPATFULL

CN Benzenesulfonamide, 4-[[[(2-methoxyphenyl)methyl][2-(methylsulfonyl)ethyl]amino]- (9CI) (CA INDEX NAME)



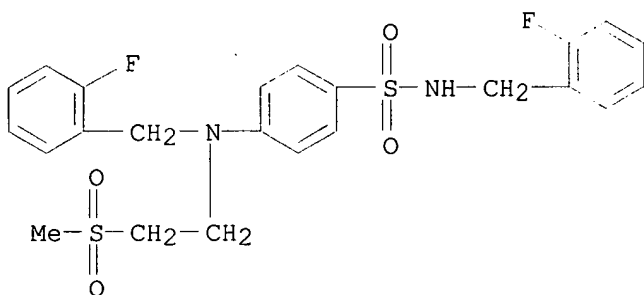
RN 372121-67-0 USPATFULL

CN Benzenesulfonamide, 4-[[[(2-chlorophenyl)methyl][2-(methylsulfonyl)ethyl]amino]- (9CI) (CA INDEX NAME)



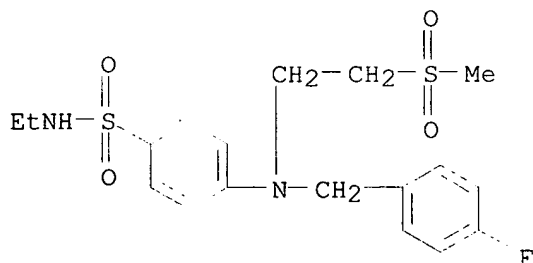
RN 372121-68-1 USPATFULL

CN Benzenesulfonamide, N-[(2-fluorophenyl)methyl]-4-[[[(2-fluorophenyl)methyl][2-(methylsulfonyl)ethyl]amino]- (9CI) (CA INDEX NAME)



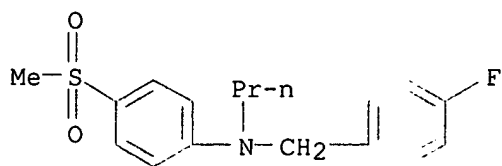
RN 372121-69-2 USPATFULL

CN Benzenesulfonamide, N-ethyl-4-[[[(4-fluorophenyl)methyl][2-(methylsulfonyl)ethyl]amino]- (9CI) (CA INDEX NAME)



RN 372176-74-4 USPATFULL

CN Propanol, 1-[[[(4-fluorophenyl)methyl][4-(methylsulfonyl)phenyl]amino]- (9CI) (CA INDEX NAME)



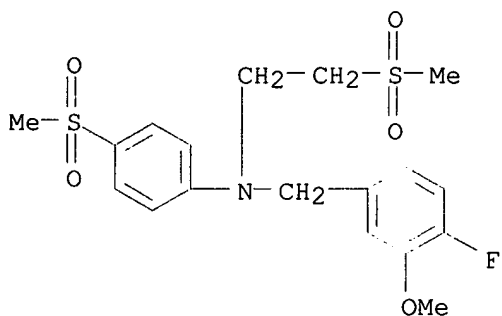
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IT 372122-02-6

(prepn. of N-substituted para-(sulfonyl) (hetero)arylamines as COX-2 inhibitors)

RN 372122-02-6 USPATFULL

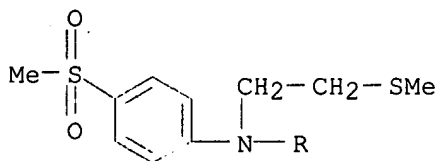
CN Benzenemethanamine, 4-fluoro-3-methoxy-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

IT 372121-76-1P 372121-86-3P 372121-95-4P  
372121-97-6P

(prepn. of N-substituted para-(sulfonyl) (hetero)arylamines as COX-2 inhibitors)

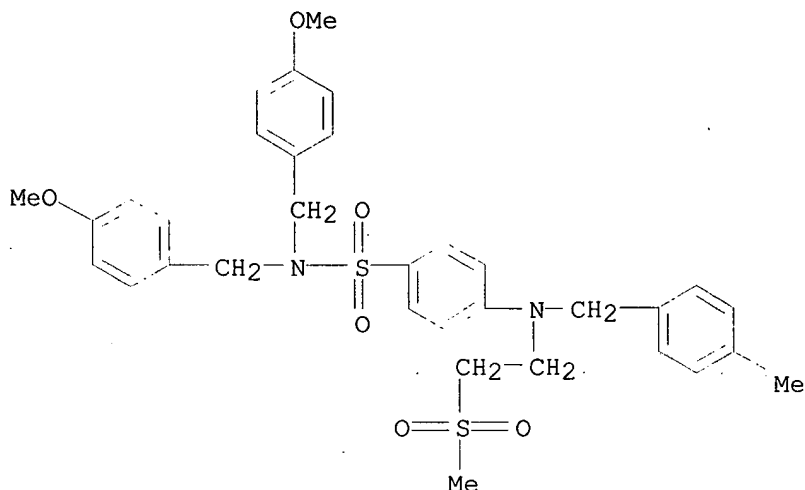
RN 372121-76-1 USPATFULL

CN Benzenemethanamine, 4-fluoro-N-[4-(methylsulfonyl)phenyl]-N-[2-(methylthio)ethyl]- (9CI) (CA INDEX NAME)



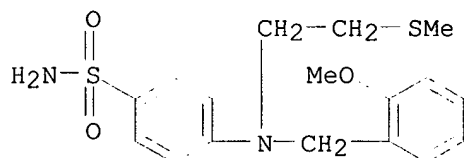
RN 372121-86-3 USPATFULL

CN Benzenesulfonamide, N,N-bis[(4-methoxyphenyl)methyl]-4-[[4-methylphenyl)methyl][2-(methylsulfonyl)ethyl]amino]- (9CI) (CA INDEX NAME)



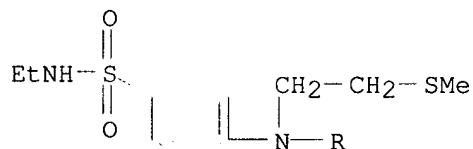
RN 372121-95-4 USPATFULL

CN Benzenesulfonamide, 4-[[[(2-methoxyphenyl)methyl][2-(methylthio)ethyl]amino]- (9CI) (CA INDEX NAME)



RN 372121-97-6 USPATFULL

CN Benzenesulfonamide, N-ethyl-4-[[[(4-fluorophenyl)methyl][2-(methylthio)ethyl]amino]- (9CI) (CA INDEX NAME)



L9 ANSWER 36 OF 37 USPATFULL on STN

ACCESSION NUMBER: 96:65567 USPATFULL

TITLE: Substituted tertiary amino compound or salt thereof

INVENTOR(S): Okada, Minoru, Ibaraki, Japan

Yoden, Toru, Ibaraki, Japan

Kawaminami, Eiji, Ibaraki, Japan

Shimada, Yoshiaki, Ibaraki, Japan

Kudou, Masafumi, Ibaraki, Japan

Isomura, Yasuo, Ibaraki, Japan

Searched by Barb O'Bryen, STIC 308-4291

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Tokyo, Japan  
(non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5538976		19960723
	WO 9322290		19931111 ##STR1##
APPLICATION INFO.:	US 1994-325383		19941026 (8)
	WO 1993-JP548		19930427
			19941026 PCT 371 date
			19941026 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1992-137762	19920428
	JP 1992-234298	19920810
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Gupta, Yogendra N.	
LEGAL REPRESENTATIVE:	Burgess, Ryan and Wayne	
NUMBER OF CLAIMS:	11	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1560	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A substituted tertiary amino compound represented by general formula (I) or a pharmaceutically acceptable salt thereof. They have an aromatase inhibiting activity and are useful as a prophylactic and/or therapeutic agent for breast cancer, mastopathy, endometriosis, prostatic-hypertrophy, and so forth.

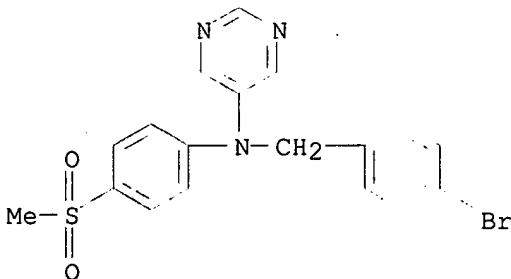
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 157911-86-9P

(prepn. of, as aromatase inhibitor)

RN 157911-86-9 USPATFULL

CN 5-Pyrimidinamine, N-[(4-bromophenyl)methyl]-N-[4-(methylsulfonyl)phenyl]-  
(9CI) (CA INDEX NAME)



L9 ANSWER 37 OF 37 USPATFULL on STN

ACCESSION NUMBER: 91:6920 USPATFULL

TITLE: Organic thin-film device

INVENTOR(S): Sato, Itsuko, Tokyo, Japan  
Naito, Katsuyuki, Yokohama, Japan  
Genma, Nobuhiro, Yokohama, Japan  
Azuma, Makoto, Yokohama, Japan

PATENT ASSIGNEE(S): Kabushiki Kaisha Toshiba, Kawasaki, Japan (non-U.S. corporation)

NUMBER	KIND	DATE
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PATENT INFORMATION: US 4987023 19910122  
APPLICATION INFO.: US 1989-330205 19890329 (7)

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1988-73305	19880329
	JP 1988-253742	19881011
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Sluby, P. C.	
LEGAL REPRESENTATIVE:	Foley & Lardner, Schwartz, Jeffery, Schwaab, Mack, Blumenthal & Evans	
NUMBER OF CLAIMS:	10	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	7 Drawing Figure(s); 3 Drawing Page(s)	
LINE COUNT:	651	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB An organic thin film device, including first and second organic thin films containing acceptor and doner molecules, respectively, stacked one on another, in which at least one of the first and second organic thin films contains a chemical species having a dipole moment  $P_{sub.2}$ , and the second dipole moment  $P_{sub.2}$  and a dipole moment  $P_{sub.1}$  produced by charge transfer between the acceptor and doner molecules satisfy the following formula:

$$(P_{sub.1} \cdot P_{sub.2}) \cdot \text{vertline} \cdot r \cdot \text{vertline} \cdot \sup.2 - 3(P_{sub.1} \cdot \text{multidot} \cdot r)(P_{sub.2} \cdot \text{multidot} \cdot r) < 0$$

wherein  $r$  represents a positional relationship between  $P_{sub.1}$  and  $P_{sub.2}$ . Also disclosed is an organic thin film device, including the first and second organic thin films, and at least one of the first and second organic thin films contains at least one pigment skeleton which is inclined with respect to the lamination direction of the organic thin films.

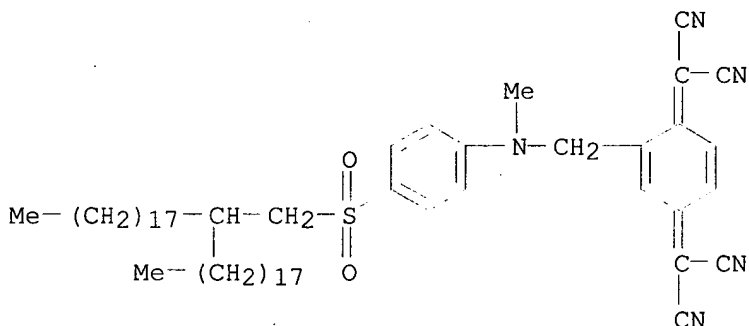
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 126229-92-3

(electrooptical display device contg. thin films of)

RN 126229-92-3 USPATFULL

CN Propanedinitrile, 2,2'-[2-[[methyl[4-[(2-octadecyleicosyl)sulfonyl]phenyl]amino]methyl]-2,5-cyclohexadiene-1,4-diylidene]bis- (9CI) (CA INDEX NAME)



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FILE COVERS 1907-1966

FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

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L3 STR  
L5 197 SEA FILE=REGISTRY SUB=L2 SSS FUL L3  
L8 2 SEA FILE=CAOLD ABB=ON L5

L8 ANSWER 1 OF 2 CAOLD COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: CA57:16446a CAOLD

TITLE: redn. of Schiff bases - (IV) reductive acylation of Schiff bases using trimethylamine borane

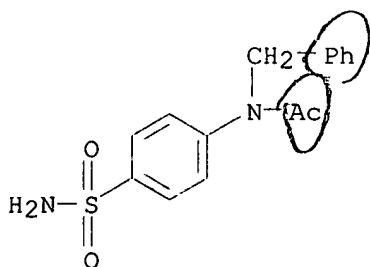
AUTHOR NAME: Billman, John H.; McDowell, J. W.

INDEX TERM: 91-73-6 939-79-7 14429-15-3 19672-91-4 33224-23-6  
61667-88-7 61667-90-1 81575-55-5 81575-56-6 92435-85-3  
92580-45-5 92852-79-4 93008-11-8 93987-32-7  
94164-94-0 94931-07-4 97433-45-9

IT 92580-45-5 94931-07-4 97433-45-9

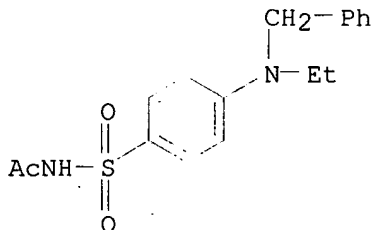
RN 92580-45-5 CAOLD

CN Acetanilide, N-benzyl-4'-sulfamoyl- (7CI) (CA INDEX NAME)



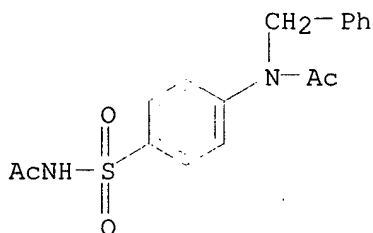
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CN Acetamide, N-(N-benzyl-N-ethylsulfanilyl)- (7CI) (CA INDEX NAME)



RN 97433-45-9 CAOLD

CN Acetanilide, 4'-[N-ethyl-N-(benzyl)amino]- (7CI) (CA INDEX NAME)



L8 ANSWER 2 OF 2 CAOLD COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: CA57:14973c CAOLD

TITLE: octafluorostyrene

AUTHOR NAME: Letchford, B. R.; Patrick, C. R.; Stacey, M.; Tatlow, J. C.

TITLE: redn. of Schiff bases - (III) redn. with dimethylamine  
borane

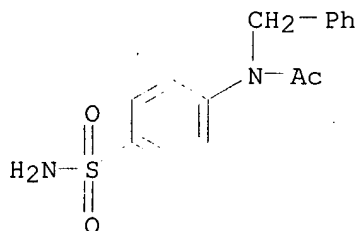
AUTHOR NAME: Billman, John H.; McDowell, J. W.

INDEX TERM:	103-14-0	104-22-3	652-23-3	2948-37-0	3526-43-0
	13159-74-5	14429-15-3	17377-95-6	28859-47-4	58015-02-4
	58015-08-0	61439-53-0	61667-88-7	61667-90-1	65838-11-1
	81575-55-5	81575-56-6	92435-85-3	<b>92580-45-5</b>	
	93044-42-9	93189-07-2	93987-30-5	93987-31-6	94028-75-8
	94069-12-2	94164-94-0	98018-66-7	98782-44-6	100273-95-8

IT **92580-45-5**

RN 92580-45-5 CAOLD

CN Acetanilide, N-benzyl-4'-sulfamoyl- (7CI) (CA INDEX NAME)



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